

JAN 1 5 2008

MANSMITTAL LETTER TO THE UNITED STATES

ATTORNEY'S DOCKET NUMBER 47679

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DESIGNATED/ELECTED OFFICE (DO/EO/US) CONCERNING A FILING UNDER 35 U.S.C. 371

U.S. APPLICATION NO. (If known, see 37 CFR 1.5)

INTERNATIONAL APPLICATION NO. PCT/EP 98/00069

INTERNATIONAL FILING DATE 8 January 1998 PRIORITY DATE CLAIMED 17 January 1997

TITLE OF INVENTION:

1 1 2008

3-HETEROCYCLYL-SUBSTITUTED BENZOYL DERIVATIVES

APPLICANT(S) FOR DO/EO/US Wolfgang von DEYN, Regina Luise HILL, Uwe KARDORFF, Ernst BAUMANN, Stefan ENGEL,
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Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

- 1. /X/ This is a FIRST submission of items concerning a filing under 35 U.S.C. 371.
- 2. / / This is a SECOND or SUBSEQUENT submission of items concerning a filing under 35 U.S.C. 371.
- 3. /X/ This express request to begin national examination procedures (35 U.S.C.371(f)) at any time rather than delay examination until the expiration of the applicable time limit set in 35 U.S.C. 371(b) and PCT Articles 22 and 39(1).
- 4. /x / A proper Demand for International Preliminary Examination was made by the 19th month from the earliest claimed priority date.
- 5. / / A copy of the International Application as filed (35 U.S.C. 371(c)(2)).
 - a.// is transmitted herewith (required only if not transmitted by the International Bureau).
 - b.// has been transmitted by the International Bureau.
 - c.// is not required, as the application was filed in the United States Receiving Office (RO/USO).
- 6. /X/ A translation of the International Application into English (35 U.S.C. 371(c)(2)).
- 7. / Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3)).
 - a.// are transmitted herewith (required only if not transmitted by the International Bureau).
 - b.// have been transmitted by the International Bureau.
 - c.// have not been made; however, the time limit for making such amendments has NOT expired.
 - d.// have not been made and will not be made.
- 8. / / A translation of the amendments to the claims under PCT Article 19(35 U.S.C. 371(c)(3)).
- 9. /X/ An oath or declaration of the inventor(s)(35 U.S.C. 171(c)(4)).
- 10./ A translation of the annexes to the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).
- Items 11. to 16. below concern other document(s) or information included:
- 11./ / An Information Disolosure Statement under 37 CFR 1.97 and 1.98.
- 12./X/ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
- 13./X/ A FIRST preliminary amendment.
 - / / A SECOND or SUBSEQUENT preliminary amendment.
- 14.// A substitute specification.
- 15./ / A change of power of attorney and/or address letter.
- 16./X/ Other items or information.
 International Search Report
 - International Preliminary Examination Report

U.S. Appln. No. (If Known) INTERNATIONAL PCT/EP 98/00		ATTORNEY'S DOCKE	T NO.
17. /X/ The following fees are submitted	CI	ALCULATIONS	PTO USE ONLY
BASIC NATIONAL FEE (37 CFR 1.492(a)(1)-(5)):			TIO GOD CROI
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Total Claims 24 -20 4	X\$22.	88.00	1
indep.Claims 5 -3 2	x\$82.		••
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TOTAL OF ABOVE CALCULATION		1,182.00	
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(Note 37 CFR 1.9, 1.27, 1.28).	IIea		
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any overpayment to Deposit Account No.	11-0345. A dupli	cate copy of this sl	neetis enclosed.
OTE: Where an appropriate time limit under 37	CFR 1.494 or 1.4	95 has not been met.	a petition to revive (3
FR 1.137(a) or (b) must be filed and granted t	o restore the app	lication/to pending	status.
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END ALL CORRESPONDENCE TO:		_// //	~/-~
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ashington, D. C. 20036		rt B. Keil	
	NAME		
	<u>18,967</u>	-	
	Regist	ration No.	

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re the Application of von DEYN et al.

BOX PCT

International Application PCT/EP 98/00069

Filed: January 8, 1997

For: 3-HETEROCYCLYL-SUBSTITUTED BENZOYL DERIVATIVES

PRELIMINARY AMENDMENT

Honorable Commissioner of Patents and Trademarks Washington, D.C. 20231

Sir:

Prior to U.S. examination, kindly amend the above-identified application as follows:

IN THE CLAIMS

Claim 3, line 2, delete "or 2".

Claim 4, line 2, delete "any of claims 1 to 3" and insert --claim 1--.

Claim 5, line 2, delete "any of claims 1 to 4" and insert --claim 1--.

Claim 6 line 2, delete "any of claims 1 to 4" and insert --claim 1--.

Claim 7, line 2, delete "any of claims 1 to 4 or 6" and insert --claim 1--.

Claim 8, line 2, delete "any of claims 1 to 4 or 6 or 7" and insert --claim 1--.

Claim 9, line 2, delete "any of claims 1 to 4 or 6 to 8" and insert --claim 1--.

Claim 10, line 2, delete "any of claims 1 to 4 or 6 or 7" and insert --claim 1--.

Claim 11, line 2, delete "any of claims 1 to 4 or 6 or 7 or 10" and insert --claim 1--.

Claim 14, line 2, delete "any of claims 1 to 4 or 6" and insert --claim 1--.

Claim 15, line 2, delete "any of claims 1 to 4 or 6 or 14" and insert --claim 1--.

Claim 16, line 2, delete "any of claims 1 to 4 or 6 or 14" and insert --claim 1--.

Claim 19, line 3, delete "under claims 2 to 16" and insert --in claim 2--.

Claim 20, line 2, delete "either of claims 18 or 19" and insert --claim 18--.

Claim 21, line 4, delete "any of claims 1 to 16" and insert --claim 1--.

Claim 22, line 5, delete "any of claims 1 to 16" and insert --claim 1--.

Claim 23, line 5, delete "any of claims 1 to 16" and insert --claim 1--.

Claim 24, line 3, delete "any of claims 1 to 16" and insert --claim 1--.

REMARK

The claims have been amended to eliminate multiple dependency. No new matter has been added.

Entry is respectfully solicited.

Respectfully submitted,

KEIL & WEINKAUF

Reg. No. 18,967

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(202)659-0100

3-Heterocyclyl-substituted benzoyl derivatives

The present invention relates to 3-heterocyclyl-substituted benzoyl derivatives of the formula I

 \mathbb{R}^2

I

15

where the variables have the following meanings:

 \mathbb{R}^3

 $\begin{array}{c} R^1,\ R^2 \\ 20 \end{array} \text{ are hydrogen, nitro, halogen, cyano, C_1-C_6-alkyl,} \\ C_1$-$C_6$-haloalkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy,} \\ C_1$-$C_6$-alkylthio, C_1-C_6-haloalkylthio,} \\ C_1$-$C_6$-alkylsulfinyl, C_1-C_6-haloalkylsulfinyl,} \\ C_1$-$C_6$-alkylsulfonyl or C_1-C_6-haloalkylsulfonyl;} \end{array}$

is hydrogen, halogen or C_1 - C_6 -alkyl;

 R^4 , R^5 are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, $C_1 \cdot C_4 \cdot alkoxy \cdot C_1 \cdot C_4 \cdot alkyl$, $di(C_1 \cdot C_4 \cdot alkoxy) \cdot C_1 \cdot C_4 \cdot alkoxy$ alkyl, di(C₁-C₄-alkyl)-amino-C₁-C₄-alkyl, 30 $[2,2-di(C_1-C_4-alkyl)-l-hydrazino]-C_1-C_4-alkyl,$ $C_1 - C_6 - alkyliminooxy - C_1 - C_4 - alkyl, C_1 - C_4 - alkoxycarbonyl C_1-C_4$ -alkyl, C_1-C_4 -alkylthio- C_1-C_4 -alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, 35 C₁-C₄-haloalkoxy, hydroxyl, C₁-C₄-alkylcarbonyloxy, C_1-C_4 -alkylthio, C_1-C_4 -haloalkylthio, di(C1-C4-alkyl)amino, COR6, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached 40 to them one to three of the following groups: nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

45 or

AND STREET

 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

5

or

R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;

is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkynyloxy or NR^7R^8 ;

15

 R^7 is hydrogen or $C_1 \cdot C_4 \cdot alkyl;$

 R^8 is $C_1 \cdot C_4 \cdot alkyl$;

Y is O, S, NR^{12} , CO or $CR^{13}R^{14}$;

25 R^9 , R^{12} are hydrogen or C_1 - C_4 -alkyl;

 R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -haloalkoxycarbonyl or $CONR^7R^8$;

30.

or

 R^4 and R^9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R^{13} together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

40 R^{15} is a pyrazole of the formula II which is linked in the 4-position

II

where

10

5

R16 is C₁-C₆-alkyl;

Z

is H or SO_2R^{17} ;

15

20

R17 is $C_1 \cdot C_4 \cdot alkyl$, $C_1 \cdot C_4 \cdot haloalkyl$, phenyl or

phenyl which is partially or fully halogenated and/or has attached to it one to three of the

following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl,

 C_1-C_4 -alkoxy or C_1-C_4 -haloalkoxy;

R18

is hydrogen or $C_1 - C_6 - alkyl;$

where X and Y are not simultaneously oxygen or sulfur;

with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-

4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-

30 benzoyl]-1,3-dimethyl-5-hydroxy-lH-pyrazole,

4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methyl-

sulfonylbenzoy1]-1,3-dimethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-

1,3-dimethyl-5-hydroxy-1H-pyrazole and

35 4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

or the agriculturally useful salts thereof.

40 The invention furthermore relates to processes and intermediates for the preparation of compounds of the formula I, to compositions comprising them, and to the use of these derivatives or compositions comprising them for the control of harmful plants.

Pyrazol-4-yl-benzoyl derivatives have been disclosed in the literature, for example in WO 96/26206.

However, the herbicidal properties of the compounds which have been known to date and their compatibility properties regarding crop plants are only moderately satisfactory.

It is an object of the present invention to provide novel, in particular herbicidally active, compounds which have improved properties.

We have found that this object is achieved by the 3-heterocyclyl-substituted benzoyl derivatives of the formula I and by 15 their herbicidal activity.

We have furthermore found herbicidal compositions which comprise the compounds I and which have a very good herbicidal activity. Moreover, we have found processes for the preparation of these 20 compositions and methods of controlling undesirable vegetation using the compounds I.

Depending on the substitution pattern, the compounds of the formula I can contain one or more chiral centers, in which case they exist as enantiomer or diastereomer mixtures. The present invention relates to the pure enantiomers or diastereomers and to the mixtures thereof.

The compounds of the formula I may also exist in the form of their agriculturally useful salts, the type of salt generally being of no importance. In general, suitable salts are the salts of those cations or the acid addition salts of those acids whose cations, or anions, respectively, do not adversely affect the herbicidal activity of the compounds I.

Suitable cations are, in particular, ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium and magnesium, and of the transition 40 metals, preferably manganese, copper, zinc and iron, and also ammonium, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl, phenyl or benzyl, preferably ammonium, dimethylammonium, diisopropylammonium,

tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium,

di(2-hydroxyeth-1-yl)ammonium, trimethylbenzylammonium, in addition phosphonium ions, sulfonium ions, preferably $\operatorname{tri}(C_1-C_4-alkyl)$ sulfonium and sulfoxonium ions, preferably $\operatorname{tri}(C_1-C_4-alkyl)$ sulfoxonium.

5

Anions of useful acid addition salts are mainly chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C₁-C₄-alkanoic acids, preferably formate, acetate, propionate and butyrate.

The organic moieties mentioned for the substituents R1-R18 or as radicals on phenyl rings are collective terms for individual enumerations of the individual group members. All hydrocarbon chains, ie. all alkyl, haloalkyl, cyanoalkyl, alkoxy, haloalkoxy, alkyliminooxy, alkylcarbonyloxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl,

- haloalkylsulfonyl, alkoxycarbonyl, haloalkoxycarbonyl, alkenyloxy, alkynyloxy, dialkylamino, dialkylhydrazino, alkoxyalkyl, hydroxyalkoxyalkyl, dialkoxyalkyl, alkylthioalkyl, dialkylaminoalkyl, dialkylhydrazinoalkyl, alkyliminooxyalkyl, alkoxycarbonylalkyl and alkoxyalkoxy moieties, can be
- straight-chain or branched. Unless otherwise specified, halogenated substituents preferably have attached to them one to five identical or different halogen atoms. The meaning of halogen is in each case fluorine, chlorine, bromine or iodine.

30 Other examples of meanings are:

- C₁-C₄-alkyl and the alkyl moieties of di-(C₁-C₄-alkoxy)-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-l-hydrazino]-C₁-C₄-alkyl, C₁-C₆-alkyliminooxy-C₁-C₄-alkyl, hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl and C₁-C₄-alkylcarbonyloxy: for example methyl
- C₁-C₄-alkyl and C₁-C₄-alkylcarbonyloxy: for example methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl and 1,1-dimethylethyl;
- C₁-C₆-alkyl: C₁-C₄-alkyl as mentioned above and, for example, pentyl, l-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl,
 - 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl,
 - 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl,
 - 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl,
- 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl,

2-ethylbutyl, 1,1,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-3-methylpropyl;

- C₁-C₄-haloalkyl: a C₁-C₄-alkyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl,
- 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl,
- 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl,
- 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl,
 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl and
 nonafluorobutyl;
- C₁-C₆-haloalkyl: C₁-C₄-haloalkyl as mentioned above and, for example, 5-fluoropentyl, 5-chloropentyl, 5-bromopentyl, 5-iodopentyl, undecafluoropentyl, 6-fluorohexyl, 6-chlorohexyl, 6-bromohexyl, 6-iodohexyl and dodecafluorohexyl;
- C1-C4-cyanoalkyl: for example cyanomethyl, 1-cyanoeth-1-yl, 2-cyanoeth-1-yl, 1-cyanoprop-1-yl, 2-cyanoprop-1-yl, 3-cyanoprop-1-yl, 1-cyanoprop-2-yl, 2-cyanoprop-2-yl, 1-cyanobut-1-yl, 2-cyanobut-1-yl, 3-cyanobut-1-yl, 4-cyanobut-1-yl, 1-cyanobut-2-yl, 2-cyanobut-2-yl,
- 1-cyanobut-3-y1, 2-cyanobut-3-y1, 1-cyano-2-methylprop-3-y1, 2-cyano-2-methylprop-3-y1, 3-cyano-2-methylprop-3-y1 and 2-cyanomethylprop-2-y1;
- C₁-C₄-alkoxy and the alkoxy moieties of di-(C₁-C₄-alkoxy)-C₁-C₄-alkyl and hydroxy-C₁-C₄-alkoxy-C₁-C₄-alkyl: for example methoxy, ethoxy, propoxy, l-methylethoxy, butoxy, l-methylpropoxy, 2-methylpropoxy and l,l-dimethylethoxy;
- C₁-C₆-alkoxy: C₁-C₄-alkoxy as mentioned above and, for example, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methoxylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy,

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2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy,
         1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy,
         2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy,
         1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy,
  5
         1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and
         1-ethy1-2-methylpropoxy;
         C_1-C_4-haloalkoxy: a C_1-C_4-alkoxy radical as mentioned above
         which is partially or fully substituted by fluorine,
 10
         chlorine, bromine and/or iodine, for example fluoromethoxy,
         difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy,
         bromodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy,
         2-bromomethoxy, 2-iodoethoxy, 2,2-difluoroethoxy,
         2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy,
 15
         2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy,
         2,2,2-trichloroethoxy, pentafluoroethoxy, 2-fluoropropoxy,
         3-fluoropropoxy, 2-chloropropoxy, 3-chloropropoxy,
        2-bromopropoxy, 3-bromopropoxy, 2,2-difluoropropoxy,
         2,3-difluoropropoxy, 2,3-dichloropropoxy,
 20
        3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy,
        2,2,3,3,3-pentafluoropropoxy, heptafluoropropoxy,
         1-(fluoromethy1)-2-fluoroethoxy,
        1-(chloromethy1)-2-chloroethoxy,
        1-(bromomethy1)-2-bromoethoxy, 4-fluorobutoxy,
25
        4-chlorobutoxy, 4-bromobutoxy and nonafluorobutoxy;
        C_1\text{-}C_6\text{-}haloalkoxy: }C_1\text{-}C_4\text{-}haloalkoxy as mentioend above and, for
        example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy,
        5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy,
30
        6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy and
        dodecafluorohexoxy;
        C_1\text{-}C_6\text{-}alkyliminooxy} and the C_1\text{-}C_6\text{-}akyliminooxy} moieties of
        C<sub>1</sub>-C<sub>6</sub>-alkyliminooxy-C<sub>1</sub>-C<sub>4</sub>-alkyl: for example methyliminooxy,
35
        ethyliminooxy, 1-propyliminooxy, 2-propyliminooxy,
        1-butyliminooxy, 2-butyliminooxy, 2-methylprop-1-yliminooxy,
        1-pentyliminooxy, 2-pentyliminooxy, 3-pentyliminooxy,
        3-methylbut-2-yliminoxy, 2-methylbut-1-yliminooxy,
        3-methylbut-1-yliminooxy, 1-hexyliminooxy, 2-Hexyliminooxy,
40
        3-hexyliminooxy, 2-methylpent-1-yliminooxy,
       3-methylpent-1-yliminooxy, 4-methylpent-1-yliminooxy,
       2-ethylbut-1-yliminooxy, 3-ethylbut-1-yliminooxy,
       2,3-dimethylbut-1-yliminooxy, 3-methylpent-2-yliminooxy,
       4-methylpent-2-yliminooxy and 3,3-dimethylbut-2-yliminooxy;
45
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- C₁-C₄-alkylthio: for example methylthio, ethylthio, propylthio, l-methylethylthio, butylthio, l-methylpropylthio, 2-methylpropylthio and l,l-dimethylethylthio;
- C₁-C₆-alkylthio: C₁-C₄-alkylthio as mentioned above and, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio,
- 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio,
 - 1,2-dimethylbutylthio, 1,3-dimethylbutylthio,
 - 2,2-dimethylbutylthio, 2,3-dimethylbutylthio,
 - 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio,
 - 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio,
- 1-ethyl-1-methylpropylthio and l-ethyl-2-methylpropylthio;
- C₁-C₄-haloalkylthio: a C₁-C₄-alkylthio radical as mentioned above, which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example
- fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, bromodifluoromethylthio, 2-fluorethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio,
- 2,2,2-trifluoroethylthio, 2,2,2-trichloroethylthio,
 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio,
 2,2-dichloro-2-fluoroethylthio, pentafluoroethylthio,
 2-fluoropropylthio, 3-fluoropropylthio, 2-chloropropylthio,
 3-chloropropylthio, 2-bromopropylthio, 3-bromopropylthio,
- 2,2-difluoropropylthio, 2,3-difluoropropylthio,
 2,3-dichloropropylthio, 3,3,3-trifluoropropylthio,
 3,3,3-trichloropropylthio, 2,2,3,3,3-pentafluoropropylthio,
 heptafluoropropylthio, 1-(fluoromethyl)-2-fluoroethylthio,
 1-(chloromethyl)-2-chloroethylthio,
- 1-(bromomethyl)-2-bromoethylthio, 4-fluorobutylthio, 4-chlorobutylthio, 4-bromobutylthio and nonafluorobutylthio;
- C₁-C₆-haloalkylthio: C₁-C₄-haloalkylthio as mentioned above and, for example, 5-fluoropentylthio, 5-chloropentylthio,
 5-bromopentylthio, 5-iodopentylthio, undecafluoropentylthio,
 6-fluorohexylthio, 6-chlorohexylthio,
 6-iodohexylthio and dodecafluorohexylthio;
- C₁-C₆-alkylsulfinyl (C₁-C₆-alkyl-S(=0)-): for example methylsulfinyl, ethylsulfinyl, propylsulfinyl, l-methylethylsulfinyl, butylsulfinyl, l-methylpropylsulfinyl, 2-methylpropylsulfinyl, l,l-dimethylethylsulfinyl,

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pentylsulfinyl, 1-methylbutylsulfinyl, 2-methylbutylsulfinyl,
         3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl,
         1-ethylpropylsulfinyl, 1,1-dimethylpropylsulfinyl,
         1,2-dimethylpropylsulfinyl, hexylsulfinyl,
  5
         1-methylpentylsulfinyl, 2-methylpentylsulfinyl,
         3-methylpentylsulfinyl, 4-methylpentylsulfinyl,
         1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl,
         1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl,
         2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl,
         1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl,
 10
         1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl,
         1-ethyl-1-methylpropylsulfinyl and
         1-ethyl-2-methylpropylsulfinyl;
 15 _
        C_1-C_6-haloalkylsulfinyl: a C_1-C_6-alkylsulfinyl radical as
        mentioned above which is partially or fully substituted by
        fluorine, chlorine, bromine and/or iodine, for example
        fluoromethylsulfinyl, difluoromethylsulfinyl,
        trifluoromethylsulfinyl, chlorodifluoromethylsulfinyl,
 20
        bromodifluoromethylsulfinyl, 2-fluoroethylsulfinyl,
        2-chloroethylsulfinyl, 2-bromoethylsulfinyl,
        2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl,
        2,2,2-trifluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl,
        2-chloro-2-fluoroethylsulfinyl,
25
        2-chloro-2,2-difluoroethylsulfinyl,
        2,2-dichloro-2-fluoroethylsulfinyl, pentafluoroethylsulfinyl,
        2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl,
        2-chloropropylsulfinyl, 3-chloropropylsulfinyl,
        2-bromopropylsulfinyl, 3-bromopropylsulfinyl,
30
        2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl,
        2,3-dichloropropylsulfinyl, 3,3,3-trifluoropropylsulfinyl,
        3,3,3-trichloropropylsulfinyl,
        2,2,3,3,3-pentafluoropropylsulfinyl,
       heptafluoropropylsulfinyl,
35
       1-(fluoromethyl)-2-fluoroethylsulfinyl,
       1-(chloromethyl)-2-chloroethylsulfinyl,
       1-(bromomethyl)-2-bromoethylsulfinyl, 4-fluorobutylsulfinyl,
       4-chlorobutylsulfinyl, 4-bromobutylsulfinyl,
       nonafluorobutylsulfinyl, 5-fluoropentylsulfinyl,
40
       5-chloropentylsulfinyl, 5-bromopentylsulfinyl,
       5-iodopentylsulfinyl, undecafluoropentylsulfinyl,
       6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl,
       6-bromohexylsulfinyl, 6-iodohexylsulfinyl and
       dodecafluorohexylsulfinyl;
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Nukree i

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C_1-C_6-alkylsulfonyl (C_1-C_6-alkyl-S(=0)_2-): for example
         methylsulfonyl, ethylsulfonyl, propylsulfonyl,
         1-methylethylsulfonyl, butylsulfonyl, 1-methylpropylsulfonyl,
         2-methylpropylsulfonyl, 1,1-dimethylethylsulfonyl,
         pentylsulfonyl, 1-methylbutylsulfonyl, 2-methylbutylsulfonyl,
  5
         3-methylbutylsulfonyl, 1,1-dimethylpropylsulfonyl,
         1,2-dimethylpropylsulfonyl, 2,2-dimethylpropylsulfonyl,
         1-ethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl,
         2-methylpentylsulfonyl, 3-methylpentylsulfonyl,
 10
         4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl,
        1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl,
        2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl,
        3,3-dimethylbutylsulfonyl, 1-ethylbutylsulfonyl,
        2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl,
        1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl
 15
        and 1-ethyl-2-methylpropylsulfonyl;
        C_1-C_6-haloalkylsulfonyl: a C_1-C_6-alkylsulfonyl radical as
        mentioned above which is partially or fully substituted by
20
        fluorine, chlorine, bromine and/or iodine, for example
        fluoromethylsulfonyl, difluoromethylsulfonyl,
        trifluoromethylsulfonyl, chlorodifluoromethylsulfonyl,
        bromodifluoromethylsulfonyl, 2-fluoroethylsulfonyl,
        2-chloroethylsulfonyl, 2-bromoethylsulfonyl,
25
        2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl,
        2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl,
        2-chloro-2,2-difluoroethylsulfonyl,
        2,2-dichloro-2-fluoroethylsulfonyl,
        2,2,2-trichloroethylsulfonyl, pentafluoroethylsulfonyl,
30
        2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl,
        2-chloropropylsulfonyl, 3-chloropropylsulfonyl,
        2-bromopropylsulfonyl, 3-bromopropylsulfonyl,
       2,2-difluoropropylsulfonyl, 2,3-difluoropropylsulfonyl,
       2,3-dichloropropylsulfonyl, 3,3,3-trifluoropropylsulfonyl,
35
       3,3,3-trichloropropylsulfonyl,
       2,2,3,3,3-pentafluoropropylsulfonyl,
       heptafluoropropylsulfonyl,
       1-(fluoromethyl)-2-fluoroethylsulfonyl, 1-(chloromethyl)-2-
       chloroethylsulfonyl, 1-(bromomethyl)-2-bromoethylsulfonyl,
40
       4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl,
       4-bromobutylsulfonyl, nonafluorobutylsulfonyl,
       5-fluoropentylsulfonyl, 5-chloropentylsulfonyl,
       5-bromopentylsulfonyl, 5-iodopentylsulfonyl,
       6-fluorohexylsulfonyl, 6-bromohexylsulfonyl,
45
       6-iodohexylsulfonyl and dodecafluorohexylsulfonyl;
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C1-C4-alkoxycarbonyl: for example methoxycarbonyl,
        ethoxycarbonyl, propoxycarbonyl, 1-methylethoxycarbonyl,
        butoxycarbony1, 1-methylpropoxycarbony1,
        2-methylpropoxycarbonyl and 1,1-dimethoxycarbonyl;
  5
        C_1-C_4-haloalkoxycarbonyl: a C_1-C_4-alkoxycarbonyl as mentioned
        above which is partially or fully substituted by fluorine,
        chlorine, bromine and/or iodine, for example
        fluoromethoxycarbonyl, difluoromethoxycarbonyl,
10
        trifluoromethoxycarbonyl, chlorodifluoromethoxycarbonyl,
        bromodifluoromethoxycarbonyl, 2-fluoroethoxycarbonyl,
        2-chloroethoxycarbonyl, 2-bromoethoxycarbonyl,
        2-iodoethoxycarbonyl, 2,2-difluoroethoxycarbonyl,
        2,2,2-trifluoroethoxycarbonyl,
15
        2-chloro-2-fluoroethoxycarbonyl,
        2-chloro-2,2-difluoroethoxycarbonyl,
        2,2-dichloro-2-fluoroethoxycarbonyl,
        2,2,2-trichloroethoxycarbonyl, pentafluoroethoxycarbonyl,
        2.fluoropropoxycarbonyl, 3.fluoropropoxycarbonyl,
20
        2-chloropropoxycarbonyl, 3-chloropropoxycarbonyl,
        2-bromopropoxycarbonyl, 3-bromopropoxycarbonyl,
        2,2-difluoropropoxycarbonyl, 2,3-difluoropropoxycarbonyl,
        2,3-dichloropropoxycarbonyl, 3,3,3-trifluoropropoxycarbonyl,
        3,3,3-trichloropropoxycarbonyl,
25
        2,2,3,3,3-pentafluoropropoxycarbonyl,
       heptafluoropropoxycarbonyl,
       1-(fluoromethyl)-2-fluoroethoxycarbonyl,
       1-(chloromethyl)-2-chloroethoxycarbonyl,
       1-(bromomethy1)-2-bromoethoxycarbony1,
30
       4-fluorobutoxycarbony1, 4-chlorobutoxycarbony1,
       4-bromobutoxycarbonyl and 4-iodobutoxycarbonyl;
       C3-C6-alkenyloxy: for example prop-1-en-1-yloxy,
       prop-2-en-1-yloxy, 1-methylethenyloxy, buten-1-yloxy,
35
       buten-2-yloxy, buten-3-yloxy, 1-methylprop-1-en-1-yloxy,
       2-methylprop-1-en-1-yloxy, 1-methylprop-2-en-1-yloxy,
       2-methylprop-2-en-1-yloxy, penten-1-yloxy, penten-2-yloxy,
       penten-3-yloxy, penten-4-yloxy, 1-methylbut-1-en-1-yloxy,
       2-methylbut-1-en-1-yloxy, 3-methylbut-1-en-1-yloxy,
40
       1-methylbut-2-en-1-yloxy, 2-methylbut-2-en-1-yloxy,
       3-methylbut-2-en-1-yloxy, 1-methylbut-3-en-1-yloxy,
       2-methylbut-3-en-1-yloxy, 3-methylbut-3-en-1-yloxy,
       1,1-dimethylprop-2-en-1-yloxy, 1,2-dimethylprop-1-en-1-yloxy,
       1,2-dimethy1prop-2-en-1-yloxy, 1-ethy1prop-1-en-2-yloxy,
45
       1-ethylprop-2-en-1-yloxy, hex-1-en-1-yloxy, hex-2-en-1-yloxy,
       hex-3-en-1-yloxy, hex-4-en-1-yloxy, hex-5-en-1-yloxy,
       l-methylpent-1-en-1-yloxy, 2-methylpent-1-en-1-yloxy,
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3-methylpent-1-en-1-yloxy, 4-methylpent-1-en-1-yloxy,
         1-methylpent-2-en-1-yloxy, 2-methylpent-2-en-1-yloxy,
         3-methylpent-2-en-1-yloxy, 4-methylpent-2-en-1-yloxy,
         1-methylpent-3-en-1-yloxy, 2-methylpent-3-en-1-yloxy,
  5
         3-methylpent-3-en-1-yloxy, 4-methylpent-3-en-1-yloxy,
         1-methylpent-4-en-1-yloxy, 2-methylpent-4-en-1-yloxy,
         3-methylpent-4-en-1-yloxy, 4-methylpent-4-en-1-yloxy,
         1,1-dimethylbut-2-en-1-yloxy, 1,1-dimethylbut-3-en-1-yloxy,
        1,2-dimethylbut-1-en-1-yloxy, 1,2-dimethylbut-2-en-1-yloxy,
        1,2-dimethylbut-3-en-1-yloxy, 1,3-dimethylbut-1-en-1-yloxy,
 10
        1,3-dimethy1but-2-en-1-yloxy, 1,3-dimethy1but-3-en-1-yloxy,
        2,2-dimethy1but-3-en-1-y1oxy, 2,3-dimethy1but-1-en-1-yloxy,
        2,3-dimethylbut-2-en-1-yloxy, 2,3-dimethylbut-3-en-1-yloxy,
        3,3-dimethy1but-1-en-1-yloxy, 3,3-dimethy1but-2-en-1-yloxy,
 15
        1-ethy1but-1-en-1-yloxy, 1-ethy1but-2-en-1-yloxy,
        1-ethylbut-3-en-1-yloxy, 2-ethylbut-1-en-1-yloxy,
        2-ethylbut-2-en-1-yloxy, 2-ethylbut-3-en-1-yloxy,
        1,1,2-trimethylprop-2-en-1-yloxy,
        1-ethyl-1-methylprop-2-en-1-yloxy,
20
        1-ethyl-2-methylprop-1-en-1-yloxy and
        1-ethyl-2-methylprop-2-en-1-yloxy;
        C_3-C_6-alkynyloxy: for example prop-1-yn-1-yloxy,
        prop-2-yn-1-yloxy, but-1-yn-1-yloxy, but-1-yn-3-yloxy,
25
        but-1-yn-4-yloxy, but-2-yn-1-yloxy, pent-1-yn-1-yloxy,
        pent-1-yn-3-yloxy, pent-1-yn-4-yloxy, pent-1-yn-5-yloxy,
        pent-2-yn-1-yloxy, pent-2-yn-4-yloxy, pent-2-yn-5-yloxy,
        3-methylbut-1-yn-3-yloxy, 3-methylbut-1-yn-4-yloxy,
        hex-1-yn-1-yloxy, hex-1-yn-3-yloxy, hex-1-yn-4-yloxy,
30
        hex-1-yn-5-yloxy, hex-1-yn-6-yloxy, hex-2-yn-1-yloxy,
       hex-2-yn-4-yloxy, hex-2-yn-5-yloxy, hex-2-yn-6-yloxy,
       hex-3-yn-1-yloxy, hex-3-yn-2-yloxy,
        3-methylpent-1-yn-1-yloxy, 3-methylpent-1-yn-3-yloxy,
       3-methylpent-1-yn-4-yloxy, 3-methylpent-1-yn-5-yloxy,
35
        4-methylpent-1-yn-1-yloxy, 4-methylpent-2-yn-4-yloxy and
       4-methylpent-2-yn-5-yloxy;
       di(C1-C4-alkyl)amino: for example N, N-dimethylamino,
       N, N-diethylamino, N, N-dipropylamino,
40
       N, N-di(1-methylethyl)amino, N, N-dibutylamino,
       N, N-di(l-methylpropyl)amino, N, N-di(2-methylpropyl)amino,
       N, N-di(1,1-dimethylethyl)amino, N-ethyl-N-methylamino,
       N-methyl-N-propylamino, N-methyl-N-(1-methylethyl)amino,
       N-butyl-N-methylamino, N-methyl-N-(1-methylpropyl)amino,
45
       N-methyl-N-(2-methylpropyl)amino,
       N-(1,1-dimethylethyl)-N-methylamino, N-ethyl-N-propylamino,
       N-ethyl-N-(1-methylethyl)amino, N-butyl-N-ethylamino,
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N-ethyl-N-(1-methylpropyl)amino,
        N-ethy1-N-(2-methy1propyl)amino,
        N-ethyl-N-(1,1-dimethylethyl)amino,
        N-(1-methylethyl)-N-propylamino, N-butyl-N-propylamino,
 5
        N-(1-methylpropyl)-N-propylamino,
        N-(2-methylpropyl)-N-propylamino,
        N-(1,1-dimethylethyl)-N-propylamino,
        N-buty1-N-(1-methylethyl)amino,
        N-(1-methylethy1)-N-(1-methylpropy1)amino,
10
        N-(1-methylethy1)-N-(2-methylpropy1)amino,
        N-(1,1-dimethylethyl)-N-(1-methylethyl)amino,
        N-butyl-N-(1-methylpropyl)amino,
        N-butyl-N-(2-methylpropyl)amino,
        N-butyl-N-(1,1-dimethylethyl)amino,
15
        N-(1-methylpropyl)-N-(2-methylpropyl)amino,
        N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino and
        N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino;
        [2,2-di(C_1-C_4-alkyl)-1-hydrazino], and the dialkylhydrazino
20
        moieties of [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-l-hydrazino]-C<sub>1</sub>-C<sub>4</sub>-alkyl: for
        example 2,2-dimethylhydrazino-1, 2,2-diethylhydrazino-1,
        2,2-dipropylhydrazino-1, 2,2-di(1-methylethyl)-1-hydrazino,
        2,2-dibuty1hydrazino-1, 2,2-di(l-methy1propy1)-1-hydrazino,
        2,2-di(2-methy1propy1)-1-hydrazino,
25
        2,2-di(1,1-dimethylethyl)-l-hydrazino,
        2-ethy1-2-methy1-1-hydrazino, 2-methy1-2-propy1-1-hydrazino,
        2-methyl-2-(1-methylethyl)-l-hydrazino,
        2-butyl-2-methyl-1-hydrazino.
       2-methyl-2-(1-methylpropyl)-l-hydrazino,
30
       2-methyl-2-(2-methylpropy1)-l-hydrazino,
       2-(1,1-dimethylethyl)-2-methyl-1-hydrazino,
       2-ethy1-2-propyl-1-hydrazino,
       2-ethyl-2-(1-methylethyl)-1-hydrazino,
       2-butyl-2-ethyl-1-hydrazino,
35
       2-ethy1-2-(1-methylpropyl)-1-hydrazino,
       2-ethyl-2-(2-methylpropyl)-l-hydrazino,
       2-ethyl-2-(1,1-dimethylethyl)-1-hydrazino,
       2-(1-methy1ethy1)-2-propyl-1-hydrazino,
       2-buty1-2-propy1-1-hydrazino,
40
       2-(1-methy1propy1)-2-propy1-1-hydrazino,
       2-(2-methylpropyl)-2-propy1-1-hydrazino,
       2-(1,1-dimethy1ethy1)-2-propy1-1-hydrazino,
       2-buty1-2-(1-methylethyl)-1-hydrazino,
       2-(1-methy1ethy1)-2-(1-methy1propy1)-1-hydrazino,
45
       2-(1-methylethyl)-2-(2-methylpropyl)-1-hydrazino,
       2-(1,1-dimethylethyl)-2-(1-methylethyl)-1-hydrazino,
       2-butyl-2-(1-methy1propy1)-1-hydrazino,
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2-butyl-2-(2-methylpropyl)-1-hydrazino,
        2-butyl-2-(1,1-dimethylethyl)-1-hydrazino,
        2-(1-methylpropyl)-2-(2-methylpropyl)-1-hydrazino,
        2-(1,1-dimethylethyl)-2-(1-methylpropyl)-1-hydrazino and
  5
        2-(1,1-dimethylethyl)-2-(2-methylpropyl)-1-hydrazino;
        di(C_1-C_4-alkyl) amino-C_1-C_4-alkyl: C_1-C_4-alkyl which is
        substituted by di(C_1-C_4-alkyl) amino as mentioned above, for
        example N, N-dimethylaminomethyl, N, N-diethylaminomethyl,
10
        N, N-dipropylaminomethyl, N, N-di(1-methylethyl)aminomethyl,
        N, N-dibutylaminomethyl, N, N-di(1-methylpropyl)aminomethyl,
        N, N-di (2-methylpropyl) aminomethyl,
        N, N-di(1, 1-dimethylethyl) aminomethyl,
        N-ethyl-N-methylaminomethyl, N-methyl-N-propylaminomethyl,
15 .
        N-methyl-N-(1-methylethyl)aminomethyl,
        N-butyl-N-methylaminomethyl,
        N-methyl-N-(1-methylpropyl)aminomethyl,
        N-methyl-N-(2-methylpropyl)aminomethyl,
        N-(1,1-dimethylethyl) -N-methylaminomethyl,
20
       N-ethyl-N-propylaminomethyl,
       N-ethyl-N-(1-methylethyl)aminomethyl,
       N-butyl-N-ethylaminomethyl,
       N-ethyl-N-(1-methylpropyl)aminomethyl,
       N-ethyl-N-(2-methylpropyl)aminomethyl, N-ethyl-N-(1,1-di-
25
       methylethyl) aminomethyl,
       N-(1-methylethyl)-N-propylaminomethyl,
       N-butyl-N-propylaminomethyl,
       N-(1-methylpropyl)-N-propylaminomethyl,
       N-(2-methylpropyl)-N-propylaminomethyl,
30
       N-(1,1-dimethylethyl) - N-propylaminomethyl, N-butyl-N-
       (1-methylethyl)aminomethyl,
       N-(1-methylethyl)-N-(1-methylpropyl)aminomethyl,
       N-(1-methylethyl)-N-(2-methylpropyl)aminomethyl,
       N-(1,1-dimethylethyl)-N-(1-methylethyl)aminomethyl,
35
       N-butyl-N-(1-methylpropyl)aminomethyl,
       N-butyl-N-(2-methylpropyl)aminomethyl, N-butyl-N-
       (1,1-dimethylethyl) aminomethyl,
       N-(1-methylpropyl)-N-(2-methylpropyl)aminomethyl,
       N-(1,1-dimethylethyl)-N-(1-methylpropyl)aminomethyl,
40
       N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminomethyl,
       2-(N,N-dimethylamino)ethyl, 2-(N,N-diethylamino)ethyl,
       2-(N, N-dipropylamino) ethyl,
       2-[N, N-di(1-methylethyl)amino]ethyl,
       2-[N, N-dibutylamino]ethyl,
45
       2-[N, N-di(1-methylpropyl)amino]ethyl,
       2-[N,N-di(2-methylpropyl)amino]ethyl, 2-[N,N-di(1,1-
       dimethylethyl)amino]ethyl, 2-[N-ethyl-N-methylamino]ethyl,
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2-[N-methyl-N-propylamino]ethyl,
        2-[N-methyl-N-(l-methylethyl)amino]ethyl,
        2-[N-butyl-N-methylamino]ethyl,
        2-[N-methyl-N-(1-methylpropyl)amino]ethyl,
  5
        2-[N-methyl-N-(2-methylpropyl)amino]ethyl,
        2-[N-(1,1-dimethylethyl)-N-methylamino]ethyl,
        2-[N-ethyl-N-propylamino]ethyl,
        2-[N-ethyl-N-(l-methylethyl)amino]ethyl,
        2-[N-butyl-N-ethylamino]ethyl,
 10
        2-[N-ethyl-N-(1-methylpropyl)amino]ethyl,
        2-[N-ethyl-N-(2-methylpropyl)amino]ethyl,
        2-[N-ethyl-N-(1,1-dimethylethylamino]ethyl,
        2-[N-(1-methylethyl)-N-propylamino]ethyl,
        2-[N-butyl-N-propylamino]ethyl,
 15
        2-[N-(1-methylpropyl)-N-propylamino]ethyl,
        2-[N-(2-methylpropyl)-N-propylamino]ethyl,
        2-[N-(1,1-dimethylethyl)-N-propylamino]ethyl,
        2-[N-butyl-N-(1-methylethyl)amino]ethyl,
        2-[N-(1-methylethyl)-N-(1-methylpropyl)amino]ethyl,
20
        2-[N-(1-methylethyl)-N-(2-methylpropyl)amino]ethyl,
        2-[N-(1,1-dimethylethyl)-N-(1-methylethyl)amino]ethyl,
        2-[N-butyl-N-(1-methylpropyl)amino]ethyl,
        2-[N-butyl-N-(2-methylpropyl)amino]ethyl,
        2-[N-butyl-N-(1,1-dimethylethyl)amino]ethyl,
25
        2-[N-(1-methylpropyl)-N-(2-methylpropyl)amino]ethyl,
        2-[N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino]ethyl,
       2-[N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino]ethyl,
       3-(N, N-dimethylamino) propyl, 3-(N, N-diethylamino) propyl,
       4-(N, N-dimethylamino) butyl und 4-(N, N-diethylamino) butyl;
30
       C_1-C_4-alkoxy-C_1-C_4-alkyl: C_1-C_4-alkyl which is substituted by
       C_1-C_4-alkoxy as mentioned above, for example methoxymethyl,
       ethoxymethyl, propoxymethyl, (1-methylethoxy)methyl,
       butoxymethyl, (1-methylpropoxy)methyl,
35
        (2-methylpropoxy) methyl, (1,1-dimethylethoxy) methyl,
       2-(methoxy) ethyl, 2-(ethoxy) ethyl, 2-(propoxy) ethyl,
       2-(1-methylethoxy)ethyl, 2-(butoxy)ethyl,
       2-(1-methylpropoxy) ethyl, 2-(2-methylpropoxy) ethyl,
       2-(1,1-dimethylethoxy)ethyl, 2-(methoxy)-propyl,
40
       2-(ethoxy)propyl, 2-(propoxy)propyl,
       2-(1-methylethoxy)propyl, 2-(butoxy)propyl,
       2-(1-methylpropoxy)propyl, 2-(2-methylpropoxy)propyl,
       2-(1,1-dimethylethoxy)propyl, 3-(methoxy)propyl,
       3-(ethoxy)-propyl, 3-(propoxy)propyl,
45
       3-(1-methylethoxy)propyl, 3-(butoxy)propyl,
       3-(1-methylpropoxy)propyl, 3-(2-methylpropoxy)propyl,
       3-(1,1-dimethylethoxy)propyl, 2-(methoxy)butyl,
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2-(ethoxy)butyl, 2-(propoxy)butyl, 2-(1-methylethoxy)butyl,
         2-(butoxy)butyl, 2-(1-methylpropoxy)butyl,
         2-(2-methylpropoxy)butyl, 2-(1,1-dimethylethoxy)butyl,
         3-(methoxy)butyl, 3-(ethoxy)butyl, 3-(propoxy)butyl,
  5
         3-(1-methylethoxy)butyl, 3-(butoxy)butyl,
         3-(1-methylpropoxy)butyl, 3-(2-methylpropoxy)butyl,
        3-(1,1-dimethylethoxy)butyl, 4-(methoxy)butyl,
        4-(ethoxy)butyl, 4-(propoxy)butyl, 4-(1-methylethoxy)butyl,
        4-(butoxy)butyl, 4-(1-methylpropoxy)butyl,
        4-(2-methylpropoxy)butyl and 4-(1,1-dimethylethoxy)butyl;
 10
        C_1-C_4-alkylthio-C_1-C_4-alkyl: C_1-C_4-alkyl which is substituted
        by C_1-C_4-alkylthio as mentioned above, for example
        methylthiomethyl, ethylthiomethyl, propylthiomethyl,
 15
        (1-methylethylthio) methyl, butylthiomethyl,
        (1-methylpropylthio) methyl, (2-methylpropylthio) methyl,
        (1,1-dimethylethylthio) methyl, 2-methylthioethyl,
        2-ethylthioethyl, 2-(propylthio)ethyl,
        2-(1-methylethylthio)ethyl, 2-(butylthio)ethyl,
20
        2-(1-methylpropylthio)ethyl, 2-(2-methylpropylthio)ethyl,
        2-(1,1-dimethylethylthio)ethyl, 2-(methylthio)propyl,
        3-(methylthio)propyl, 2-(ethylthio)propyl,
        3-(ethylthio)propyl, 3-(propylthio)propyl,
        3-(butylthio)propyl, 4-(methylthio)butyl, 4-(ethylthio)butyl,
25
        4-(propylthio)butyl and 4-(butylthio)butyl;
        C_1-C_4-alkoxycarbonyl-C_1-C_4-alkyl: C_1-C_4-alkyl which is
        substituted by C_1-C_4-alkoxycarbonyl as mentioned above, for
        example methoxycarbonylmethyl, ethoxycarbonylmethyl,
30
        propoxycarbonylmethyl, (1-methylethoxycarbonyl)methyl,
       butoxycarbonylmethyl, (1-methylpropoxycarbonyl)methyl,
        (2-methylpropoxycarbonyl) methyl,
        (1,1-dimethylethoxycarbonyl)methyl, 2-(methoxycarbonyl)ethyl,
        2-(ethoxycarbonyl)ethyl, 2-(propoxycarbonyl)ethyl,
35
       2-(1-methylethoxycarbonyl)ethyl, 2-(butoxycarbonyl)ethyl,
       2-(1-methylpropoxycarbonyl)ethyl,
       2-(2-methylpropoxycarbonyl)ethyl,
       2-(1,1-dimethylethoxycarbonyl)ethyl,
       2-(methoxycarbonyl)propyl, 2-(ethoxycarbonyl)propyl,
40
       2-(propoxycarbonyl)propyl, 2-(1-methylethoxycarbonyl)propyl,
       2-(butoxycarbonyl)propyl, 2-(l-methylpropoxycarbonyl)propyl,
       2-(2-methylpropoxycarbonyl)propyl,
       2-(1,1-dimethylethoxycarbonyl)propyl,
       3-(methoxycarbonyl)propyl, 3-(ethoxycarbonyl)propyl,
45
       3-(propoxycarbonyl)propyl, 3-(1-methylethoxycarbonyl)propyl,
       3-(butoxycarbonyl)propyl, 3-(1-methylpropoxycarbonyl)propyl,
       3-(2-methylpropoxycarbonyl)propyl,
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3-(1,1-dimethylethoxycarbonyl)propyl,
        2-(methoxycarbonyl)butyl, 2-(ethoxycarbonyl)butyl,
        2-(propoxycarbonyl)butyl, 2-(1-methylethoxycarbonyl)butyl,
        2-(butoxycarbonyl)butyl, 2-(1-methylpropoxycarbonyl)butyl,
  5
        2-(2-methylpropoxycarbonyl)butyl,
        2-(1,1-dimethylethoxycarbonyl)butyl,
        3-(methoxycarbonyl)butyl, 3-(ethoxycarbonyl)butyl,
        3-(propoxycarbonyl)butyl, 3-(1-methylethoxycarbonyl)butyl,
        3-(butoxycarbonyl)butyl, 3-(1-methylpropoxycarbonyl)butyl,
 10
        3-(2-methylpropoxycarbonyl)butyl,
        3-(1,1-dimethylethoxycarbonyl)butyl, 4-(methoxycarbonyl)-
        butyl, 4-(ethoxycarbonyl)butyl, 4-(propoxycarbonyl)butyl,
        4-(1-methylethoxycarbonyl)butyl, 4-(butoxycarbonyl)butyl,
        4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy und
15
        4-(1,1-dimethylethoxycarbonyl)butyl;
        C_1-C_4-alkoxy-C_2-C_4-alkoxy: C_2-C_4-alkoxy which is substituted
        by C_1-C_4-alkoxy as mentioned above, for example
        2-(methoxy) ethoxy, 2-(ethoxy) ethoxy, 2-(propoxy) ethoxy,
20
        2-(1-methylethoxy) ethoxy, 2-(butoxy) ethoxy,
        2-(1-methylpropoxy)ethoxy, 2-(2-methylpropoxy)ethoxy,
        2-(1,1-dimethylethoxy) ethoxy, 2-(methoxy) propoxy,
        2-(ethoxy)propoxy, 2-(propoxy)propoxy,
        2-(1-methylethoxy)propoxy, 2-(butoxy)propoxy,
25
        2-(1-methylpropoxy)propoxy, 2-(2-methylpropoxy)propoxy,
        2-(1,1-dimethylethoxy)propoxy, 3-(methoxy)propoxy,
        3-(ethoxy)propoxy, 3-(propoxy)propoxy,
        3-(1-methylethoxy)propoxy, 3-(butoxy)propoxy,
        3-(1-methylpropoxy)propoxy, 3-(2-methylpropoxy)propoxy,
30
        3-(1,1-dimethylethoxy)propoxy, 2-(methoxy)butoxy,
       2-(ethoxy)butoxy, 2-(propoxy)butoxy,
       2-(1-methylethoxy) butoxy, 2-(butoxy) butoxy,
       2-(1-methylpropoxy)butoxy, 2-(2-methylpropoxy)butoxy,
       2-(1,1-dimethylethoxy) butoxy, 3-(methoxy) butoxy, 3-(ethoxy) -
35
       butoxy, 3-(propoxy)butoxy, 3-(1-methylethoxy)butoxy,
       3-(butoxy)butoxy, 3-(1-methylpropoxy)butoxy,
       3-(2-methylpropoxy)butoxy, 3-(1,1-dimethylethoxy)butoxy,
       4-(methoxy)butoxy, 4-(ethoxy)butoxy, 4-(propoxy)butoxy,
       4-(1-methylethoxy) butoxy, 4-(butoxy) butoxy,
40
       4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy and
       4-(1,1-dimethylethoxy)butoxy;
       C2-C6-alkanediyl: for example ethane-1,2-diyl,
       propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl and
45
       hexane-1,6-diyl;
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- C₃·C₈·cycloalkyl: for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl;
- All phenyl rings are preferably unsubstituted or have attached to them one to three halogen atoms and/or a nitro group, a cyano radical and/or one or two methyl, trifluoromethyl, methoxy or trifluoromethoxy substituents.
- Preference is given to the 3-heterocyclyl-substituted benzoyl derivatives of the formula I where the variables have the following meanings:
- are hydrogen, nitro, halogen, cyano, C₁-C₆-alkyl,

 C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy,

 C₁-C₆-alkylthio, C₁-C₆-haloalkylthio,

 C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl,

 C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
- 20 \mathbb{R}^3 is hydrogen, halogen or C_1 - C_6 -alkyl;
- R^4 , R^5 are hydrogen, halogen, cyano, nitro, C1-C4-alkyl, C_1-C_4 -alkoxy- C_1-C_4 -alkyl, di(C_1-C_4 -alkoxy)- C_1-C_4 alkyl, $di(C_1-C_4-alkyl)$ -amino- $C_1-C_4-alkyl$, 25 $[2,2-di(C_1-C_4-alkyl)-1-hydrazino]-C_1-C_4-alkyl,$ C_1-C_6 -alkyliminooxy- C_1-C_4 -alkyl, C_1-C_4 -alkoxycarbonyl- $C_1 - C_4 - alkyl$, $C_1 - C_4 - alkyl$ thio $- C_1 - C_4 - alkyl$, C_1-C_4 -haloalkyl, C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, 30 C_1 - C_4 -haloalkoxy, C_1 - C_4 -alkylthio, C_1 - C_4 -haloalkylthio, di(C1-C4-alkyl)amino, COR6, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

or

40 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

45

or

R4 and R5 together with the corresponding carbon form a carbonyl or thiocarbonyl group; Rб is $C_1 - C_4$ -alkyl, $C_1 - C_4$ -haloalkyl, $C_1 - C_4$ -alkoxy, 5 $C_1 \cdot C_4 \cdot alkoxy \cdot C_2 \cdot C_4 \cdot alkoxy$, $C_1 \cdot C_4 \cdot haloalkoxy$, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸; R^7 is hydrogen or $C_1 - C_4 - alkyl;$ 10 R8 is C₁-C₄-alkyl; х is O, S, NR9, CO or CR10R11; 15 _Y is O, S, NR¹², CO or CR¹³R¹⁴; R^9 , R^{12} are hydrogen or C1-C4-alkyl; 20 R10, R11, R^{13} , R^{14} are hydrogen, $C_1 \cdot C_4$ -alkyl, $C_1 \cdot C_4$ -haloalkyl, $C_1 \cdot C_4 \cdot alkoxycarbonyl$, $C_1 \cdot C_4 \cdot haloalkoxycarbonyl$ or CONR7R8; οŗ 25 R4 and R9 or \mathbb{R}^4 and \mathbb{R}^{10} or \mathbb{R}^5 and \mathbb{R}^{12} or \mathbb{R}^5 and \mathbb{R}^{13} together form a C2-C6-alkanediyl chain which can be mono- to tetrasubstituted by C1-C4-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or 30 substituted by C1-C4-alkyl; R¹⁵ is a pyrazole of the formula II which is linked in the 4-position 35 II 40 R16

where

45 R^{16} is $C_1 - C_6 - alkyl;$

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Z is H or SO_2R^{17} ;

is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

10 R¹⁸ is hydrogen or C_1 - C_6 -alkyl;

where X and Y are not simultaneously oxygen or sulfur;

15 with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methyl20 sulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]1,3-dimethyl-5-hydroxy-1H-pyrazole and
4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

or the agriculturally useful salts thereof.

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With a view to the use of the compounds of the formula I

30 according to the invention as herbicides, the variables
preferably have the following meanings, in each case alone or in
combination:

 R^1 , R^2 are nitro, halogen, cyano, C1-C6-alkyl, 35 C₁-C₆-haloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkylthio, C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl; especially preferably nitro, halogen such as, for example, chlorine and bromine, C_1 - C_6 -alkyl such as, for 40 example, methyl and ethyl, C1-C6-alkoxy such as, for example, methoxy and ethoxy, C_1 - C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, $C_1\text{-}C_6\text{-}alkylthio$ such as, for example, methylthio and ethylthio, C1-C6-alkylsulfinyl such as, for example, 45 methylsulfinyl and ethylsulfinyl, C1-C6-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl or C_1 - C_6 -haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl;

5 R³ is hydrogen;

 R^4 , R^5 are hydrogen, halogen, cyano, nitro, C1-C4-alkyl, C_1-C_4 -alkoxy- C_1-C_4 -alkyl, di(C_1-C_4 -alkoxy)- C_1-C_4 -alkyl, $di(C_1-C_4-alkyl)$ amino- $C_1-C_4-alkyl$, 10 $[2,2-di(C_1-C_4-alkyl)]$ hydrazino-1 $]-C_1-C_4-alkyl$, C₁-C₆-alkyliminooxy-C₁-C₄-alkyl, $C_1 - C_4 - alkoxycarbonyl - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkylthio - C_1 - C_4 - alkyl, C_1 - C_4 - haloalkyl,$ $C_1 - C_4$ -cyanoalkyl, $C_3 - C_8$ -cycloalkyl, $C_1 - C_4$ -alkoxy, 15 C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, C_1-C_4 -haloalkoxy, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, $di(C_1-C_4-alkyl)$ amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached 20 to them one to three of the following groups: nitro, cyano, $C_1 \cdot C_4 \cdot alkyl$, $C_1 \cdot C_4 \cdot haloalkyl$, $C_1 \cdot C_4 \cdot alkoxy$

25 or

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R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

 R^4 is especially preferably hydrogen, $\text{C}_1\text{-}\text{C}_4\text{-}\text{alkyl},$ $\text{C}_1\text{-}\text{C}_4\text{-}\text{haloalkyl},$ $\text{C}_1\text{-}\text{C}_4\text{-}\text{alkoxycarbonyl}$ or $\text{CONR}^7R^8;$

 R^5 is especially preferably hydrogen or C_1 - C_4 -alkyl; or

 R^4 and R^5 especially preferably form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

 R^6 is C_1-C_4 -alkyl, C_1-C_4 -alkoxy or NR^7R^8 ;

or C₁-C₄-haloalkoxy;

45 R⁷ is hydrogen or $C_1 \cdot C_4$ -alkyl;

 R^8 is $C_1 - C_4 - alkyl;$

X is O, S, NR^9 , CO or $CR^{10}R^{11}$;

 $\frac{5}{Y}$ is O, S, NR^{12} or $CR^{13}R^{14}$:

 R^9 , R^{12} are hydrogen or C_1 - C_4 -alkyl;

10 R¹⁰, R¹¹, R¹³, R¹⁴ are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -haloalkoxycarbonyl or CONR⁷R⁸;

or 15

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

R¹⁶ is C₁-C₆-alkyl; especially preferably methyl, ethyl, propyl, 2-methylpropyl or butyl;

Z. is H or SO_2R^{17} ;

is C₁-C₄-alkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

35 R^{18} is hydrogen or $C_1 \cdot C_6 \cdot alkyl$;
especially preferably hydrogen or methyl.

The following embodiments of the 3-heterocyclyl-substituted 40 benzoyl derivatives of the formula I must be emphasized:

1. In a preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is SO_2R^{17} .

- Especially preferred are the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R18 is hydrogen.
- 5 Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R18 is methyl. ·
- Particularly preferred are 3-heterocylyl-substituted 10 benzoyl derivatives of the formula I, where R17 is $C_1 - C_4 - alkyl.$
- In a further preferred embodiment of the 3-heterocycly1substituted benzoyl derivatives of the formula I, Z is 15 hydrogen.
- Especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where X is oxygen 20 and Y is CR13R14.
 - Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

25 R4 is halogen, nitro, C1-C4-alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkoxycarbonyl - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkylthio - C_1 - C_4 - alkyl$, $C_1 - C_4 - haloalkyl$, C₁-C₄-cyanoalkyl, C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, $C_1-C_4-Alkoxy-C_2-C_4-alkoxy$, $C_1-C_4-haloalkoxy$, C1-C4-alkylthio, C1-C4-haloalkylthio, di(C1-C4-alkyl)amino, COR6, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully 35 halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, $C_1 \cdot C_4$ -alkoxy or $C_1 \cdot C_4$ -haloalkoxy;

> \mathbb{R}^5 is hydrogen or C₁-C₄-alkyl;

or

 \mathbb{R}^4 and R^5 together form a $C_2 \cdot C_6 \cdot alkanediyl$ chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by

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oxygen or by a nitrogen which is unsubstituted or substituted by $C_1\text{-}C_4\text{-}alkyl;$

5		or	
10		R ⁵	and R^{13} together form a $C_2 \cdot C_6$ -alkanediyl chain which can be mono- to tetrasubstituted by $C_1 \cdot C_4$ -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by $C_1 \cdot C_4$ -alkyl.
15		•	Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula where
		R4	is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxycarbonyl or $CONR^7R^8$;
20.		R ⁵	is hydrogen or C ₁ -C ₄ -alkyl;
		or	
25		R ⁴	and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;
30.		or	
35		R ⁵	and R^{13} together form a $C_2 \cdot C_6$ -alkanediyl chain which can be mono- to tetrasubstituted by $C_1 \cdot C_4$ -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by $C_1 \cdot C_4$ -alkyl.
4 0		3-he	cially extraordinarily preferred are terocyclyl-substituted benzoyl derivatives of the ula I where R ¹⁸ is hydrogen.
15	*	3-he	particularly preferred are terocyclyl-substituted benzoyl derivatives of the ula I where R ⁴ and R ⁵ are hydrogen.

Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R18 is hydrogen. 5 Especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R^{1} is nitro, C_1 - C_6 -alkyl such as, for example, 10 methyl and ethyl, C_1 - C_6 -alkoxy such as, for example, methoxy and ethoxy, C_1-C_6 -haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, 15 ethylsulfonyl and propylsulfonyl, or C₁-C₆-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl; 20 Also especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where 25 \mathbb{R}^2 is nitro, halogen such as, for example, chlorine and bromine, C1-C6-alkyl such as, for example, methyl and ethyl, C1-C6-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, 30 C1-C6-alkylthio such as, for example, methylthio and ethylthio, C1-C6-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C1-C6-alkylsulfonyl such as, for example, 35 methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C1-C6-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl. 40

Also especially extraordinarily preferred is 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

Also especially extraordinarily preferred are the agriculturally useful salts of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole, in particular the alkali metal salts, such as, for example, lithium, sodium and potassium, and the ammonium salts, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C_1-C_4 -alkyl, hydroxy- C_1-C_4 -alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, hydroxy $-C_1 - C_4 - alkyl$ alkoxy-C₁-C₄-alkyl, phenyl or benzyl, preferably ammonium, dimethylammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium, 2-(2-hydroxyeth-1-oxy)eth-1-ylammonium, di (2-hydroxyeth-1-yl)ammonium, trimethylbenzylammonium.

Also extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is methyl.

Especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

R1 is nitro, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-alkoxy such as, for example, methoxy and ethoxy, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C₁-C₆-haloalkylsulfonyl, for example trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

Also especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

R² is nitro, halogen such as, for example, chlorine and bromine, C₁-C₆-alkyl such as, for example, methyl and ethyl, C₁-C₆-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C₁-C₆-alkylthio such as, for example,

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methylthio and ethylthio, $C_1 \cdot C_6 \cdot alkylsulfinyl$ such as, for example, methylsulfinyl and ethylsulfinyl, $C_1 \cdot C_6 \cdot alkylsulfonyl$ such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or $C_1 \cdot C_6 \cdot haloalkylsulfonyl$ such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

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Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

15 X is S, NR^9 , CO or $CR^{10}R^{11}$;

or

Y is O, S, NR^{12} or CO.

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* Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R¹⁸ is hydrogen.

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* Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R^{18} is C_1 - C_6 -alkyl.

30

 Extraordinarily preferred are 3-heterocyclylsubstituted benzoyl derivatives of the formula I where

35

R⁴ is halogen, cyano, nitro, C₁-C₄-alkyl,
C₁-C₄-alkoxy-C₁-C₄-alkyl,
C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl,

. .

 C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkoxy- C_1 - C_4 -alkoxy,

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C₁-C₄-haloalkoxy, C₁-C₄-alkylthio,

 C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the

following groups:

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28 nitro, cyano, C1-C4-alkyl, C1-C4-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy; R⁵ is hydrogen or C₁-C₄-alkyl; 5 or R^4 and R^5 together form a $C_2 \cdot C_6$ -alkanediyl chain which can be mono- to tetrasubstituted 10 by C1-C4-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by $C_1 - C_4 - alkyl;$ 15 or R^4 and R^9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R13 together form a C2-C6-alkanediyl chain 20 which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl. 25 Also particularly preferred are 3-heterocyclylsubstituted benzoyl derivatives of the formula I where X is S, NR9 or CO 30 orY is O, NR^{12} or CO. 35 Extraordinarily preferred are 3-heterocyclylsubstituted benzoyl derivatives of the formula I where 40 R^4 is halogen, cyano, nitro, C1-C4-alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkoxycarbonyl - C_1 - C_4 - alkyl$, C_1-C_4 -alkylthio- C_1-C_4 -alkyl, C_1-C_4 -haloalkyl,

 C_1 - C_4 -cyanoalkyl, C_3 - C_8 -cycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkoxy- C_1 - C_4 -alkoxy,

C₁-C₄-haloalkoxy, C₁-C₄-alkylthio,

 C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C_1 - C_4 -Alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy or C_1 - C_4 -haloalkoxy;

 R^5 is hydrogen or $C_1 - C_4 - alkyl;$

or

R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl.

Particularly extraordinarily preferred are the compounds Ial (\cong I where R^1 = Cl, R^2 = SO₂CH₃, R^3 = H, R^{16} , R^{18} = CH₃, Z=H), in particular the compounds of Table 1.

15 Table 1 No. X R⁴ R⁵ la1.1 CH2 H CH₃ O 1a1.2 CH₂ H O 1a1.3 C(CH₃)₂ H H σ 20 1a1.4 CH₂ H C₂H₅ $\overline{\mathsf{o}}$ Ta1.5 CH₂ CH₃ CH₃ o 1a1.6 CH(CH₃) CH₃. H O la1.7 CH(C₂H₅) H CH₃ O Ta1.8 CH[CH(CH₃)₂] H H O 25 Ta1.9 CH₂ H CH(CH₃)₂ \mathbf{o} Ta1.10 CH(C₂H₅) H O -CH-(CH₂)₄la1.11 H ਰ la1.12 C=O CH₃ CH₃ O Ta1.13 C=O H C₂H₅ O Ta1.14 C=O O la1.15 C=O H H $\overline{\mathsf{o}}$ Ta1.16 C=O CH₃ H O la1.17 CH2 CH₃ H S C(CH₃)₂ la1.18 H H S 35 Ta1.19 CH2 H S la1.20 CH2 CH₃ CH₃ S la1.21 H CH₃ ड la1.22 CH(C₂H₅) S CH₃ Ta1.23 CH(C₂H₅) H S 40 Ta1.24 -CH-(CH₂)₄-H S Ta1.25 H H S CH_2 la1.26 CH(CH₃)₂ H S la1.27 H CH2 CH₃ NH CH₂ Ta1.28 H \mathbf{H} NHC(CH₃)₂ Ta1.29 H 45 H NH Ta1.30 CH₂ H C₂H₅... NH1a1.31 CH₂ CH₃ CH₃ NH

			<u> </u>		
	No.	X	R⁴	R ⁵	Y
	la1.32	CH(CH ₃)	Н	CH ₃	NH
	Ta1.33	CH(C ₂ H ₅)	H	CH ₃	NH
5	la1.34	CH(C ₂ H ₅)	Н	C ₂ H ₅	NH
	la1.35	-CH-(CH ₂) ₄ —	Н	NH
	la1.36	CH[CH(CH ₃) ₂]	Н	Н	NH
	la1.37	CH ₂	Н	CH(CH ₃) ₂	NH
	la1.38	CH ₂	H	CH ₃	NCH ₃
10	la1.39	CH ₂	Н	Н	NCH ₃
	la1.40	C(CH ₃) ₂	H	Н	NCH ₃
:	la1.41	CH ₂	Н	C₂H₅	NCH ₃
	la1.42	CH ₂	CH ₃	CH₃	NCH₃
	la1.43	CH(CH ₃)	Н	CH ₃	NCH ₃
15	la1.44	CH(C ₂ H ₅)	Н	CH₃	NCH ₃
	la1.45	CH[CH(CH ₃) ₂]	Н	Н	NCH ₃
	la1.46	CH ₂	Н	CH(CH ₃) ₂	NCH ₃
	la1.47	CH(C ₂ H ₅)	Н	C ₂ H ₅	NCH ₃
	la1.48 la1.49	CH ₂	H-(CH ₂) ₄	H	NCH ₃
20	la1.49	CH ₂	H	CH ₃	NC ₂ H ₅
	la1.51	C(CH ₃) ₂	Н	H	NC ₂ H ₅
	la1.52	CH ₂	- H	C ₂ H ₅	NC ₂ H ₅
	la1.53	CH ₂	CH₃	CH ₃	NC ₂ H ₅ NC ₂ H ₅
ļ	la1.54	CH(CH ₃)	H H	CH ₃	NC ₂ H ₅
25	la1.55	CH(C ₂ H ₅)	H	CH ₃	NC ₂ H ₅
	la1.56	CH[CH(CH ₃) ₂]	H	H H	NC ₂ H ₅
	la1.57	CH ₂	Н	CH(CH ₃) ₂	NC ₂ H ₅
	la1.58	CH(C₂H₅)	Н	C ₂ H ₅	NC ₂ H ₅
	la1.59	_CF	H-(CH ₂) ₄	Ĥ	NC₂H₅
30	la1.60	CH ₂		0	S
	la1.61	CH(CH ₃)	=	•O	S
	la1.62	CH(C ₂ H ₅)	=	S	
	la1.63	CH[CH(CH ₃) ₂]	=O		S
	la1.64	C(CH ₃) ₂		S	
35	la1.65	CCH ₃ (C ₂ H ₅)	=	S	
	la1.66	CCH ₃ [CH(CH ₃) ₂]	=0		S
	la1.67	CH ₂		:0	NH
	la1.68	CH(CH ₃)	=0		NH
	la1.69	CH(C ₂ H ₅)	=0		NH
40	la1.70	CH[CH(CH ₃) ₂]	=O =O		NH
]	la1.71	C(CH ₃) ₂		NH	
ļ	la1.72	CCH ₃ (C ₂ H ₅)		0	NH
]	la1.73	CCH ₃ [CH(CH ₃) ₂]		0	NH
ļ	la1.74 la1.75	CH ₂	=0		NCH ₃
45	la1.75	CH(CH ₃) CH(C₂H ₅)	=O =O +		NCH ₃
	la1.77	CH[CH(CH ₃) ₂]	=	NCH ₃	
L	10.1.//			· · · · · · · · · · · · · · · · · · ·	INCH3

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	32							
	No.	×	R ⁴	R ⁵	Y			
5	la1.78	C(CH ₃) ₂	·	=0				
	la1.79	CCH ₃ (C ₂ H ₅)	=0		NCH₃ NCH₃			
	la1.80	CCH ₃ [CH(CH ₃) ₂]	=0		NCH ₃			
	la1.81	0	COOCH₃	H	CH ₂			
	la1.82	0	COOC₂H₅	H	CH ₂			
10	la1.83	0	CONHCH₃	H	CH ₂			
	la1.84	. 0	CON(CH ₃) ₂	Н	CH ₂			
	la1.85	0	CONHC ₂ H ₅	Н	CH ₂			
	la1.86	0	CON(C ₂ H ₅) ₂	H	CH ₂			
-	la1.87	0	CH ₃	Н	CH ₂			
	la 1.89	0	C ₂ H ₅	H	CH₂			
	la1.90	0	CH(CH ₃) ₂	H	CH ₂			
15	la1.91	0	COC ₂ H ₅ CH ₂ CN	H	CH ₂			
	la 1.92	0	CH ₂ N(CH ₃) ₂	H	CH ₂			
	la 1.93	ŏ	CH ₂ ON=C(CH ₃) ₂	H	CH ₂			
٠.	la1.94	Ö	CH(OC ₂ H ₅) ₂	H	CH ₂			
	la1.95	Ö	CH(OCH ₂) ₂	H H	CH ₂			
20	Ta1.96	0	CH(OCH ₃) ₂ CH ₃	CH₃	CH ₂			
	la1.97	0	CH₃	C ₂ H ₅	CH ₂			
	la1.98	0	C ₂ H ₅	C ₂ H ₅	CH ₂			
	la1.99	0		H ₂) ₄ —	CH ₂			
	Ta1.100	0	-(CH ₂) ₂ -O-(CH ₂) ₂ -		CH ₂			
25	Ta1.101	0	H	-(CH ₂) ₃ CH-	_			
	la1.102	0	Н	(CH ₂)₄CH-				
	Ta1.103	0	CH₃	H	CHCH ₃			
	la1.104	S		·O	0			
30	la1.105	CH₂	=S		S			
5.0	la1.106 la1.107	CH(CH ₃)	=\$		S			
	la1.107	CH(C ₂ H ₅)	=S		S			
	la1.109	C(CH ₃) ₂	=\$		S			
	la1.110	0	=O =O		NH			
35	Ta1.111		CH ₃] H		NCH ₃			
	Ta1.112	- 5	C ₂ H ₅	H	NH			
	Ta1.113	Ö	CH ₃	CH ₃	NH			
	la1.114	ŏ	C ₂ H ₅	C ₂ H ₅	NH			
	Ta1.115	Ö	CH ₃	H H	NCH ₃			
40	Ta1.116	o	C ₂ H ₅	H	NCH ₃			
40	Ta1.117	- 0 - 1	CH ₃	CH₃	NCH ₃			
	Ta1.118	0	C ₂ H ₅	C ₂ H ₅	NCH ₃			
	Ta1.119	NH		0	NH			
45	la1.120	NH		0	NCH ₃			
	Ta1.121	NCH ₃		Ö	NH			
	la1.122	NCH ₃		0 -	NCH ₃			
			·	· · · · · · · · · · · · · · · · · · ·				

No.	X	R⁴	R ⁵	Y
Ta1.123	NC₂H₅	=0		NH
la1.124	NC₂H₅	=0		NC ₂ H ₅

In addition, the following benzoyl derivatives of the formula I are particularly extraordinarily preferred:

The compounds Ia2.1-Ia2.124, which differ from the corresponding compounds Ia1.1-Ia1.124 by the fact that R^{16} is ethyl and R^{18} is hydrogen.

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$$\begin{array}{c|c}
O & CI & N-X & R^4 \\
N & N & OH & SO_2CH_3
\end{array}$$
Ia2

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Also particularly extraordinarily preferred are the compounds Ib1 (\triangleq I where R^1 , R^2 = C1, R^3 = H, R^{16} , R^{18} = CH₃, Z = H) in particular the compounds of Table 2

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$$H_3C$$

$$N$$

$$OH$$

$$CI$$

$$N$$

$$CH_3$$

$$OH$$

$$CI$$

$$N$$

$$R^4$$

$$R^5$$

$$Ib1$$

35 Table 2

•	No.	X	R ⁴	R ⁵	TY
40	lb1.1	CH ₂	Н	CH ₃	0
	lb1.2	CH ₂	H	H H	0
	Hb1.3	C(CH ₃) ₂	Н	Н	0
	lb1.4	CH ₂	H	C ₂ H ₅	0
	lb1.5	CH ₂	CH ₃	CH ₃	0
45	Ib1.6	CH(CH ₃)	Н	CH ₃	0
	lb1.7	CH(C ₂ H ₅)	Н	CH ₃	0
	lb1.8	CH[CH(CH ₃) ₂]	H	H	0
	lb1.9	CH ₂	H	CH(CH ₃) ₂	0
	lb1.10	CH(C ₂ H ₅)	H	C ₂ H ₅	0

			34		
	No.	X	R ⁴	R ⁵	Y
	161.11	-CH-(CH ₂)₄-		Н	1 0
5	Jb1.12	C=O	CH ₃	CH ₃	0
	Tb1.13	C=O	Н	C ₂ H ₅	0
	Ib1.14	C=O	C ₂ H ₅	C ₂ H ₅	0
	7b1.15	C=0	Н	Н	0
	1b1.16	C=O	Н	CH ₃	0
	1b1.17	CH ₂	H	CH ₃	S
10	161.18	CH ₂	H	Н	S
	ТЬ1.19	C(CH ₃) ₂	H	H	S
	Ib1.20	CH ₂	H	C ₂ H ₅	S
	lb1.21	CH ₂	CH ₃	CH ₃	S
	1b1.22	CH(CH ₃)	H	CH ₃	S
15	lb1.23	CH(C₂H₅)	H	CH ₃	S
	Tb1.24	CH(C₂H₅)	Н	C ₂ H ₅	S
	lb1.25	-CH-(CH ₂) ₄	Н	S
	1b1.26	CH[CH(CH ₃) ₂]	H	Н	S
:	lb1.27	CH ₂	Н	CH(CH ₃) ₂	S
20	lb1.28	CH ₂	H	CH ₃	NH
20	lb1.29	CH ₂	Н	H	NH
	lb1.30	C(CH ₃) ₂	Н	H	NH
- 3	lb1.31	CH ₂	H	C ₂ H ₅	NH
	lb1.32	CH ₂	CH₃	CH ₃	NH
2.5	lb1.33	CH(CH ₃)	Н	CH ₃	NH
2.5	lb1.34	CH(C ₂ H ₅)	H	CH ₃	NH
	lb1.35	CH(C ₂ H ₅)	H	C₂H₅	NH
	lb1.36	—CH-(CH ₂)₄		H	NH
	lb1.37	CH[CH(CH ₃) ₂]	Н	Н	NH
	lb1.38	CH ₂	Н	CH(CH ₃) ₂	NH
30	lb1.39	CH ₂	Н	CH₃	NCH ₃
	lb1.40	CH ₂	H	Н	NCH ₃
	lb1.41	C(CH ₃) ₂	Н	Н	NCH ₃
	lb1.42	CH ₂	Н	C ₂ H ₅	NCH ₃
	lb1.43	CH ₂	CH ₃	CH ₃	NCH ₃
35	lb1.44	CH(CH ₃)	Н	CH₃	NCH₃
	lb1.45	CH(C₂H₅)	Н	CH ₃	NCH₃
	lb1.46	CH[CH(CH ₃) ₂]	H	Н	NCH ₃
40	lb1.47	CH ₂	Н	CH(CH ₃) ₂	NCH ₃
	lb1.48	CH(C₂H₅)	Н	C₂H₅	NCH ₃
	lb1.49	Cl	Т- (СН ₂) ₄	Н	NCH ₃
	lb1.50	CH₂	Н	CH ₃	NC ₂ H ₅
	lb1.51	CH₂	Н	Н	NC ₂ H ₅
45	lb1.52	C(CH ₃) ₂	Н	H	NC ₂ H ₅
	lb1.53	CH₂	Н	C ₂ H ₅	NC ₂ H ₅
	lb1.54	CH ₂	CH₃	CH₃	NC ₂ H ₅
	lb1.55	CH(CH₃)	Н	CH ₃	NC ₂ H ₅
	lb1.56	CH(C ₂ H ₅)	Н	CH ₃	NC ₂ H ₅

	No.		D4	<u> </u>	
	INO.	X	R⁴	R ⁵	Y
	161.57		H		NO II
	161.57 161.58	CH[CH(CH ₃) ₂] CH ₂	H	Н	NC ₂ H ₅
	1b1.59		H	CH(CH ₃) ₂	NC ₂ H ₅
5		CH(C ₂ H ₅)		C ₂ H ₅	NC ₂ H ₅
	Ib1.60	<u> </u>	I–(CH ₂)₄–	Н	NC ₂ H ₅
	Ib1.61	CH ₂		=0	S
10	lb1.62	CH(CH ₃)		=0	S
	Tb1.63	CH(C ₂ H ₅)	9	=0	S
	lb1.64	CH[CH(CH ₃) ₂]		=0	S
	lb1.65	C(CH ₃) ₂	=0		S
	Ib1.66	CCH ₃ (C ₂ H ₅)	=0		S
	lb1.67	CCH ₃ [CH(CH ₃) ₂]	=0		S
	lb1.68	CH ₂	=0		NH
15	lb1.69	CH(CH₃)		=O	NH
	lb1.70	CH(C₂H₅)	=O		NH
	lb1.71	CH[CH(CH ₃) ₂]		=0	NH
	lb1.72	C(CH ₃) ₂		=O	NH
	lb1.73	CCH ₃ (C ₂ H ₅)		=O	NH
20	Ib1.74	CCH ₃ [CH(CH ₃) ₂]		= O	NH ·
20	lb1.75	CH₂	=0		NCH₃
	lb1.76	CH(CH₃)		=O	NCH ₃
·	lb1.77	CH(C₂H₅)	=0		NCH ₃
	lb1.78	CH[CH(CH ₃) ₂] 5	=0		NCH₃
25	lb1.79	C(CH ₃) ₂	=0		NCH₃
25	lb1.80	CCH ₃ (C₂H ₅)	=0		NCH₃
	lb1.81	CCH ₃ [CH(CH ₃) ₂]	=0		NCH₃
	lb1.82	0	COOCH₃	Н	CH ₂
	lb1.83	0	COOC ₂ H ₅	H	CH₂
	lb1.84	0	CONHCH₃	H	CH ₂
3,0	lb1.85	0	CON(CH ₃) ₂	Н	CH ₂
•	lb1.86	0	CONHC ₂ H ₅	H	CH ₂
	lb1.87	0	CON(C ₂ H ₅) ₂	H	CH ₂
	lb1.88	0	CH₃	Н	CH ₂
	lb1.89	0	C ₂ H ₅	Н	CH ₂
35	lb1.90	0	CH(CH ₃) ₂	H	CH ₂
	lb1.91	0	COC ₂ H ₅	H	CH₂
	lb1.92	0	CH ₂ CN	Н	CH ₂
40	lb1.93	0	CH ₂ N(CH ₃) ₂	Н	CH ₂
	lb1.94	0	CH ₂ ON=C(CH ₃) ₂	H	CH ₂
	lb1.95	0	CH(OC ₂ H ₅) ₂	Н	CH ₂
	lb1.96	0	CH(OCH ₃) ₂	H	CH ₂
	lb1.97	0	CH ₃	CH₃	CH ₂
45	lb1.98	0	CH₃	C₂H₅	CH ₂
	lb1.99	0	C ₂ H ₅	C ₂ H ₅	CH ₂
	lb1.100	0		H ₂) ₄	CH ₂
	Ib1.101	0	-(CH ₂) ₂ -O-(CH ₂) ₂ -		CH ₂
	lb1.102	0	H	(CH ₂) ₃ CH-	-

 $f(\mathcal{G}_{\mathcal{A}}^{\mathsf{T}}, \Phi^{\mathsf{T}}, \mathcal{A}^{\mathsf{T}}, \mathcal{A}^{\mathsf{T}}, \mathcal{A}^{\mathsf{T}}))$

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٠.	No.	X	R ⁴	R ⁵	Y
	Tb1.103	0	H -(CH ₂) ₄ (CH_
	1b1.104	0	CH₃	H	CHCH ₃
5	1b1.105	0	Н	Н	CH ₂
	Tb1.106	S	=0		0
	Ib1.107	CH ₂	=S		S
	Tb1.108	CH(CH ₃)	=\$		S
10	1b1.109	CH(C₂H₅)	= S		S
	Tb1.110	C(CH ₃) ₂		=\$	
	lb1.111	0		=0	
	lb1.112	0	=O		NCH₃
	lb1.113	0	CH₃	Н	NH
	Ib1.114	0 .	C ₂ H ₅	H	NH
15	lb1.115	0	CH ₃	CH ₃	NH
	lb1.116	0	C₂H₅	C ₂ H ₅	NH
	lb1.117	0	CH ₃	Н	NCH ₃
	lb1.118	0	C ₂ H ₅	Н	NCH ₃
	lb1.119	Ō	CH ₃	CH₃	NCH₃
20	Ib1.120	0	C ₂ H ₅	C₂H₅	NCH ₃
20	1b1.121	NH	=0		NH
:	lb1.122	NH	=0		NCH ₃
	lb1.123	NCH ₃	=0		NH
	1b1.124	NCH ₃	=0		NCH ₃
25	lb1.125	NC₂H₅	=0		NH
23	lb1.126	NC ₂ H ₅	=0		NC ₂ H ₅

In addition, the following 3-heterocyclyl-substituted benzoyl derivatives of the formula I are particularly extraordinarily 30 preferred:

 The compounds Ib2.1-Ib2.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro.

lb2

- The compounds Ib3.1-Ib3.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is methylsulfonyl.

The compounds Ib4.1-Ib4.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R^1 is hydrogen and R^2 is methylsulfonyl.

35 - The compounds Ib5.1-Ib5.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is trifluoromethyl and R^2 is methylsulfonyl.

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- The compounds Ib6.1-Ib6.126, which differ from the corresponding compounds Ib1.1-Ib1,126 by the fact that R¹ is methylsulfonyl.

The compounds Ib7.1-Ib7.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro.

The compounds Ib8.1-Ib8.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl.

The compounds Ib9.1-Ib9.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio.

The compounds Ib10.1-Ib10.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl.

- The compounds Ib11.1-Ib11.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethy1sulfony1.

- The compounds Ib12.1-Ib12.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy and R² is methy1su1fony1.

The compounds Ib13.1-Ib13.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl.

35
$$H_3C$$
 O CI N N OH $SO_2C_2H_5$

The compounds Ib14.1-Ib14.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R² is methylsulfonyl and R³ is methyl.

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 $\begin{array}{c} 40 \\ \text{H}_{3}\text{C} \\ \text{N} \\ \text{OH} \\ \text{CH}_{3} \\ \text{CH}_{3} \\ \end{array} \begin{array}{c} \text{OD} \\ \text{CH}_{3} \\ \text{CH}_{3} \\ \text{CH}_{3} \\ \end{array} \begin{array}{c} \text{Ib} 14 \\ \text{CH}_{3} \\ \text{CH}_{3} \\ \text{CH}_{3} \\ \end{array}$

The compounds Ib15.1-Ib15.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and R³ is chlorine.

The compounds Ib16.1-Ib16.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and R³ is chlorine.

30 - The compounds Ib17.1-Ib17.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl and R^3 is methyl.

35 H₃C CH₃ N R⁴ Ib17

The compounds Ib18.1-Ib18.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl.

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- The compounds Ib19.1-Ib19.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R² is hydrogen.

The compounds Ib20.1-Ib20.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R^1 is methy1 and R^2 is nitro.

30 The compounds Ib21.1-Ib21.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl and R^{18} is hydrogen.

The compounds Ib22.1-Ib22.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and R¹⁸ is hydrogen.

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1b22

The compounds Ib23.1-Ib23.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is 10 methyl, R^2 is methylsulfonyl and R^{18} is hydrogen.

The compounds Ib24.1-Ib24.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is hydrogen, R² is methylsulfonyl and R¹⁸ is hydrogen.

30 -The compounds Ib25.1-Ib25.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is trifluoromethyl, R^2 is methylsulfonyl and R^{18} is hydrogen.

40 The compounds Ib26.1-Ib26.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl and R18 is hydrogen.

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- The compounds Ib27.1-Ib27.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is nitro and R¹⁸ is hydrogen.

The compounds Ib28.1-Ib28.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is trifluoromethyl and R^{18} is hydrogen.

 $_{
m 30}$ The compounds Ib29.1-Ib29.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R $^{
m 2}$ is methylthio and R $^{
m 18}$ is hydrogen.

The compounds Ib30.1-Ib30.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl and R¹⁸ is hydrogen.

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5 OH SOCH₃

The compounds Ib31.1-Ib31.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl and R¹⁸ is hydrogen.

- The compounds Ib32.1-Ib32.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl and R¹⁸ is hydrogen.

25 OCH₃ N-X R⁴ Ib32

30 - The compounds Ib33.1-Ib33.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl and R^{18} is hydrogen.

35 CI N-X R⁴ Ib33

The compounds Ib34.1-Ib34.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is methyl and R¹⁸ is hydrogen.

lb34

- The compounds Ib35.1-Ib35.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine and R¹⁸ is hydrogen.

1b35

- The compounds Ib36.1-Ib36.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is chlorine and R^{18} is hydrogen.

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1b36

The compounds Ib37.1-Ib37.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl and R¹⁸ is hydrogen.

35

$$\begin{array}{c|c} O & CH_3 & N-X \\ \hline N & OH & CH_3 \\ \hline CH_3 & CH_3 \\ \end{array}$$

40

The compounds Ib38.1-Ib38.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl and R¹⁸ is hydrogen.

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- The compounds Ib39.1-Ib39.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen and R¹⁸ is hydrogen.

- The compounds Ib40.1-Ib40.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1, R² is nitro and R¹8 is hydrogen.

30 - The compounds Ib41.1-Ib41.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is ethyl and R^{18} is hydrogen.

The compounds Ib42.1-Ib42.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

1b42

The compounds Ib43.1-Ib43.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹6 is ethyl and R¹8 is hydrogen.

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lb43

lb44

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20 - The compounds Ib44.1-Ib44.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is trifluoromethyl, R^2 is methylsulfonyl, R^{16} is ethyl and R^{18} is hydrogen.

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The compounds Ib45.1-Ib45.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^{16} is ethyl and R^{18} is hydrogen.

35

$$\begin{array}{c|c}
O & SO_2CH_3 & N-X \\
N & N-X \\
N & C_2H_5
\end{array}$$
Ib45

40

The compounds Ib46.1-Ib46.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^{16} is ethyl and R^{18} is hydrogen.

Ib46

5 NO₂ N-X R⁴

NO₂ N-X R⁵

The compounds Ib47.1-Ib47.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

15
$$N \longrightarrow OH$$
 CF_3 R^4 $Ib47$

The compounds Ib48.1-Ib48.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylthio, R¹⁶ is ethyl and R¹⁸ is hydrogen.

30 - The compounds Ib49.1-Ib49.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

35
$$\begin{array}{c} O \\ CI \\ N \\ N \\ C_2H_5 \end{array}$$
 Ib49

The compounds Ib50.1-Ib50.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is ethyl and R¹⁸ is hydrogen.

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$$\begin{array}{c} O \\ CI \\ N \\ C_2H_5 \end{array}$$
 Ib50

The compounds Ib51.1-Ib51.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R1 is 10 methoxy, R2 is methylsulfonyl, R16 is ethyl and R18 is hydrogen.

The compounds Ib52.1-Ib52.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfony1, R16 is ethyl and R18 is hydrogen.

30 The compounds Ib53.1-Ib53.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R^3 is methyl, R^{16} is ethyl and R^{18} is hydrogen.

$$\begin{array}{c|c}
CI & N-X \\
N-X & R^4
\end{array}$$

$$\begin{array}{c|c}
C_2H_5 & CH_3
\end{array}$$

$$\begin{array}{c|c}
C_2H_5 & CH_3
\end{array}$$

The compounds Ib54.1-Ib54.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R3 is chlorine, R16 is ethyl and R18 is 45 hydrogen.

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The compounds Ib55.1-Ib55.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is 10 methyl, R2 is methylsulfonyl, R3 is chlorine, R16 is ethyl and R18 is hydrogen.

$$\begin{array}{c|c}
O & CH_3 & N-X \\
N & N-X \\
Y & R^5
\end{array}$$

$$\begin{array}{c|c}
O & CH_3 & N-X \\
N & C_2H_5 & CI
\end{array}$$

$$\begin{array}{c|c}
O & CH_3 & N-X \\
N & C_2H_5 & CI
\end{array}$$

$$\begin{array}{c|c}
O & CH_3 & N-X \\
N & CI
\end{array}$$

The compounds Ib56.1-Ib56.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is methyl, R^{16} is ethyl and R18 is hydrogen.

The compounds Ib57.1-Ib57.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^{16} is ethyl and R^{18} is hydrogen.

35
$$CH_3$$
 $N-X$ R^4 CI CI R^5

The compounds Ib58.1-Ib58.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R2 is hydrogen, R16 is ethyl and R18 is hydrogen. 45

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lb58

The compounds Ib59.1-Ib59.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is nitro, R¹6 is ethyl and R¹8 is hydrogen.

The compounds Ib60.1-Ib60.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

30 The compounds Ib61.1-Ib61.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is n-propyl and R^{18} is hydrogen.

$$\begin{array}{c|c}
 & O & CI & N-X \\
 & N-X & R^4 \\
 & N-X & R^5 \\
 & N-X &$$

The compounds Ib62.1-Ib62.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

The compounds Ib63.1-Ib63.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is hydrogen, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

15
$$N - X + R^4$$
 Ib63 $N - X + R^4$ $N - X$

20 - The compounds Ib64.1-Ib64.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is trifluoromethyl, R^2 is methylsulfonyl, R^{16} is n-propyl and R^{18} is hydrogen.

The compounds Ib65.1-Ib65.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^{16} is n-propyl and R^{18} is hydrogen.

- The compounds Ib66.1-Ib66.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

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lb66

The compounds Ib67.1-Ib67.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethy1, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

CI N-X R

lb67

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The compounds Ib68.1-Ib68.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methy1thio, R^{16} is n-propyl and R^{18} is hydrogen.

CI N-X R
SCH₃

lb68

25.

30 - The compounds Ib69.1-Ib69.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

1b69

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The compounds Ib70.1-Ib70.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is trifluoromethylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

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The compounds Ib71.1-Ib71.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

1b70

20 - The compounds Ib72.1-Ib72.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is n-propyl and R^{18} is hydrogen.

25 C_1 N R^4 R^5 C_3H_7 C_3H_7

The compounds Ib73.1-Ib73.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R^3 is methyl, R^{16} is n-propyl and R^{18} is hydrogen.

 $\begin{array}{c|c}
O & CI & N-X \\
N & N & CH_3
\end{array}$ $\begin{array}{c|c}
O & CI & N-X \\
N & SO_2CH_3
\end{array}$ $\begin{array}{c|c}
O & CI & N-X \\
R^5
\end{array}$

The compounds Ib74.1-Ib74.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

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$$\begin{array}{c|c}
 & O & CI & N-X \\
 & N-X & R^4 \\
 & N-X & R^5 \\
 & N-X &$$

The compounds Ib75.1-Ib75.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

15
$$CH_3$$
 $N-X$ R^4 $N-X$ R^5 $N-X$ $N-X$

The compounds Ib76.1-Ib76.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is methyl, R^{16} is n-propyl and R^{18} is hydrogen.

The compounds Ib77.1-Ib77.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

The compounds Ib78.1-Ib78.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

lb78

5 OH CH₃ N-X R⁴ R⁵

The compounds Ib79.1-Ib79.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methy1, R^2 is nitro, R^{16} is n-propyl and R^{18} is hydrogen.

15 $\begin{array}{c} O \\ CH_3 \\ V \\ C_3H_7 \end{array}$ $\begin{array}{c} O \\ CH_3 \\ V \\ OH \end{array}$ $\begin{array}{c} O \\ NO_2 \\ NO_2 \end{array}$

The compounds Ib80.1-Ib80.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is n-propy1 and R^{18} is hydrogen.

30 - The compounds Ib81.1-Ib81.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

35 CI N-X R⁴ Ib81

The compounds Ib82.1-Ib82.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is n-butyl and R^{18} is hydrogen.

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The compounds Ib83.1-Ib83.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1, R² is methylsulfonyl, R¹6 is n-butyl and R¹8 is hydrogen.

20 - The compounds Ib84.1-Ib84.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is hydrogen, R^2 is methylsulfonyl, R^{16} is n-butyl and R^{18} is hydrogen.

- The compounds Ib85.1-Ib85.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethy1, R² is methylsulfony1, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

The compounds Ib86.1-Ib86.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

5 SO₂CH₃ N-X R⁴ CI

- The compounds Ib87.1-Ib87.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹6 is n-buty1 and R¹8 is hydrogen.

The compounds Ib88.1-Ib88.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is trifluoromethyl, R^{16} is n-butyl and R^{18} is hydrogen.

 $\begin{array}{c|c}
 & O & CI & N-X & R^4 \\
\hline
N & N & OH & CF_3
\end{array}$ Ib88

30 - The compounds Ib89.1-Ib89.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylthio, R^{16} is n-butyl and R^{18} is hydrogen.

40 - The compounds Ib90.1-Ib90.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfinyl, R^{16} is n-butyl and R^{18} is hydrogen.

The compounds Ib91.1-Ib91.126, which differ from the 10 corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is trifluoromethy1sulfony1, R^{16} is n-butyl and R^{18} is hydrogen.

15
$$C_1$$
 R_5 R

20 The compounds Ib92.1-Ib92.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R1 is methoxy, R2 is methylsulfonyl, R16 is n-butyl and R18 is hydrogen.

The compounds Ib93.1-Ib93.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is n-butyl and R^{18} is hydrogen. 35

40
$$\begin{array}{c} O \\ C_1 \\ N \\ C_4 H_9 \end{array}$$
 $\begin{array}{c} O \\ SO_2 C_2 H_5 \end{array}$

The compounds Ib94.1-Ib94.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is 45 methylsulfonyl, R3 is methyl, R16 is n-butyl and R18 is hydrogen.

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- The compounds Ib95.1-Ib95.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R³ is chlorine, R¹⁶ is n-butyl and R¹⁸ is hydrogen.

1b95

lb94

The compounds Ib96.1-Ib96.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is chlorine, R^{16} is n-butyl and R^{18} is hydrogen.

N OH CI SO₂CH₃

N OH CI SO₂CH₃

The compounds Ib97.11b97.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R³ is methyl, R¹6 is n-butyl and R¹8 is hydrogen.

$$\begin{array}{c|c}
CH_3 & N-X \\
N-X & R^4
\end{array}$$

$$\begin{array}{c|c}
CH_3 & N-X \\
N-X & R^4
\end{array}$$

$$\begin{array}{c|c}
CH_3 & N-X & R^4
\end{array}$$

$$\begin{array}{c|c}
CH_3 & N-X & R^4
\end{array}$$

$$\begin{array}{c|c}
CH_3 & N-X & R^4
\end{array}$$

45 - The compounds Ib98.1-Ib98.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^{16} is n-butyl and R^{18} is hydrogen.

Ib98 5

The compounds Ib99.1-Ib99.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is 10 methyl, R2 is hydrogen, R16 is n-butyl and R18 is hydrogen.

lb99

The compounds Ib100.1-Ib100.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R1 is methyl, R2 is nitro, R16 is n-butyl and R18 is hydrogen.

lb100 25

The compounds Ib101.1-Ib101.126, which differ from the 30 corresponding compounds Ib1.1-Ib1.126 by the fact that R16 is n-butyl and R18 is hydrogen.

lb101 35 OH

40 The compounds Ib102.1-Ib102.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R16 is iso-butyl and R18 is hydrogen.

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The compounds Ib103.1-Ib103.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is iso-butyl and R^{18} is hydrogen.

15 O CI N-X R⁴ Ib103

The compounds Ib104.1-Ib104.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1, R² is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

25 CH₃ N-X R⁴
NOH SO₂CH₃
CH₂CH(CH₃)₂

The compounds Ib105.1-Ib105.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is hydrogen, R^2 is methylsulfonyl, R^{16} is iso-butyl and R^{18} is hydrogen.

The compounds Ib106.1-Ib106.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is trifluoromethy1, R² is methylsulfony1, R¹⁶ is iso-buty1 and R¹⁸ is hydrogen.

15

lb106

The compounds Ib107.1-Ib107.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

lb107

The compounds Ib108.1-Ib108.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

25 NO₂ N-X R
NO₂ N-X R
CI
CH₂CH(CH₃)₂

lb108

30 - The compounds Ib109.1-Ib109.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is trifluoromethyl, R^{16} is iso-butyl and R^{18} is hydrogen.

OCI NO CF₃

OH CF₃

lb109

40

The compounds Ib110.1-Ib110.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylthio, R^{16} is iso-butyl and R^{18} is hydrogen.

The compounds Ib111.1-Ib111.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfinyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

15 NNOH SOCH₃

The compounds Ib112.1-Ib112.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is trifluoromethylsulfonyl, R^{16} is iso-butyl and R^{18} is hydrogen.

25 CI N-X R⁴ Ib112

N OH SO₂CF₃

The compounds Ib113.1-Ib113.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, R^{16} is iso-butyl and R^{18} is hydrogen.

35 OCH₃ N-X R⁴
NOH SO₂CH₃
40 CH₂CH(CH₃)₂

The compounds Ib114.1-Ib114.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is iso-butyl and R^{18} is hydrogen.

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lb114

The compounds Ib115.1-Ib115.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R2 is 10 methylsulfonyl, R^3 is methyl, R^{16} is iso-butyl and R^{18} is hydrogen,

20 _ The compounds Ib116.1-Ib116.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is methylsulfonyl, R^3 is chlorine, R^{16} is iso-butyl and R^{18} is hydrogen.

The compounds Ib117.1-Ib117.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is chlorine, R^{16} is iso-butyl 35 and R18 is hydrogen.

The compounds Ib118.1-Ib118.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^3 is methyl, R^{16} is iso-butyl and R^{18} is hydrogen.

The compounds Ib119.1-Ib119.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

The compounds Ib120.1-Ib120.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is hydrogen, R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

The compounds Ib121.1-Ib121.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methy1, R^2 is nitro, R^{16} is iso-buty1 and R^{18} is hydrogen.

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- The compounds Ibl22.1-Ibl22.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R¹⁶ is iso-butyl and R¹⁸ is hydrogen.

The compounds Ib123.1-Ib123.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R^1 is methylsulfonyl and R^2 is trifluoromethyl.

- The compounds Ib124.1-Ib124.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, and R¹⁸ is hydrogen.

- The compounds Ib125.1-Ib125.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R² is trifluoromethyl, R¹⁶ is n-propyl and R¹⁸ is hydrogen.

The compounds Ib126.1-Ib126.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^2 is trifluoromethyl, R^{16} is n-butyl and R^{18} is hydrogen.

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lb126

The compounds Ib127.1-Ib127.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^2 is trifluoromethyl, R^{16} is iso-butyl and R^{18} is hydrogen.

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lb127

The compounds Ib128.1-Ib128.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^2 is trifluoromethyl, R^{16} is ethyl and R^{18} is hydrogen.

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lb128

The compounds Ib129.1-Ib129.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro and R^2 is methylsulfonyl.

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lb129

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The compounds Ib130.1-Ib130.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^2 is methylsulfonyl and R^{18} is hydrogen.

The compounds Ib131.1-Ib131.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^2 is methylsulfonyl, R^{16} is n-propyl and R^{18} is hydrogen.

$$\begin{array}{c|c}
 & \text{NO}_2 & \text{N-X} \\
 & \text{N} & \text{NO}_2 \\
 & \text{N-X} \\
 & \text{N} & \text{NO}_2 \\
 & \text{N-X} \\
 & \text{R}^4 \\
 & \text{SO}_2 \text{Me}
\end{array}$$

The compounds Ib132.1-Ib132.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^2 is methylsulfonyl, R^{16} is n-butyl and R^{18} is hydrogen.

35 - The compounds Ib133.1-Ib133.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^2 is methylsulfonyl, R^{16} is iso-butyl and R^{18} is hydrogen.

40
$$NO_2$$
 $N-X$ R^4 NO_2 $N-X$ R^5 NO_2 $N-X$ R^5 NO_2 $N-X$ R^5 NO_2 $N-X$ NO_2 NO_2

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The compounds Ib134.1-Ib134.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^2 is methylsulfonyl, R^{16} is ethyl and R^{18} is hydrogen.

lb134

5 NO₂ N-X R⁴ R⁵ OH SO₂Me

The compounds Ib135.1-Ib135.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R18 is hydrogen.

O CI N-X R4
N OH CI CI

The compounds Ib136.1-Ib136.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl and R¹⁸ is hydrogen.

30 CI N-X R⁴ Ib136

The compounds Ib137.1-Ib137.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl and R¹⁸ is hydrogen.

40 CI N-X R4 Ib137

The compounds Ib138.1-Ib138.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

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lb138

The compounds Ib139.1-Ib139.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, Z is methylsulfonyl and R^{18} is hydrogen.

lb139

20 - The compounds Ib140.1-Ib140.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methy1, R^2 is methy1sulfony1, Z is methy1sulfony1 and R^{18} is hydrogen.

lb140

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The compounds Ib141.1-Ib141.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, Z is methylsulfonyl and R^{18} is hydrogen.

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The compounds Ib142.1-Ib142.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, Z is methylsulfonyl and R^{18} is hydrogen.

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The compounds Ib143.1-Ib143.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R¹ is methoxy, R² and Z are methylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib144.1-Ib144.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethy1su1fony1, Z is methy1su1fony1 and R^{18} is hydrogen.

30 - The compounds Ib145.1-Ib145.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethyl, Z is methylsulfonyl and R^{18} is hydrogen.

The compounds Ib146.1-Ib146.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R^{16} is ethyl, Z is methylsulfonyl and R^{18} is hydrogen.

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O CI N-X R4

N N SO₂CH₃

SO₂CH₃

lb146

The compounds Ib147.1-Ib147.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is ethy1, Z is methylsulfonyl and R^{18} is hydrogen.

C₂H₅ OSO₂CH₃

b147

The compounds Ib148.1-Ib148.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^{16} is ethyl, Z is methylsulfonyl and R^{18} is hydrogen.

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lb148

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The compounds Ib149.1-Ib149.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^{16} is ethyl, Z is methylsulfonyl and R^{18} is hydrogen.

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The compounds Ib150.1-Ib150.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is methylsulfonyl and R¹⁸ is hydrogen.

lb150

The compounds Ib151.1-Ib151.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R1 is 10 methoxy, R2 is methylsulfonyl, R16 is ethyl, Z is methylsulfonyl and R18 is hydrogen.

lb151

The compounds Ib152.1-Ib152.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is ethylsulfonyl, R16 is ethyl, Z is methylsulfonyl and R18 is hydrogen.

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lb152

The compounds Ib153.1-Ib153.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl.

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$$H_3C$$
 CI
 R^4
 CI
 CI
 R^5
 CH_3
 OSO_2CH_3
 CI

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The compounds Ib154.1-Ib154.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R2 and Z are methylsulfonyl.

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The compounds Ib155.1-Ib155.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and Z is methylsulfonyl.

The compounds Ib156.1-Ib156.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 and Z are methylsulfonyl.

30 - The compounds Ib157.1-Ib157.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ and Z are methy1sulfony1.

The compounds ${\tt Ib158.1-Ib158.126}$, which differ from the corresponding compounds ${\tt Ib1.1-Ib1.126}$ by the fact that ${\tt R^1}$ is nitro and Z is methylsulfonyl.

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5 H₃C NO₂ N-X R⁴ Ib158

The compounds Ib159.1-Ib159.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² and Z are methy1su1fony1.

15 N_NOSO₂CH₃ SO₂CH₃ Ib159

- The compounds Ib160.1-Ib160.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl and Z is methylsulfonyl.

 $\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$

30 - The compounds Ib161.1-Ib161.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl and R¹⁸ is hydrogen.

35 CI N-X R⁴ Ib161

The compounds Ib162.1-Ib162.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

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O CI N-X R⁴
N N SO₂CH₃
CH₃ OSO₂C₂H₅

The compounds Ib163.1-Ib163.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, Z is ethylsulfonyl and R^{18} is hydrogen.

15 CI N-X R⁴ Ib163

The compounds Ib164.1-Ib164.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methy1, R^2 is methylsulfonyl, Z is ethylsulfonyl and R^{18} is hydrogen.

25 CH₃ N-X R⁴ Ib164

N N SO₂CH₃ SO₂CH₃

The compounds Ib165.1-Ib165.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, Z is ethylsulfonyl and R^{18} is hydrogen.

35 SO₂CH₃ N-X R⁴
N N OSO₂C₂H₅

- The compounds Ib166.1-Ib166.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is ethylsulfonyl and R¹⁸ is hydrogen.

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N

N

OSO₂C₂H₅

lb166

The compounds Ib167.1-Ib167.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is ethylsulfonyl and R¹8 is hydrogen.

15 OCH₃ N-X R⁵

N N OSO₂C₂H₅ SO₂CH₃

lb167

20 - The compounds Ib168.1-Ib168.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² and Z are ethylsulfonyl and R¹⁸ is hydrogen.

25

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$$\begin{array}{c|c}
CI & N-X \\
N & N \\
CH_3 & OSO_2C_2H_5
\end{array}$$

lb168

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The compounds Ib169.1-Ib169.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethy1, Z is ethy1sulfony1 and R^{18} is hydrogen.

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- The compounds Ib170.1-Ib170.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is ethylsulfonyl and R¹⁸ is hydrogen.

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lb170

The compounds Ibl71.1-Ib171.126, which differ from the corresponding compounds Ibl.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is ethyl, Z is ethylsulfonyl and R^{18} is hydrogen.

The compounds Ib172.1-Ib172.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^{16} is ethyl, Z is ethylsulfonyl and R^{18} is hydrogen.

$$\begin{array}{c|c}
CH_3 & N - X \\
N & N - X \\
N & C_2H_5 & OSO_2C_2H_5
\end{array}$$
Ib172

The compounds Ib173.1-Ib173.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, R^{16} is ethyl, Z is ethylsulfonyl and R^{18} is hydrogen.

The compounds Ib174.1-Ib174.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^{16} is ethyl, Z is ethylsulfonyl and R^{18} is hydrogen.

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lb174

The compounds Ib175.1-Ib175.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, R^{16} is ethyl, Z is ethylsulfonyl and R^{18} is hydrogen.

The compounds Ib176.1-Ib176.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfony1, R^{16} is ethyl, Z is ethylsulfony1 and R^{18} is hydrogen.

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$$C_{1} = 0$$
 $C_{1} = 0$ $C_{2} = 0$ C

The compounds Ib177.1-Ib177.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfony1.

$$H_3C$$
 CI
 N
 N
 CI
 N
 R^4
 CI
 N
 R^5

- The compounds Ib178.1-Ib178.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl and Z is ethylsulfonyl.

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The compounds Ib179.1-Ib179.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro and Z is ethylsulfonyl.

 $\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$

The compounds Ib180.1-Ib180.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl and Z is ethylsulfonyl.

- The compounds Ib181.1-Ib181.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl and Z is ethylsulfonyl.

H₃C SO₂CH₃ N-X R⁴
N N CH₃ OSO₂C₂H₅

The compounds Ib182.1-Ib182.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro and Z is ethylsulfonyl.

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- The compounds Ib183.1-Ib183.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl and Z is ethylsulfonyl.

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The compounds Ib184.1-Ib184.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 and Z are ethylsulfonyl.

25 H₃C CI N R⁴
N N OSO₂C₂H₅ SO₂C₂H₅

30 - The compounds Ib185.1-Ib185.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

35 CI N-X R4 Ib185

40 - The compounds Ib186.1-Ib186.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfony1, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

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- The compounds Ib187.1-Ib187.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

lb187

The compounds Ib188.1-Ib188.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹8 is hydrogen.

OSO₂CH(CH₃)₂ P⁴

OSO₂CH(CH₃)₂

lb188

The compounds Ib189.1-Ib189.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

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lb189

- The compounds Ib190.1-Ib190.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

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lb190

The compounds Ib191.1-Ib191.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is iso-propylsulfonyl and R¹8 is hydrogen.

The compounds Ib192.1-Ib192.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib193.1-Ib193.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethyl, Z is iso-propylsulfonyl and R^{18} is hydrogen.

35 O CI N-X
$$R^4$$

N CI N-X R^5

40 C_2H_5 OSO₂CH(CH₃)₂

The compounds Ib194.1-Ib194.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

40

lb194

$$\begin{array}{c|c} & & & \\ & & & \\$$

The compounds Ib195.1-Ib195.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is ethyl, Z is iso-propylsulfonyl and R^{18} is hydrogen.

The compounds Ib196.1-Ib196.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, R^{16} is ethyl, Z is iso-propylsulfonyl and R^{18} is hydrogen.

- The compounds Ib197.1-Ib197.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹⁶ is ethyl, Z is iso-propylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib198.1-Ib198.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^{16} is ethy1, Z is iso-propylsulfony1 and R^{18} is hydrogen.

lb198

The compounds Ib199.1-Ib199.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is 10 methoxy, R2 is methylsulfonyl, R16 is ethyl, Z is iso-propylsulfonyl and R18 is hydrogen.

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lb199

The compounds Ib200.1-Ib200.126, which differ from the 20 corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is ethyl, Z is iso-propylsulfonyl and R^{18} is hydrogen.

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lb200

The compounds Ib201.1-Ib201.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-propylsulfonyl and R18 is hydrogen.

35

$$\begin{array}{c|c}
C & N-X \\
N & N-X \\
N & OSO_2C_3H_7
\end{array}$$
Ib201

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The compounds Ib202.1-Ib202.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is methylsulfonyl, Z is n-propylsulfonyl and R18 is hydrogen. 45

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- The compounds Ib203.1-Ib203.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

15 $N_{N_{1}} = 0$ C_{1} $N_{N_{2}} = 0$ R_{5} R_{5} R_{5} R_{5} R_{5}

The compounds Ib204.1-Ib204.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1, R² is methy1sulfony1, Z is n-propy1sulfony1 and R¹⁸ is hydrogen.

25 CH₃ N-X R⁴ Ib204

The compounds Ib205.1-Ib205.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is n-propylsulfonyl and R¹8 is hydrogen.

35 SO₂CH₃ N-X R⁴ Ib205

N N CI CI CH₃ OSO₂C₃H₇

- The compounds Ib206.1-Ib206.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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5 NO₂ N-X R⁴
OSO₂C₃H₇

lb206

The compounds Ib207.1-Ib207.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, Z is n-propylsulfonyl and R^{18} is hydrogen.

15 OCH₃ N-X F N OSO₂C₃H₇ SO₂CH₃

lb207

20 - The compounds Ib.208.1-Ib208.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, Z is n-propylsulfonyl and R^{18} is hydrogen.

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Ib208

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The compounds Ib209.1-Ib209.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethy1, Z is n-propylsulfonyl and R^{18} is hydrogen.

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$$\begin{array}{c|c} & & & \\ & & & \\$$

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- The compounds Ib210.1-Ib210.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

C₂H₅ OSO₂C₃H₇ SO₂CH₃

lb210

The compounds Ib211.1-211.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R^{16} is ethyl, Z is n-propylsulfonyl and R^{18} is hydrogen.

Q CI N^{-X} R⁴

N NO₂ OSO₂C₃H₇

lb211

The compounds Ib212.1-Ib212.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

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O CH₃ N X R⁴
N Y SO₂CH₃
OSO₂C₃H₇

lb212

The compounds Ib213.1-Ib213.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, R¹6 is ethyl, Z is n-propylsulfonyl and R¹8 is hydrogen.

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lb213

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The compounds Ib214.1-Ib214.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^{16} is ethy1, Z is n-propylsulfonyl and R^{18} is hydrogen.

$$\begin{array}{c|c}
 & \text{NO}_2 & \text{N} - \text{X} \\
 & \text{N} & \text{N} \\
 & \text{C}_2 \text{H}_5 & \text{OSO}_2 \text{C}_3 \text{H}_7
\end{array}$$
Ib214

The compounds Ib215.1-Ib215.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, R¹⁶ is ethyl, Z is n-propylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib216.1-Ib216.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is ethyl, Z is n-propylsulfonyl and R^{18} is hydrogen.

The compounds Ib217.1-Ib217.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-butylsulfony1 and R^{18} is hydrogen.

- The compounds Ib218.1-Ib218.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

lb218

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The compounds Ib219.1-Ib219.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, Z is n-butylsulfony1 and R^{18} is hydrogen.

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The compounds Ib220.1-Ib220.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

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The compounds Ib221.1-Ib221.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

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- The compounds Ib222.1-Ib222.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, Z is n-butylsulfonyl and R^{18} is hydrogen.

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N NO₂ N X R⁴ Ib222

The compounds 1b223.1-1b223.126, which differ from the corresponding compounds 1b1.1-1b1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

20 OCH₃ N-X R⁴
N OSO₂C₄H₉ SO₂CH₃

- The compounds Ib224.1-Ib224.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is n-butylsulfonyl and R¹⁸ is hydrogen.

30 CI N R^4 R^5 R^5 CI N R^5 R^5 R

35 - The compounds Ib225.1-Ib225.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

40 CI N-X R⁴ Ib225

The compounds Ib226.1-Ib226.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R2 is methylsulfonyl, R^{16} is ethyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

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The compounds Ib227.1-Ib227.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, R16 is ethyl, Z is n-butylsulfonyl and R18 is hydrogen.

. 20

$$\begin{array}{c|c}
CI & N-X \\
N-X & R^4 \\
NO_2 & NO_2 \\
C_2H_5 & OSO_2C_4H_9
\end{array}$$

The compounds Ib228.1-Ib228.126, which differ from the 25 corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R2 is methylsulfonyl, R16 is ethyl, Z is n-butylsulfonyl and R18 is hydrogen.

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The compounds Ib229.1-Ib229.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R1 is methylsulfonyl, R16 is ethyl, Z is n-butylsulfonyl and R18 is hydrogen.

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The compounds Ib230.1-Ib230.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is nitro, R^{16} is ethyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

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lb230

The compounds Ib231.1-Ib231.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, R^{16} is ethyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

lb231

25 The compounds Ib232.1-Ib232.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is ethyl, Z is n-butylsulfonyl and R^{18} is hydrogen.

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$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & &$$

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The compounds Ib233.1-Ib233.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-buty1sulfony1 and R¹⁸ is hydrogen.

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- The compounds Ib234.1-Ib234.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

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The compounds Ib235.1-Ib235.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is nitro, Z is iso-butylsulfonyl and R^{18} is hydrogen.

The compounds Ib236.1-Ib236.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹8 is hydrogen.

The compounds Ib237.1-Ib237.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

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The compounds Ib238.1-Ib238.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib239.1-Ib239.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methoxy, R² is methylsulfonyl, Z is iso-butylsulfonyl and R¹8 is hydrogen.

The compounds Ib240.1-Ib240.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

The compounds Ib241.1-Ib241.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethyl, Z is iso-butylsulfonyl and R^{18} is hydrogen.

The compounds Ib242.1-Ib242.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

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The compounds Ib243.1-Ib243.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is nitro, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

15
$$R^4$$
NO₂
 C_2H_5
OSO₂CH₂CH(CH₃)₂

The compounds Ib244.1-Ib244.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

25
$$CH_3$$
 $N-X$ R^4 $N-X$ R^4 $N-X$ R^4 $N-X$ $N-X$

The compounds Ib245.1-Ib245.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methy1sulfonyl, R¹6 is ethy1, Z is iso-buty1sulfonyl and R¹8 is hydrogen.

The compounds Ib246.1-Ib246.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is nitro, R¹⁶ is ethyl, Z is iso-butylsulfonyl and R¹⁸ is hydrogen.

lb246

The compounds Ib247.1-Ib247.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methoxy, R^2 is methylsulfonyl, R^{16} is ethyl, Z is iso-butylsulfonyl and R^{18} is hydrogen.

OCH₃ N-X P₅ SO₂CH₃ C₂H₅ OSO₂CH₂CH(CH₃)₂ lb247

20 - The compounds Ib2

The compounds Ib248.1-Ib248.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is methylsulfonyl, R^{16} is ethyl, Z is iso-butylsulfonyl and R^{18} is hydrogen.

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lb248

$$\begin{array}{c|c} CI & N - X \\ \hline N & SO_2CH_3 \\ \hline C_2H_5 & OSO_2CH_2CH(CH_3)_2 \end{array}$$

- The compounds Ib249.1-Ib249.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is phenylsulfonyl and R¹⁸ is hydrogen.

40 NNN

lb249

- The compounds Ib250.1-Ib250.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

$$\begin{array}{c|c}
CI & N-X \\
N-X & R^5 \\
N-X & SO_2CH_3 \\
CH_3 & OSO_2C_6H_5 \\
\end{array}$$

lb250

The compounds Ib251.1-Ib251.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, Z is phenylsulfonyl and R^{18} is hydrogen.

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The compounds Ib252.1-Ib252.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, Z is phenylsulfonyl and R^{18} is hydrogen.

25

$$\begin{array}{c|c}
CI & N-X \\
N & R^{4}
\end{array}$$

$$\begin{array}{c}
CH_{3} & OSO_{2}C_{6}H_{5} \\
\end{array}$$

$$\begin{array}{c}
SO_{2}C_{2}H_{5}
\end{array}$$

30

The compounds Ib253.1-Ib253.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^{16} is ethyl, Z is phenylsulfonyl and R^{18} is hydrogen.

35

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- The compounds Ib254.1-Ib254.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is phenylsulfonyl and R¹⁸ is hydrogen.

 $\begin{array}{c} 100 \\ O \\ CI \\ N \\ N \\ C_2H_5 \\ OSO_2C_6H_5 \end{array}$ Ib254

The compounds Ib255.1-Ib255.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹6 is ethyl, Z is phenylsulfonyl and R¹8 is hydrogen.

15 CH₃ N-X R⁴
N N SO₂CH₃ SO₂CH₃

The compounds Ib256.1-Ib256.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is ethyl, Z is phenylsulfonyl and R^{18} is hydrogen.

- The compounds Ib257.1-Ib257.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is p-toluenesulfony1 and R¹⁸ is hydrogen.

40 CI N-X R⁴

CI N-X R⁴

CI CI CH₃ OSO₂(4-CH₃-C₆H₄)

The compounds Ib258.1-Ib258.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

The compounds Ib259.1-Ib259.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^1 is methyl, R^2 is methylsulfonyl, Z is p-toluenesulfonyl and R^{18} is hydrogen.

20
- The compounds Ib260.1-Ib260.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is ethylsulfonyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

$$\begin{array}{c|c}
CI & N-X \\
N-X & R^4
\end{array}$$

$$SO_2C_2H_5$$

$$CH_3 & OSO_2(4-CH_3-C_6H_4)$$

- The compounds Ib261.1-Ib261.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

35
$$CI \xrightarrow{N-X} R^4$$
 Ib261 $CI \xrightarrow{N} CI \xrightarrow{N} CI$

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The compounds Ib262.1-Ib262.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R² is methylsulfonyl, R¹⁶ is ethyl, Z is p-toluenesulfonyl and R¹⁸ is hydrogen.

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The compounds Ib263.1-Ib263.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R¹ is methyl, R² is methylsulfonyl, R¹6 is ethyl, Z is p-toluenesulfonyl and R¹8 is hydrogen.

20

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The compounds Ib264-Ib264.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R^2 is ethylsulfonyl, R^{16} is ethyl, Z is p-toluenesulfonyl and R^{18} is hydrogen.

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Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where:

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is halogen, C₁-C₆-alkyl, C₁-C₆-alkylthio or C₁-C₆-alkylsulfonyl; in particular chlorine, methyl, methylthio or methylsulfonyl;

is hydrogen, nitro, halogen, C_1 - C_6 -alkylthio, C_1 - C_6 -alkylsulfinyl or C_1 - C_6 -alkylsulfonyl;

in particular hydrogen, nitro, chlorine, methylthio, methylsulfinyl, methylsulfonyl, ethylsulfonyl or propylsulfonyl;

5 R³ is hydrogen;

 \mathbb{R}^4 , \mathbb{R}^5 are hydrogen, halogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkylthio or COR^6 ; in particular hydrogen, fluorine, methyl, ethyl, propyl, trifluoromethyl, chloromethyl, 1-chloroeth-1-yl, methoxy, ethoxy, ethylthio or ethoxycarbonyl;

`.or

10

15 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

20 R⁶ is C₁-C₄-alkoxy; in particular ethyl;

X is O or $CR^{10}R^{11}$;

25 Y is O, S or $CR^{13}R^{14}$;

 R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, $C_1 \cdot C_4 \cdot alkyl$ or $C_1 \cdot C_4 \cdot haloalkyl$; in particular hydrogen, methyl or chloromethyl;

30 or

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; in particular 1,3-propanediyl;

R¹⁶ is C₁-C₆-alkyl; in particular methyl, ethyl, propyl, 2-methylpropyl or butyl;

 $^{\prime}$ Z is H or SO_2R^{17} ;

is C₁·C₄-alkyl;
in particular methyl, ethyl, propyl or 2-methylpropyl;

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with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole, 4-[2-chloro3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]1,3-dimethyl-5-hydroxy-1H-pyrazole and 4-[2-chloro3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]1,3-dimethyl-5-hydroxy-1H-pyrazole;

10 and the agriculturally useful salts thereof; in particular alkali metal salts and ammonium salts.

Bearing.

The 3-heterocyclyl-substituted benzoyl derivatives of the formula I are obtainable by various routes, for example by the following process:

Process A:

Reaction of pyrazoles of the formula II (where Z = H) with an 10 activated benzoic acid III α or a benxoic acid III β , which is preferably activated in situ to give the acylating product and subsequently subjecting the latter to a rearrangement reaction.

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L¹ is a nucleophilically displaceable leaving group such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, carboxylate, eg. acetate, trifluoroacetate, and the like.

The activated benzoic acid can be employed directly, as in the case of the benzoyl halides, or it can be prepared in situ, for example with dicyclohexylcarbodiimide,

triphenylphosphine/azodicarboxylic ester, 2-pyridine disulfide/triphenylphosphine, carbonyldiimidazole and the like.

It may be advantageous to carry out the acylation reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar amounts. A small excess of the auxiliary base, for example 1.2 to 1.5 mol equivalents based on II, may be advantageous under certain circumstances.

Suitable auxiliary bases are tertiary alkylamines, pyridine or 20 alkali metal carbonates. Examples of solvents which can be used are chlorinated hydrocarbons such as methylene chloride, 1,2-dichloroethane, aromatic hydrocarbons such as toluene, xylene, chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran, dioxane, polar aprotic 25 solvents such as acetonitrile, dimethylformamide, dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

If benzoyl halides are employed as activated carboxylic acid component, it may be expedient to cool the reaction mixture to 0-10°C when adding this reactant. The mixture is subsequently stirred at 20 - 100°C, preferably at 25 - 50°C, until the reaction is complete. Work-up is carried out in the customary manner, for example the reaction mixture is poured into water and the product of value is extracted. Especially suitable solvents for this purpose are methylene chloride, diethyl ether and ethyl acetate. After the organic phase has been dried and the solvent removed, the crude ester can be employed without further purification for the rearrangement reaction.

Rearrangement of the esters to give the compounds of the formula I is expediently carried out at from 20 to 40°C in a solvent and in the presence of a base and, if appropriate, with the aid of a cyano compound as catalyst.

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Examples of solvents which can be used are acetonitrile, methylene chloride, 1,2-dichlorethane, dioxane, ethyl acetate, toluene or mixtures of these. Preferred solvents are acetonitrile and dioxane.

Suitable bases are tertiary amines such triethylamine, pyridine, or alkali metal carbonates such as sodium carbonate, potassium carbonate, all of which are preferably employed in equimolar amounts or up to a fourfold excess, based on the ester.

- Triethylamine or alkali metal carbonate are preferably used, but by preference in a ratio of twice the equimolar amount based on the ester.
- Suitable cyano compounds are inorganic cyanides such as sodium cyanide, potassium cyanide, and organic cyano compounds such as acetone cyanohydrin, trimethylsilyl cyanide. They are employed in an amount of from 1 to 50 mol percent, based on the ester.

 Substances which are preferably employed are acetone cyanohydrin or trimethylsilyl cyanide, for example in an amount of from 5 to 15, preferably 10, mol percent, based on the ester.

Work-up can be effected in a manner known per se. For example, the reaction mixture is acidified with dilute mineral acid, such as 5% strength hydrochloric acid or sulfuric acid, and extracted with an organic solvent, eg. methylene chloride or ethyl acetate. The organic extract can be extracted with 5-10% strength alkali metal carbonate solution, eg. sodium carbonate or potassium carbonate solution. The aqueous phase is acidified, and the precipitate which forms is filtered off with suction and/or extracted with methylene chloride or ethyl acetate, dried and concentrated.

(Examples of the synthesis of esters from hydroxypyrazoles and of the rearrangement of the esters are mentioned, for example, in 35 EP-A 282 944 and US 4 643 757).

Process B:

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Reaction of 3-heterocyclyl-substituted benzoyl derivatives of the formula I (where Z = H) with a compound of the formula V (where $Z = SO_2R^{17}$):

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$$\begin{array}{c}
109 \\
R^{18} \\
N \\
N \\
N \\
OH
\\
R^{1}
\end{array}$$

$$\begin{array}{c}
R^{1} \\
N \\
N \\
R^{2}
\end{array}$$

$$\begin{array}{c}
R^{4} \\
R^{5}
\end{array}$$

$$\begin{array}{c}
L^{2} \\
SO_{2}R^{17}
\end{array}$$

I (where Z = H)

10

R18

N

N

R16

SO₂R17

R3

I (where $Z = SO_2R^{17}$)

- 20 L 2 is a nucleophilically displaceable leaving group, such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, sulfonate, eg. $OSO_{2}R^{17}$.
- The compounds of the formula V can be employed directly such as, for example, in the case of the sulfonyl halides or sulfonic anhydrides, or they can be prepared in situ, for example activated sulfonic acids (by means of sulfonic acid and dicyclohexylcarbodiimide, carbonyldiimidazole and the like).
- As a rule, the starting compounds are employed in an equimolar ratio. However, it may also be advantageous to employ an excess of one or the other component.
- 35 It may be advantageous to carry out the reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar ratios. An excess of the auxiliary base, for example 1.5 to 3 mol equivalents, based on II, may be advantageous under certain circumstances.

Suitable auxiliary bases are tertiary alkylamines such as triethylamine or pyridine, alkali metal carbonates, eg. sodium carbonate or potassium carbonate, and alkali metal hydrides, eg. sodium hydride. Triethylamine and pyridine are preferably used.

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Examples of suitable solvents are chlorinated hydrocarbons such as methylene chloride or 1,2-dichlorethane, aromatic hydrocarbons, eg. toluene, xylene or chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran or dioxane, polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

As a rule, the reaction temperature is in the range of from 0°C to $10\,$ the boiling point of the reaction mixture.

Work-up can be effected in a manner known per se to give the product.

Those pyrazoles of the formula II (where Z = H) which are used as starting materials and which are not already known can be prepared by processes known per se (for example EP-A 240 001 and J. Prakt. Chem. 315, 383 (1973)).

Novel 3-heterocyclyl-substituted benzoic acid derivatives of the formula III

25

30

III

are those where the variables have the following meanings:

are hydrogen, nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -haloalkylsulfonyl;

40

R³ is hydrogen, halogen or C₁-C₆-alkyl;

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkoxy)- C_1 - C_4 -alkyl, di(C_1 - C_4 -alkyl)amino- C_1 - C_4 -alkyl, [2,2-di(C_1 - C_4 -alkyl)hydrazino-1]- C_1 - C_4 -alkyl, C_1 - C_6 -alkyliminooxy- C_1 - C_4 -alkyl,

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 $C_1 - C_4 - alkoxycarbonyl - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkylthio - C_1 - C_4 - alkyl, C_1 - C_4 - haloalkyl,$ C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, C_1-C_4 -haloalkoxy, hydroxyl, 5 C_1-C_4 -alkylcarbonyloxy, C_1-C_4 -alkylthio, C_1 - C_4 -haloalkylthio, di(C_1 - C_4 -alkyl)amino, COR 6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following 10 groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C_1 - C_4 -haloalkoxy; or 15 R^4 and R^5 together form a $C_2\text{-}C_6\text{-alkanediyl}$ chain which can be mono- to tetrasubstituted by C1-C4-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C1-C4-alkyl; 20 or R4 and R5 together with the corresponding carbon form a carbonyl 25 or a thiocarbonyl group; Rб is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, $C_1 - C_4 - alkoxy - C_2 - C_4 - alkoxy$, $C_1 - C_4 - haloalkoxy$, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸; 30 \mathbb{R}^7 is hydrogen or C1-C4-alkyl; - R8 is $C_1 \cdot C_4 \cdot alkyl$; 35 X is O, S, NR9, CO or CR10R11; Y is O, S, NR^{12} , CO or $CR^{13}R^{14}$; Y R^9 , R^{12} are hydrogen or C1-C4-alkyl; R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, $C_1 \cdot C_4 \cdot alkyl$, $C_1 \cdot C_4 \cdot haloalkyl$, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸; 45 or

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 R^4 and R^9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R^{13} together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

R¹⁹ is hydroxyl or a radical which can be removed by hydrolysis;

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)-benzoate.

Examples of radicals which can be removed by hydrolysis are alkoxy, phenoxy, alkylthio and phenylthio radicals which are unsubstituted or substituted, halides, hetaryl radicals which are bonded via nitrogen; amino, imino radicals which are unsubstituted or substituted, and the like.

Preferred are 3-heterocyclyl-substituted benzoic acid halides of the formula III α' , where $L^{1'}$ = halogen (\triangleq III where R^{19} = halogen)

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where the variables R^1 to R^5 , X and Y have the meanings given under the formula III and

L1' is halogen, in particular chlorine or bromine.

40 Equally preferred are 3-heterocyclyl-substituted benzoic acids of the formula III β (\triangle III where R^{19} = hydroxyl)

45.

25

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ΙΙΙβ

 10 where the variables \mathbb{R}^1 to \mathbb{R}^5 , X and Y have the meanings given under formula III.

Equally preferred are 3-heterocyclyl-substituted benzoic esters of the formula III γ (\triangleq III where R¹⁹ = C₁-C₆-alkoxy)

$$L^{3} \xrightarrow{Q} \begin{array}{c} R^{1} \\ \\ \\ \\ \\ \\ \\ R^{2} \end{array} \qquad \begin{array}{c} R^{4} \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \end{array}$$

IIIY

25 where the variables $\ensuremath{R^1}$ to $\ensuremath{R^5},$ X and Y have the meanings given under formula III and

L³ is $C_1 \cdot C_6 \cdot alkoxy$.

The specially preferred embodiments of the 3-heterocyclyl-substituted benzoic acid derivatives of the formula III with regard to the variables R¹ to R⁵, X and Y correspond to those of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I.

Also preferred are 3-heterocyclyl-substituted benzoic acid derivatives of the formula III, where:

40 R1 is halogen, C_1 - C_6 -alkyl, C_1 - C_6 -alkylthio or C_1 - C_6 -alkylsulfonyl; in particular chlorine, methyl, methylthio or methylsulfonyl; extraordinarily preferably chlorine;

is hydrogen, nitro, halogen, C_1-C_6 -alkylthio, C_1-C_6 -alkylsulfinyl or C_1-C_6 -alkylsulfonyl;

in particular hydrogen, nitro, chlorine, methylthio, methylsulfinyl, methylsulfonyl, ethylsulfonyl or propylsulfonyl; extraordinarily preferably hydrogen, chlorine, methylthio, methylsulfonyl, ethylsulfonyl or propylsulfonyl;

R³ is hydrogen;

10 R4, R5 are hydrogen, halogen, C1-C4-alkyl, C1-C4-haloalkyl, C_1-C_4 -alkoxy, hydroxyl, C_1-C_4 -alkylcarbonyloxy, C₁-C₄-alkylthio or COR⁶; in particular hydrogen, fluorine, methyl, ethyl, propyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl, methoxy, 15 ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl; extraordinarily preferably hydrogen, fluorine, methyl, ethyl, trifluoromethyl, chloromethyl, 2-chloroeth-1-yl, 20 methoxy, ethoxy, 2-methylprop-1-oxy, hydroxyl, methylcarbonyloxy, ethylthio, formyl, methylcarbonyl, methoxycarbonyl or ethoxycarbonyl;

or

25

30

5

 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to polysubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl; in particular 1,4-butanediyl, 2-oxo-1,5-pentanediyl;

or.

 \mathbb{R}^4 and \mathbb{R}^5 together with the corresponding carbon atoms form a carbonyl group

R6 is hydrogen, C₁-C₄-alkyl or C₁-C₄-alkoxy;
in particular hydrogen, methyl, methoxy or ethoxy;

40 X is O, S, CO, $CR^{10}R^{11}$;

Y is 0, S, $CR^{13}R^{14}$;

45

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 R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl or C_1 - C_4 -alkoxycarbonyl; in particular hydrogen, methyl, chloromethyl or methoxycarbonyl;

5 or

10

R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to polysubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl:

unsubstituted or substituted by C₁-C₄-alkyl; in particular 1,3-propanediyl;

R¹⁹ is hydroxyl, halogen or C₁-C₆-alkoxy; 15 in particular hydroxyl, chlorine, methoxy or ethoxy;

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydro-oxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-20 3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

The benzoyl halides of the formula III α' (where $L^{1'}$ = C1, Br) can be prepared in a manner known per se by reacting the benzoic acids of the formula III β with halogenating reagents such as thionyl chloride, thionyl bromide, phosgene, diphosgene, triphosgene, oxalyl chloride or oxalyl bromide.

The benzoic acids of the formula III β can be prepared in a known manner from the corresponding esters of the formula III γ (L³ = C₁-C₆-alkoxy) by means of acid or basic hydrolysis.

35
$$R^1$$
 N X R^4 hydrolysis R^2 R^3 R^2 R^3 R^4 R^5 R^5 R^3 R^4 R^5 R^5

Equally, the benzoic acids of the formula III β can be obtained by reacting corresponding bromine- or iodine-substituted compounds 45 of the formula V, with carbon monoxide and water under elevated

pressure in the presence of a palladium, nickel, cobalt or rhodium transition metal catalyst and a base.

I, Br
$$R^1$$
 N X R^4 CO , H_2O R^1 N X R^4 R^5 CO , H_2O R^1 N R^4 R^5 R^5 R^4 R^5 R^4 R^5 R^5 R^4 R^5 R^5 R^4 R^5 R^5 R^6 R^7 R^8

Furthermore, it is possible to convert compounds of the formula V into the corresponding nitriles of the formula VI by a Rosenmund-von Braun reaction (cf., for example, Org. Synth. Vol III (1955), 212) and to convert these nitriles into the compounds of the formula IIIβ by subsequent hydrolysis.

The esters of the formula IIIγ can be obtained by reacting arylhalogen compounds or arylsulfonates of the formula VII, where L⁴ is a leaving group such as bromine, iodine, triflate, fluorosulfonyloxy and the like with heterocyclyl stannates (Stille couplings), heterocyclyl-boron compounds (Suzuki couplings) or heterocyclyl-zinc compounds (Negishi reaction)

VIII, where M is $Sn(C_1-C_4-alkyl)_3$, $B(OH)_2$, ZnHal (where Hal = chlorine, bromine) and the like, respectively, in a manner known per se (cf., for example, Tetrahedron Lett. <u>27</u> (1986), 5269) in the presence of a palladium or nickel transition metal catalyst and in the presence or absence of a base.

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5
$$L^3$$
 R^2
 R^3
 VII
 $N-X$
 R^4
 $N-X$
 R^4
 R^5
 R^5
 R^3
 $VIII$
 $N-X$
 R^4
 R^5
 R^3
 R^3
 R^3
 R^3

10 (where
$$L^4$$
 = Br, I, (where M = $Sn(C_1-C_4-Alkyl)_3$, OSO_2CF_3 , $B(OH)_2$, $ZnHal$, OSO_2F) where Hal is Cl or Br)

15

Equally, it is possible to obtain esters of the formula III γ by synthesizing the heterocycle which is bonded in the 3-position.

For example, 1,2,4-oxadiazolin-3-yl derivatives (IIIy where X=O, Y=NH) can be prepared from amidoximes of the formula IX by condensation with aldehydes or ketones (cf., for example, Arch. Phar. 326 (1993), 383-389).

Thioamides of the formula X are suitable precursors for 2-thiazolinyl derivatives I (where $X=CR^{10}R^{11}$, Y=S) (cf., for example, Tetrahedron 42 (1986), 1449-1460).

40

$$R^{1}$$
 R^{2}
 R^{3}
 R^{3}

45

2-Oxazolinyl, 2-thiazolinyl and 2-imidazolinyl derivatives (III γ where X=CR¹⁰R¹¹, Y=O or Y=S or Y=NH) are accessible from the carboxylic acids of the formula XI (cf., for example, Tetrahedron Let. 22 (1981), 4471-4474).

10

R¹

N

R¹

(where X=CR¹⁰R¹¹, Y=0)

15

L³

R¹

N

R¹

(where X=CR¹⁰R¹¹, Y=S)

XI

20

R¹

N

R¹

N

R²

(where X=CR¹⁰R¹¹, Y=NH)

1,3-Thiazol-5(4H)-thion-2-yl (cf., for example, Helv. Chim. Acta
69 (1986), 374-388) and 5-oxo-2-imidazolin-2-yl derivatives (cf.,
for example, Heterocycles 29 (1989), 1185-1189) (III where
X=CR¹⁰R¹¹, Y=S or Y=NH) can be prepared by processes known from
the literature from carboxylic acid halides of the formula XII
where Hal is halogen, in particular from carboxylic acid
chlorides.

40

25

The oximes of the formula XIII can be converted into 4,5-dihydroisoxazol-3-yl derivatives (IIIy where X=0, Y=CR¹³R¹⁴) in a manner known per se via the hydroxamic acid chlorides XIV as intermediates. From the latter, nitrile oxides are prepared in situ, and these nitrile oxides react with alkenes to give the desired products (cf., for example, Chem. Ber. 106 (1973), 3258-3274). 1,3-Dipolar cycloaddition reactions of chlorosulfonyl isocyanate with nitrile oxides yield 1,2,4-oxadiazolin-5-on-3-yl derivatives (IIIy where X=O, Y=NH) (cf., for example, Heterocycles 27 (1988), 683-685).

40 The aldehydes of the formula XIV can be converted into 2,4-dihydro-1,2,4-triazol-3-on-5-yl derivatives (IIIγ where X=NR⁹, X=NR¹²) via the semicarbazones as intermediates (cf., for example, J. Heterocyclic Chem. 23 (1986), 881-883).

45

1980 (A.C.)

5

$$R^{1}$$
 R^{2}
 R^{3}
 R^{3}

10 2-Imidazolinyl derivatives (III γ where X=CR¹⁰R¹¹, Y=NH) can also be prepared from benzonitriles of the formula XV using known methods (cf., for example, J. Org. Chem. 52 (1987), 1017-1021).

1,3-Dipolar cycloaddition reactions of diazoalkanes or nitriloimines with arylalkenes of the formula XVI can be used for synthesizing 3-pyrazolinyl derivatives (IIIy where X=NH, Y=CHR¹³).

30
$$L^3$$
 R^1
 R^2
 R^{13}
 R^2
 R^{13}
 R^4
 R^4

The bromine- or iodine-substituted compounds of the formula V which are used as starting compounds can be obtained from corresponding anilines by methods similar to those known from the literature, for example by Sandmeyer reaction, and the anilines, in turn, are synthesized by reducing suitable nitro compounds. The bromine-substituted compounds of the formula V can additionally be obtained by direct bromination of suitable starting materials (cf. Monatsh. Chem. 99 (1968), 815-822).

The nitriles of the formula VI can be obtained as described above. Equally, it is possible to synthesize them from corresponding anilines by means of a Sandmeyer reaction.

- The starting compounds of the formula VII are known (cf., for example, Coll. Czech. Chem. Commun. 40 (1975), 3009-3019) or can be prepared readily by a suitable combination of known syntheses.
- For example, the sulfonates VII ($L^4 = OSO_2CF_3$, OSO_2F) can be obtained from the corresponding phenols, which, in turn, are known (cf., for example, EP-A 195 247) or can be prepared by known methods (cf., for example, Synthesis 1993, 735-762).
- 15 The halogen compounds VII (L^4 = C1, Br or I) can be obtained, for example, from the corresponding anilines of the formula XIX by a Sandmeyer reaction.
- The amidoximes of the formula IX, the thioamides of the formula X 20 and the carboxylic acids of the formula XI can be synthesized from the nitriles of the formula XV in a manner known per se.
- Furthermore, it is possible to prepare the carboxylic acids of the formula XI from the aldehydes of the formula XIV by known processes (cf., for example, J. March, Advanced Organic Chemistry, 3rd edition (1985), p. 629 et seq., Wiley-Interscience Publication).
- The carboxylic acid halides of the formula XII can be obtained from the corresponding carboxylic acids of the formula XI by methods similar to standard processes.
- The oximes of the formula XIII are advantageously obtained by 35 reacting aldehydes of the formula XIV with hydroxylamine in a manner known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 805-806, Wiley-Interscience Publication).
- 40 Those aldehydes of the formula XIV which are not already known can be prepared by methods similar to known processes. Thus, they can be synthesized from methyl compounds of the formula XVII by means of bromination, for example with N-bromosuccinimide or 1,3-dibromo-5,5-dimethylhydantoin, followed by oxidation (cf.
- 45 Synth. Commun. 22 (1992), 1967 1971).

The oximes of the formula XIII can also be converted into nitriles of the formula XV by processes which are known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 931-932, Wiley-Interscience Publication).

Arylalkenes of the formula XVI can be synthesized starting from the halogen compounds or sulfonates of the formula VII (L⁴ = Br, Cl, OSO₂CF₃, OSO₂F) by, inter alia, Heck reaction with olefins in the presence of a palladium catalyst (cf., for example, Heck, Palladium Reagents in Organic Synthesis, Academic Press, London 1985; Synthesis 1993, 735 - 762).

3.0

(201805)))

$$L_{3} \xrightarrow{R_{1}} R_{2} \xrightarrow{R_{2}} L_{3} \xrightarrow{R_{1}} R_{1} \xrightarrow{R_{2}} R_{2} \xrightarrow{R_{1}} R_{1} \xrightarrow{R_{2}} R_{2} \xrightarrow{R_{2}} R_{2} \xrightarrow{R_{1}} R_{2} \xrightarrow{R_{2}} R_{2$$

Preparation examples:

5 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-5-hydroxy-1-methyl-1H-pyrazole (compound 3.35)

43.60 g (0.13 mol) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl) - 4-methylsulfonylbenzoyl chloride in 375 ml of anhydrous $_{10}$ dioxane and 13.56 g (0.134 mol) of triethylamine in 375 ml of anhydrous dioxane are simultanouesly added dropwise at room temperature under a protective gas atmosphere to a solution 12.74 g (0.13 mol) of 5-hydroxy-1-methylpyrazole and 300 ml of anhydrous dioxane. After the reaction mixture had been stirred 15 for 2 hours at room temperature, it was filtered through silica gel and the residue was washed with dioxane. The eluate was concentrated in vacuo to approxmately 500 ml, and 17.94 g (0.13 mol) of dried, finely powdered potassium carbonate were added. After the mixture had been refluxed for 6 hours, the 20 solvent was distilled off in vacuo and the residue was taken up in approximately 700 ml of water. Insoluble constituents were filtered off, and the pH of the filtrate was brought to 2 - 3 by slow addition of 10% strength hydrochloric acid. The precipitate which formed was filtered off with suction. This gave 46.16 g 25 (92% of theory) of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-5-hydroxy-1-methyl-1H-pyrazole. (m.p. > 250°C)

Table 3 shows the above compound and, in addition, other

3 -heterocyclyl-substituted benzoyl derivatives of the formula I which were prepared, or can be prepared, in a similar manner (if the end products had not precipitated upon acidification with 10% strength hydrochloric acid, they were extracted with ethyl acetate or dichloromethane; the organic phase was subsequently dried and concentrated in vacuo):

40

er er	
\times	\mathbb{R}^2
<u>\$</u> —	/
⁷ → ₩	~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~
)
)=	R - R
<u>۔</u> ھ	z Z
R18	

125			
Physical data m.p. [°C]; ¹ H NMR [δ in ppm]	116 - 117	148 - 151	0.95(t); 1.32(m); 1.62(t); 1.92(quin); 3.30(t); 3.78(quar); 4.17(t); 4.61(t); 7.42(d); 7.48(m).
R18	н	E	н
2	Н	Н	C ₂ H ₅ SO ₂
R16	n-C4H9	i-C4H9	n-C4H9
>-	CH ₂	CH2	CH ₂
R ⁵	Н	Н	н
R4	н	н	н
×	0	0	0
R3	Н	Н	н
R ²	CI	C]	13
\mathbb{R}^1	C1	C1	CI
No.	3.1	3.2	e. e.

Table 3:

			.20	,					
Physical data m.p. [°C]; 1H NWR [8 in ppm]	0.96(d); 1.21(d); 2.33(m); 2.48(m); 3.30(t); 3.67(d); 3.97(d); 4.58(t); 7.42(d); 7.50(m).	0.97(t); 1.20(d); 1.96(m); 2.49(m); 3.30(t); 3.68(d); 4.12(t); 4.59(t); 7.42(d); 7.49(d); 7.52(s).	0.97(t), 1.12(d); 1.63(t); 1.94(m); 3.29(t); 3.76(q); 4.14(t); 4.60(t); 7.42(d), 7.48(d); 7.51(s).	70 - 75	65 - 70	230 - 235	210 - 215	95 - 100	70 - 75
R18	Ħ	н	Ħ	Н	H	Н	Н	Н	н
2	i-C ₄ H9SO ₂	i-C ₄ H ₉ SO ₂	C ₂ H ₅ SO ₂	Н	Н	Ĥ	н	Н	C2H5SO2
R16	i-C4H9	n-C ₃ H ₇	n-C ₃ H ₇	CH ₃	C ₂ H ₅	CH ₃	C_2H_5	n-C ₃ H ₇	CH ₃
×	CH ₂	CH ₂	СН2	CH2	CH2	CH2	CH2	CH2	CH2
R5	н	н	ж	Н	н	н	н	Н	н
R4	н	н	н	COOC2H5	COOC2H5	CH3	CH3	CH3	CH3
×	, O	0	0	0	0	0	0	0	0
В3	н	н	H	Н	Н	Ħ	н	н	Н
R2	C1	. C1	C1	SO ₂ CH ₃					
R1	C1	CJ	CJ	c_1	c_1	CI	C1	C1	C1
No.	3.4	3.5	3.6	3.7	3.8	3.9	3.10	3.11	3.12

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Physical data m.p. [°C];	78 - 83	1,24(2d); 1.53(t); 2.52(m); 3.05(dd); 3.29(s); 3.52(dd); 3.73(d); 4.24(q), 5.05(m); 7.49(s); 7.66(d); 8.18(d).	0.96(t); 1.53(d); 1.68(t); 1.95(sext); 3.07(dd); 3.32(s); 3.58(dd); 3.86(quart); 4.15(t); 5.03(m); 7.46(d); 7.64(d);	220 - 225	82 - 86	70 - 75	68 - 73	45 - 50	220 - 225	170 - 175
R18	Æ	н	ж	H	H	H	Н	Н	H	Н
Z	C2H5SO2	i - C4H9SO2	C2H5SO2	Н	Н	Н	Н	Н	н	н
R16	C ₂ H ₅	C ₂ H ₅	n-C ₃ H ₇	СН3	C ₂ H ₅	n-C3H7	n-C4H9	i-C4H9	СН3	C ₂ H ₅
H	CH2	СН2	СН2	CH2	CH2	CH2	CH ₂	CH2	CH2	CH2
R5	Н	н	н	CH3	СН3	CH3	СН3	CH3	Н	Н
R4	СН3	СН3	CH ₃	СН3	CH ₃	СН3	CH3	СН3	C ₂ H ₅	C2H5
×	0	0	0	0	0	0	0	0	0	0
R3	Н	н	н	H	Н	Н	Н	н	н	H
R ²	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO_2CH_3	SO ₂ CH ₃			
\mathbb{R}^1	C1	C1		CI	СI	C1	C1.	CI	C1	<u>[]</u>
No.	3.13	3.14	3.15	3.16	3.17	3.18	3.19	3.20	3.21	3.22

											.28											
Physical data m.p. [°C]; ¹ H NMR [ô in ppm]	65 - 70	55 - 60	58 - 63	78 - 83	0.94(t); 1.19(d);	1.22(t); 1.38(m);	1.74(br); 1.91(m);	2.53(m); 3.26(s);	4.45(t); 3.76(d);	4.18(t); 4.62(t);	7.45(s); 7.64(d);	8.16(d).	0.96(d); 1.21(d);	2.33(m); 2.51(m);	3.28(s); 3.44(t);	3.75(d); 3.99(d);	4.61(t); 7.45(s);	7.66(d); 8.17(d).		3.43(t); 3.82(q);		
R18	Ħ	×	H	Ħ	Ħ								Ħ						Ħ			į
2	н	н	н	C2H5SO2	i-C4H9SO2								i-C4H9SO2						C2H5SO2			
R16	n-C ₃ H ₇	n-C4H9	i -C4H9	n-C4H9	n-C4H9							-:	i-C4H9					:	i-C4H9			
	CH2	CH2	CH2	CH2	CH ₂								CH ₂			•			CH ₂			
R5	H	Ħ	Ħ	н	H								н		ŕ				H			
R4	Ħ	Ħ	Ħ	Н	н				-				н						Ħ	 		
×	0	0	0	0	0								0						0			
R3	Ħ	н	н	Н	Н								н						Н			
R2	SO ₂ CH ₃								SO ₂ CH ₃						SO ₂ CH ₃							
R1	C1	Cl	ប	CJ	CJ								το						το			
No.	3.23	3.24	3.25	3.26	3.27								3.28						3.29			

								,			
Physical data m.p. [°C];	1.68(t); 3.29(s); 3.43(t); 3.78(q); 3.92(s); 3.63(t); 7.46(s); 7.62(d); 8.17(d).	1.23(d); 2.53(m), 3.28(s); 3.43(t); 3.70(d); 3.91(s); 4.61(t); 7.48(s); 7.66(d); 8.18(d).	119 - 121	115 - 117	217 - 218	> 250	125 - 128	78 - 83	1.52(t); 1.68(t); 3.29(s); 3.43(t); 3.82(q); 4.24(q); 4.63(t); 7.48(s); 7.65(d); 8.07(d).	> 200	220 - 223
R18	Ħ	Ħ	Ħ	CH ₃	H	Ħ	F	Ħ	H	H	Н
22	C ₂ H ₅ SO ₂	i-C ₄ H ₉ SO ₂	Н	н	н	Н	Н	n-C ₃ H ₇ SO ₂	C ₂ H ₅ SO ₂	H	Н
R16	СН3	CH ₃	n-C ₃ H ₇	CH3	C ₂ H ₅	CH3	C2H5	C ₂ H ₅	C ₂ H ₅	CH ₃	CH3
×	CH ₂	CH ₂	CH2	CH ₂	СН2	CH2	CH2	CH2	СН2	CH_2	CH_2
R5	н	ж	Н	Н	Н	Н	Н	Н	н	CH_3	Н
R4	·Η	н	Н	Н	Н	Н	Н	Н	Н	CH3	СН3
×	0	0	0	0	0	0	0	0	0	0	0
В3	н	H	н	Н	Н	Н	Н	Н	н	Н	Н
R ²	SO ₂ CH ₃	SO ₂ CH ₃	C1	CJ	NO2	SO ₂ CH ₃	ci	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ C ₂ H ₅	SO ₂ C ₂ H ₅
R1	C1	C1	C1	CI	CI	CI	Cl	Cl	C1	Cl	CI
No.	3.30	3.31	3.32	3.33	3.34	3.35	3.36	3.37	3.38	3.39	3.40

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			130						
Physical data m.p. [°C]; ¹ H NMR [ô in ppm]	> 230	1.12(t); 1.53(d); 1.76(quin); 3.18(dd); 3.38(t); 3.55(dd); 3.73(s); 5.04(m); 5.55(s,br.); 7.37(s); 7.68(d); 8.13(d).	1.07(t); 1.50(m); 1.78(quin); 3.07(dd); 3.39(t); 3.55(dd); 4.12(t); 5.08(m); 7.38(s); 7,69(d); 8,11(d).		1.33(s); 3.40(s); 4.17(s); 7.43(s); 7.79(d); 8.04(d).	218 - 220	193	> 230	170 - 175
R18	н	ж	н	Н	н	н	Н	н	н
2	Н	н	н	н	Н	Na+	K+	ri.t	+ ^P HN
R16	C_2H_5	СН3	C ₂ H ₅	CH3	СН3	C2H5	C ₂ H ₅	C ₂ H ₅	C_2H_5
Y	CH2	СН2	СН2	0	0	CH2	CH2	CH_2	CH2
R5	Н	н	н	Н	н	Н	Н	Н	Н
R4	CH ₃	СН3	СН3	Н	н	Н	Н	Н	Н
Х	0	0	0	CH2	C(CH ₃) ₂	0	0	0	0
R3	Н	Н	н	Н	н	Н	Н	Н	Н
$ m R^2$	$SO_2C_2H_5$	SO ₂ · n · C ₃ H ₇	SO ₂ - n - C ₃ H ₇	$\rm SO_2CH_3$	SO ₂ CH ₃	SO ₂ CH ₃	${ m SO_2CH_3}$	SO ₂ CH ₃	SO_2CH_3
\mathbb{R}^1	c_1	CI	C1	C1	C1	C1	сı	CI	C1
No.	3.41	3.42	3.43	3.44	3.45 a)	3.46	3.47	3.48	3.49

	-	_	_														
Physical data m.p. [°C];	> 240	206 - 214	> 240		1.27(t); 1.36(s);	3.41(q); 4.01(q);	4.18(s); 7.47(s); 7.83(d): 8.07(d).	99	95-100	230-235	190-195	95-100	< 230	198-200	215-218	213-215	186-190
R18	Ħ	Ħ	H	H	E			æ	H	H	н	H	H	H	Н	E	Н
2	Na+	Κ÷	Li+	NH ₄ +	H			H	H	Н	Н	Н	Н	Н	Н	Н	Н
R16	CH ₃	CH ₃	CH ₃	CH ₃	C ₂ H ₅			C2H5	CH ₃	СН3	C ₂ H ₅	C ₂ H ₅	CH ₃	C ₂ H ₅	CH ₃	C ₂ H ₅	СН3
×	CH ₂	CH ₂	CH2	CH2	0			3CH-	3СН-	CH2	CH ₂	CH2	CH2	CH2	CH ₂	CH2	CH ₂
R5	H	H	Ħ	н	Н			- (СН ₂) ₃ СН-	- (CH ₂) ₃ CH-	4-	- 5 (CH2) 2	CH3	CH3	H	Ħ	н
R4	н	H	н	Ħ	Н			H	н	- (CH ₂) 4-	- (CH ₂) 4-	- (CH ₂) ₂ 0 (CH ₂) ₂	СН3	CH3	Н	н	Н
×	0	0	0	0	C (CH ₃) ₂			0	0	0	0	0	0	0	0	0	0
R3	Н	Н	Н	Н	н			H	ж.	Ħ	Ħ	Ħ	н	Н	Н	Н	н
R ²	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO_2CH_3	SO ₂ CH ₃			SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	$SO_2C_2H_5$	SO ₂ C ₂ H ₅	$SO_2C_2H_5$	$SO_2C_2H_5$	SO2-n-C3H7
R1	СЛ	CI	c1	CI	CI			ជ	CI	17	CJ	СJ	CI	C1	Сľ	CI	C1
No.	3.50	3.51	3.52	3.53	3.54	ਰੇ		3.55	3.56	3.57	3.58	3.59	3.60	3.61	3.62	3.63	3.64

	,					132					
Physical data m.p. [°C]; 1H-NMR [ô in ppm]	84-86	90-95	70-75	50-55	3.18-3.99(11H); 5.78(1H); 7.50(1H); 7.81(1H); 8.09(1H).	1.52(3H); 3.30-4.12(8H); 4.36(1H); 4.93(1H); 7.49(1H); 7.81(1H); 8.09(1H).	1.27(3H); 1.55(3H); 3.28-4.02(7H); 4.37(1H); 4.92(1H); 7.48(1H); 7.80(1H); 8.07(1H).	132-135	95-100	1.16(3H); 1.27(3H); 3.20-4.00(9H); 5.89(1H); 7.50(1H); 7.82(1H); 8.07(1H).	200-205
R18	=	田	田	=	H	ж	ж	Ħ	E	Ħ	H
12	н	Ħ	H	H	Н	н	н	Н	H	Н	K+
R16	C ₂ H ₅	CH ₃	CH ₃	C ₂ H ₅	СН3	CH ₃	C ₂ H ₅	СНЗ	СН3	C ₂ H ₅	C2H5
X	CH ₂	CH ₂	CH ₂	CH2	CH ₂	снсн2с1	снсн2с1	0	CH2	CH ₂	CH ₂
R5	н	H ₂) 2-	C ₂ H ₅	C ₂ H ₅	н	Н	н	н	H	Ħ	C ₂ H ₅
R4	Н	- (CH ₂) 20 (CH ₂) 2-	C2H5	C ₂ H ₅	ОСН3	СН3	СН3	H	OC ₂ H ₅	OC ₂ H ₅	C ₂ H ₅
×	0	0	0	0	0	0	0	C(CH3)2	0	0	0
. Ж3	Ħ	н	н	н	Ħ	ж	ж	H	Ξ	н	Н
R ²	SO2-n-C3H7	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	S0 ₂ CH ₃	SO ₂ CH ₃
R1	CI	C1	C1	C1	C1	C1	. c1	C1	C1	C1	CI
No.	3.65	3.66	3.67	3.68	3.69	3.70	3.71	3.72	3.73	3.74	3.75

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Physical data m.p. [°C]; 1H-NMR [δ in ppm]	120-123	152-158	172-176	188-205	1.29(t); 2.56(s); 3.28(t); 3.93(q); 4.49(t); 7.40(s); 7.43(d); 7.55(d).	78-82	1.44(t); 2.50(s); 3.49(t); 4.09(q); 4.53(t); 7.35(m); 7.48(d); 7.62(d).	81-85	151-153	1.28(t); 2.82(s); 3.40(m); 3.92(m); 4.52(t); 7.45(s); 7.82(d); 8.10(d).	205-210	173-179	1.43(t); 2.51(s); 3.59(t); 4.08(q); 4.51(t); 7.22(d); 7.41(s); 7.50(d).
R18	н	Ξ	н	Н	ж	Ħ	æ	Н	Н	Н	Н	Н	æ
2	æ	н	æ	Н	Е	н	н	Н	Н	н	н	H	ж
R16	CH ₃	C ₂ H ₅	СН3	снз	C ₂ H ₅ · · ·	C ₂ H ₅	C ₂ H ₅	СН3	CH ₃	C ₂ H ₅	CH3	C ₂ H ₅	C ₂ H ₅
Ϋ́	0	CH2	CH ₂	CH ₂	CH ₂	CH ₂	S	CH ₂	CH ₂	CH ₂	CH2	တ	εν .
R5	н	CH3	СН3	Н	æ	н	ж	н	Н	Н	Н	Н	æ
R4	Ħ	CH ₃	CH ₃	СН3	н	CH ₂ C1	н	СН2С1	Н	Н	Н	H	ж
×	C(CH ₃) ₂	0	0	0	0	0	CH ₂	0	0	0	0	CH2	CH ₂
R3	Ħ	æ	ェ	H	ж	Œ	æ	Ħ	Ξ	Ħ	Ħ	Ħ	Ħ
R ²	SO2C2H5	SO2-n-C3H7	SO2-n-C3H7	SO2-n-C3H7	SCH ₃	SO ₂ CH ₃	Œ	SO ₂ CH ₃	SCH ₃	SOCH3	SO ₂ CH ₃	CI	SCH ₃
R1	CJ	2	CJ	CI	C1	CI	GH ₃	C1	CJ	C1	CH3	C1	C1
No.	3.76	3.77	3.78	3.79	3.80	3.81	3.82	3.83	3.84	3.85	3.86	3.87	3.88

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Physical data m.p. [°C]; 1H-NWR [6 in ppm]	1.50(t); 3.28(s); 3.62(t); 4.10(q); 4.49(t); 7.36(s); 7.68(d); 8.19(d).	174-180	77-83				×	183-184	223-225	183-184	195-196	199-200	230-233	102-107	80-85			200
R18	H	н	Ξ	н	H	Н	н	Н	Н	Н	Н	Н	Н	н	Н	Н	Ħ	н
Z	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н	н	Н	Н	н	H	*NH2 (CH3) 2
R16	C ₂ H ₅	C ₂ H ₅	CH3	CH3	C ₂ H ₅	CH3	C ₂ H ₅	C ₂ H ₅	CH3	CH3	C2H5	CH3						
¥	ω	CH2	CH ₂	CH2	CH2	CH2	CH2	снснз	CH2	CH2	CH2	CH2	СНСН3	CH2	CH2	CH2	CH2	CH2
R5	H	Н	H	н	Н	FI	ţri	н	Ħ	Н	н	Ħ	н	H	H	н	н	н
R4	H	Н	CH ₂ C1	Ħ	Ęų	ξų	Œ	CH3	CF3	CF3	SC ₂ H ₅	SC ₂ H ₅	CH3	СНС1 (СН3)	СНС1 (СН3)	n-C ₃ H ₇	n-C ₃ H ₇	н
×	CH ₂	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0
R3	Н	н	н	н	н	н	н	н	н	н	н	н	н	н	н	н	Н	н
R ²	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃

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3.93 3.94 3.95 3.96 3.99 3.100 3.102 3.103 3.103 3.105

3.106

3.90 3.91 3.92

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3.89

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187	081	2.33(s); 2.51(s); 3.40(t); 3.70(s); 4.58(t); 5.15(brs); 7.21(s); 7.31(d); 7.42(d).	1.38(t); 2.33(s); 2.49(s); 3.41(t); 4.10(q); 4.58(t); 7.25(s); 7.32(d); 7.41(d); 7.82(brs).	oi1	oi1
æ	н	н	Н	н	н
+NH ₂ (CH ₂ CH ₂ OH)	*NH3 (CH2CH2 OCH2CH2OH)	Н	н	н	H
СН3	СН3	СН3	C ₂ H ₅	СН3	C2H5
CH2	CH ₂	CH ₂	CH ₂	CH2	$_{ m CH}_{ m 2}$
н	Н	н	Ħ	Н	Н
Н	н	н	н	Н	Н
0	0	0	0	0	0
Н	Н	н	н	Н	H
SO ₂ CH ₃	SO ₂ CH ₃	SCH3	SCH ₃	SO ₂ СН ₃	SO ₂ CH ₃
C1	c1	SCH ₃	SCH ₃	SO ₂ CH ₃	SO ₂ CH ₃
3.107	3.108	3.109	3.110	3.111	3.112
	C1 SO ₂ CH ₃ H O H H CH ₂ CH ₃ +NH ₂ (CH ₂ CH ₂ H OH)	C1 SO ₂ CH ₃ H O H H CH ₂ CH ₃ HM ₄ (CH ₂ CH ₂ CH ₂ H OH) H CH ₂ CH ₃ CH ₃ CH ₂ CH ₂ OH) H CH ₂ CH ₃ CH ₃ CH ₂ CH ₂ OH) H	C1 SO ₂ CH ₃ H O H CH ₂ CH ₃ H ₁ (CH ₂ CH ₂ CH ₂ H OH) C1 SO ₂ CH ₃ H O H H CH ₂ CH ₃ CH ₃ (CH ₂ CH ₂ OH) SCH ₃ SCH ₃ H O H H CH ₂ CH ₃ H H CH ₂ CH ₃ H H H CH ₃ CH ₃ H H H CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ CH ₃ CH ₃ H H H CH ₃ CH	C1 SO ₂ CH ₃ H O H H CH ₂ CH ₃ (H ₃ (CH ₂ CH ₂) H 187 C1 SO ₂ CH ₃ H O H H CH ₂ CH ₂ CH ₃ (H ₃ (CH ₂ CH ₂) H 180 SCH ₃ SCH ₃ H O H H CH ₂ CH ₃ CH ₃ H H 4.58(t); 3.70(s); 3.40(t); 3.70(s); 3.70	C1 SO ₂ CH ₃ H O H H CH ₂ CH ₃ H ⁺ MH ₂ (CH ₂ CH ₂ CH ₂ H CH ₃ H ⁺ MH ₃ (CH ₂ CH ₂ CH) H CH ₃ CH ₃ CH ₃ H ⁺ MH ₃ (CH ₂ CH) H CH ₃ CH ₃ CH ₃ H H H CH ₂ CH ₃ CH ₃ CH ₃ H H H CH ₂ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ H H H CH ₃ CH ₃ CH ₃ C

a) Prepared from 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride with two equivalents of potassium carbonate.

The syntheses of some starting materials are given below:

5 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

Step a) 2-Chloro-3-methyl-4-methylthioacetophenone

10 A solution of 157 g (2 mol) of acetyl chloride in 420 mol of 1,2-dichlorethane was added dropwise to a suspension of 286 g (2.14 mol) of aluminum trichloride in 420 ml of 1,2-dichloroethane at 15-20°C. A solution of 346 g (2 mol) of 2-chloro-6-methylthiotoluene in 1 1 of 15 1,2-dichlorethane was subsequently added dropwise. After the reaction mixture had been stirred for 12 hours, it was poured into a mixture of 3 1 of ice and 1 1 of concentrated HCl. The mixture was extracted with methylene chloride, and the organic phase was washed with 20 water, dried with sodium sulfate and concentrated. The residue was distilled in vacuo. This gave 256 g (60% of theory) of 2-chloro-3-methyl-4-methylthioacetophenone. $(m.p.: 46^{\circ}C)$

25 Step b) 2-Chloro-3-methyl-4-methylsulfonylacetophenone

163.0 g (0.76 mol) of 2-chloro-3-methyl-4methylthioacetophenone were dissolved in 1.5 l of glacial
acetic acid, 18.6 g of sodium tungstate were added, and
173.3 g of a 30% strength hydrogen peroxide solution were
added dropwise with cooling. Stirring was continued for 2
days and the mixture was subsequently diluted with water.
The solid which had precipitated was filtered off with
suction, washed with water and dried. This gave 164.0 g
(88% of theory) of 2-chloro-3-methyl-4-methylsulfonylacetophenone.
(m.p.: 110-111°C)

40 Step c) 2-Chloro-3-methyl-4-methylsulfonylbenzoic acid

82 g (0.33 mol) of 2-chloro-3-methyl-4-methyl-sulfonylacetophenone were dissolved in 700 ml of dioxane, and 1 l of a 12.5% strength sodium hypochlorite solution was added at room temperature. Stirring was continued for 1 hour at 80°C. After cooling, two phases formed, of which the bottom phase was diluted with water and

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acidifed weakly. The solid which had precipitated was filtered off with suction, washed with water and dried. This gave 60 g (73% of theory) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid. (m.p.: 230-231°C)

Step d) Methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate

100 g (0.4 mol) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid were dissolved in 1 l of methanol
and hydrogen chloride gas was passed in for 5 hours at
reflux temperature. The mixture was subsequently
concentrated. This gave 88.5 g (84% of theory) of methyl
2-chloro-3-methyl-4-methylsulfonylbenzoate.
(m.p.: 107-108°C)

Step e) Methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate

82 g (0.1 mol) of methyl 2-chloro-3-methyl-4-methyl-sulfonylbenzoate are dissolved in 2 l of tetrachloromethane, and 56 g (0.31 mol) of N-bromosuccinimide are added in portions with exposure to light. The reaction mixture was filtered, the filtrate was concentrated, and the residue was taken up in 200 ml of methyl tert-butyl ether. The solution was treated with petroleum ether and the solid which had precipitated was filtered off with suction and dried. This gave 74.5 g (70% of theory) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate.

(m.p.: 74-75°C)

Step f) Methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate

A solution of 41.0 g (0.12 mol) of methyl
3-bromomethyl-2-chloro-4-methylsulfonylbenzoate in 250 ml
of acetonitrile was treated with 42.1 g (0.36 mol) of
N-methylmorphline N-oxide. The batch was stirred for
12 hours at room temperature and subsequently
concentrated, and the residue was taken up in ethyl
acetate. The solution was extracted with water, dried
with sodium sulfate and concentrated. This gave 31.2 g
(94% of theory) of methyl 2-chloro-3-formyl-4methylsulfonylbenzoate
(m.p.: 98-105°C)

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- Step g) 2-Chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoic acid
- 15.00 g (54 mmol) of methyl 2-chloro-3-formyl-4-methyl-sulfonylbenzoate and 4,20 g (60 mmol) of hydroxylamine hydrochloride were taken up in 300 ml of methanol, and a solution of 3.18 g (30 mmol) of sodium carbonate in 80 ml of water was added dropwise. After the mixture had been stirred for 12 hours at room temperature, the methanol was distilled off, the residue was diluted with water and the mixture was extracted with diethyl ether. After the organic phase had been dried, the solvent was removed. This gave 14.40 g (91% of theory) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate. (m.p.: 126-128°C).
 - Step h) Methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.3)
- 20 Ethylene was passed for 30 minutes at 15-20°C into a solution of 158.0 g (0.54 mol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 1 1 of dichloromethane. After 1.6 g of sodium acetate had been added, 454 ml of sodium hypochlorite solution 25 were added dropwise at 10°C while simultaneously passing in ethylene. Ethylene was subsequently passed in at 10°C for a further 15 minutes. After the mixture had been stirred for 12 hours, the phases were separated, and the organic phase was washed with water, dried and 30 concentrated. This gave 156.5 g (90% of theory) of methyl 2-chloro-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzo ate. (1H NMR (δ in ppm): 3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d)). 35
 - Step i) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.4)
- A solution of 32.8 g of sodium hydroxide, dissolved in 330 ml of methanol, was slowly added dropwise to a mixture of 170.0 g (0.54 mol) of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and 1 l of methanol at 40-45°C. The suspension was stirred for 5 hours at 50°C. After the solvent had been distilled off, the residue was taken up in 1.5 l of water, and the aqueous phase was extracted three times with ethyl

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acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 148.8 g (91% of theory) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid. (1 H NMR ($^{\delta}$ in ppm): 3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br)).

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Step j) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

74.8 g (0.63 mol) of thionyl chloride in 50 ml of dry toluene were added dropwise at 50°C to a solution of 139.0 g of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid, 1 ml of dimethylformamide and 1 l of dry toluene. After the mixture had been heated for 6 hours at 110°C, the solvent was distilled off. This gave 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl chloride in quantitative yield. (¹H NMR (δ in ppm): 3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd)).

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2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl chloride (compound 4.39)

Step a) Methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-30 3-yl)-4-methylsulfonylbenzoate (compound 4.25)

Propene was passed for 30 minutes at room temperature into a solution of 15.0 g (52 mmol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 200 ml of dichloromethane. After 1.6 g of sodium acetate had been added, 42.8 ml of sodium hypochlorite solution were added dropwise at room temperature while simultaneously passing in propene. Propene was subsequently passed in for a further 15 minutes at room temperature. After the mixture had been refluxed for 3 hours, it was stirred for 12 hours at room temperature, propene was again passed in for 5 hours under reflux, and the mixture was stirred for a further 12 hours at room temperature. After the phases had been separated, the organic phase was washed with water, dried and concentrated. This gave 15.5 g (89% of theory) of methyl 2-chloro-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-

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sulfonylbenzoate.
(m.p.: 130-135°C).

Step b) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-5 sulfonylbenzoic acid (compound 4.26)

A solution of 3.52 g (88 mmol) of sodium hydroxide, dissolved in 100 ml of methanol, was slowly added dropwise to a mixture of 15.00 g (45 mmol) of methyl 10 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and 200 ml of methanol. The suspension was stirred for 48 hours at room temperature. After the solvent had been distilled off, the residue was taken up in water, and the aqueous phase was washed three times 15 with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 13.20 g (92% of theory) of 2-chloro-3-(5-20 methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid. (m.p.: 173-178°C).

- 25 Step c) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl chloride (compound 4.39)
- 5.7 g (51 mmol) of thionyl chloride were added dropwise at room temperture to a solution of 13.0 g (41 mmol) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid, 1 ml of dimethylformamide and 250 ml of dry toluene. The mixture was subsequently refluxed until the reaction was complete. After cooling, the solvent was distilled off. This gave 14.2 g of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-benzoyl chloride in quantitative yield.
- 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methyl-sulfonylbenzoyl chloride
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 - Step a) Methyl 2-chloro-3-hydroxycarbonyl-4-methyl-sulfonylbenzoate
- 13.8 g (0.11 mol) of sodium hydrogen phosphate monohydrate in 170 ml of water, 49.3 g (0.43 mol) of 30% strength hydrogen peroxide solution and 66.2 g (0.59 mol)

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of 80% strength aqueous sodium chlorite solution were added in succession at 5°C to a solution of 115.3 g (0.42 mol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate in 2000 ml of acetonitrile. The reaction solution was subsequently stirred for 1 hour at 5°C and for 12 hours at room temperature. The pH was then brought to 1 with 10% strength hydrochloric acid, and 1500 ml of aqueous 40% strength sodium hydrogen sulfite solution were added. After the mixture had been stirred for 1 hour at room temperature, the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with sodium hydrogen sulfite solution and dried. After the solvent had been distilled off, 102.0 g of methyl 2-chloro-3-hydroxycarbonyl-4methylsulfonylbenzoate were obtained. (1H NMR (δ in ppm): 3.34 (s); 3.93 (s); 8.08 (s); 14.50 (s, br.).)

Step b) Methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate 20

2 drops of dimethylformamide and 11.9 g (0.1 mol) of thionyl chloride were added to a solution of 6.0 g (0.021 mol) of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate and 50 ml of dry toluene. The solution was refluxed for 4 hours. After the solvent had been removed in vacuo, 6.2 g of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate were obtained. (1H NMR (δ in ppm): 3.21 (s); 4.02 (s); 8.02 (d); 8.07 (d).)

Step c) Methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

A solution of 7.80 g (25 mmol) of methyl
2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate was
added dropwise at 0-5°C to a solution of 4.54 g (50 mmol)
of 2,2-dimethylethanolamine in 40 ml of dichloromethane.
After the reaction solution had been stirred for 6 hours
at room temperature, it was extracted three times with
water, dried and concentrated. This gave 8.20 g (80% of
theory) of methyl 2-chloro-3-(l'-hydroxy-2',2'dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.
(m.p.: 70-72°C).

Step d) Methyl 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

A mixture of 6.9 g (20 mmol) of methyl

2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate and 5 ml of thionyl
chloride was stirred for 6 hours at room temperature. The
solution was diluted with 50 ml of dichloromethane and
subsequently concentrated. The residue was dissolved in

20 ml of dichloromethane. The addition of cyclohexane
resulted in a crystalline precipitate which was filtered
off with suction and dried. This gave 6.4 g (88% of
theory) of methyl 2-chloro-3-(l'-chloro-2',2'dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.

15

Step e) 2-Chloro-3-(4', 4'-dimethyl-4', 5'-dihydroxazol-2-yl)-4-methylsulfonylbenzoic acid (compound 4.38)

A solution of 5.82 g (15 mmol) of methyl 20 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl) - 4-methylsulfonylbenzoate and 0.81 g (20 mmol) of sodium hydroxide in 80 ml of methanol was stirred for 8 hours at room temperture. After the solvent had been distilled off, the residue was taken up in water 25 and the mixture was washed three times with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. After the organic phase had been dried, the solvent was removed in vacuo. This gave 3.10 g (56% of 30 theory) of 2-chloro-3-(4',4'-dimethyl-4',5'dihydrooxazol-2-yl)-4-methylsulfonylbenzoic acid. (1H NMR (δ in ppm): 1.34 (s); 3.40 (s); 4.13 (s); 8.07 (s); 13.95 (s, br)).

35

Step f) 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride.

A solution of 3.00 g (9 mmol) of 2-chloro-3-(4',4'-dimethyl-4',5'-dihydrooxazol-2-yl)-4-methylsulfonyl-benzoic acid, 1.43 g of thionyl chloride and 1 drop of dimethylformamide in 80 ml of dry toluene was refluxed for 3 hours. After cooling, the solvent was distilled off in vacuo. This gave 3.43 g (86% of theory) of 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride.

30

Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate (compound 4.22)

Step a) Methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate

Ammonia was passed for 2 hours into a solution of 15.0 g (48 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate and 300 ml of dry dioxane. The precipitate formed was filtered off with suction and the filtrate was concentrated. This gave 15.2 g of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in quantitative yield.

15 Step b) Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate

9.80 g (75 mmol) of chlorocarbonylsulfenyl chloride were added dropwise to a solution of 4.37 g (15 mmol) of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in 150 ml of dry toluene. After the mixture had been stirred for 48 hours under reflux, the solvent was removed in vacuo and the residue was chromatographed on silica gel (eluent: ethyl acetate/cyclohexane = 1/1).

This gave 3.70 g (70% of theory) of methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate.

Methyl 2-chloro-4-methylsulfonyl-3-(4,5-dihydrooxazol-3-yl)-benzoate (compound 4.41)

At room temperature, 41.8 g (0.41 mol) of triethylamine and then 31.1 g (0.10 mol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate in 150 ml of toluene were added dropwise to 26.6 g (0.13 mol) of 1-amino-2-bromoethane hydrobromide in

- 35 500 ml of toluene. The mixture was heated under reflux for 5 hours and then stirred at room temperature for 12 hours, another 5.0 g (0.02 mol) of 1-amino-2-bromoethane hydrobromide were added and the mixture was heated under reflux for 7.5 hours. The reaction mixture was allowed to cool, diluted with ethyl
- 40 acetate, washed with water, dried and concentrated. The residue was then recrystallized from methyl tert-butyl ether/ethyl acetate. 14.5 g (46% of theory) of methyl 2-chloro-4-methyl-sulfonyl-3-(4,5-dihydrooxazol-2-yl)benzoate were obtained.
- 45 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.60)

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Step a) Methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydro-isoxazol-3-yl)-4-methylsulfonylbenzoate

7.3 g (102 mmol) of 2-methoxy-1-propene, 28 ml of sodium 5 hypochlorite solution (12.5% strength) and a spatula-tip of sodium acetate were added successively to 10.0 g (34 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)-4-methylsulfonylbenzoate in 200 ml of methylene chloride. The mixture was stirred at room temperature for 12 hours, 10 the solvent was removed and the residue was taken up in ethyl acetate, washed with water, dried and concentrated. The residue was chromatographed over silica gel (eluent: cyclohexane:ethyl acetate = 3:2). This gave 5.8 g (47% of theory) of methyl 2-chloro-3-(5-methoxy-5-methyl-15 4,5 dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. (mp.: 100-105°C)

Step b) 2-Chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate

20 At reflux temperature, 5.5 g (15.0 mmol) of methyl 2-chloro-3-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate in 100 ml of pyridine were added dropwise to 5.0 g (37.5 mmol) of lithium iodide in 200 ml 25 of pyridine. The mixture was stirred at this temperature for 4 hours and then cooled, the solvent was distilled off and the residue was taken up in toluene and reconcentrated. The residue was subsequently admixed with water and washed with methylene chloride, and the pH was 30 adjusted to 1 using hydrochloric acid. The aqueous phase was extracted with methylene chloride and the resulting organic phase was dried and concentrated. This gave 4.7 g (90% of theory) of 2-chloro-(5-methoxy-5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate. 35 (mp.: 40-45°C)

Methyl 2-chloro-3-(2-methyl-2H-1,3,4-dioxazol-5-yl)-4-methyl-sulfonylbenzoate (compound 4.44)

40 8.0 g (27.4 mmol) of methyl 2-chloro-3-(hydroxyiminomethyl)4-methylsulfonylbenzoate in 150 ml of methylene chloride were
admixed dropwise with 16.0 g (27.4 mmol) of a 12.5% strength
sodium hypochlorite solution, and a spatula-tip of sodium acetate
was added. After 1 hour, 34.4 g (0.74 mol) of acetaldehyde were
45 added a little at a time within a period of 36 hours, and the
mixture was slowly heated to 55°C. The mixture was subsequently
stirred at room temperature for 48 hours, washed with water,

dried and concentrated. The residue was then taken up in methylene chloride, 10.0 g (0.23 mol) of acetaldehyde and a spatula-tip of sodium acetate were added and the mixture was heated under reflux for 8 hours. After 72 hours, a further 10.0 g (0.23 mol) of acetaldehyde were added and the mixture was stirred at room temperature. The mixture was subsequently washed with water, dried and concentrated. The residue was passed through silica gel (eluent: isopropanol:cyclohexane = 1:9). This gave 5.0 g (55% of theory) of methyl 2-chloro-3-(2-methyl-10 2H-1,3,4-dioxazol-5-yl)-4-methylsulfonylbenzoate.

Table 4 which follows lists the compounds which have been described above and also further benzoic acid derivatives of the formula III which were prepared, or can be prepared, by a similar method.

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	Physical data m.p. [°C]; 1H NMR [& in pom]	3.29 (t); 3.91 (s); 4.58 (t); 7.46 (d); 7.83 (d).	(t); 4.60 (d); 7.98	3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d)	3.45 (t); 4.63 8.53 (s, br).	3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd).	1.31 (s); 4.16 (s); 7.69 (d); 7.90 (d): 13.8 (s. br)
R4 /	R19	оснз	НО	ОСН3	НО	C1	НО
N N N N N N N N N N N N N N N N N N N	¥	CH ₂	CH ₂	CH2	CH2	CH2	0
H H H H H H H H H H H H H H H H H H H	R5	H	Н	н	н	н	н
R19	R4	Ħ	н	Н	H	Н	н
	×	0	0	0	0	0	C (CH ₃) ₂
	В3	Н	Н	Н	Н	Н	Ħ
	R ²	CJ	C.1	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	C1
	R1	C1	C1	C1	CJ	IJ	IJ
	No.	4.1	4.2	4.3	4.4	4.5	4.6

Table 4:

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Physical data m.p. [°C]; 1H NMR [ô in ppm]	1.25 (t); 1.57 (s); 3.21 (s); 3.42 (q); 3.99 (s); 7.94 (d); 8.07 (d).	1.13 (t); 1.47 (s); 3.15 (s); 3.43 (q); 8.06 (s); 13.8 (s, br).	1.28 (t); 3.41 (m); 4.02 (s); 4.62 (t); 7.95 (d); 8.06 (d).	137-140	1.26 (t); 1.53 (d); 3.06 (dd); 3.42 (q); 3.49 (dd); 5.05 (m); 7.95 (d); 8.07 (d).	140-143	3.30 (s); 3.98 (s); 4.11 (t); 4.55 (t); 7.97 (d); 8.08 (d).	3.38 (s); 4.00 (t); 4.46 (t); 8.08 (s).	3.30 (s); 3.35 (t); 4.15 (s, br); 4.50 (t); 8.05 (s).	0.95 (t); 1.47 (s); 1.58 (quin); 3.12 (s); 3.31 (s); 3.43 (t); 3.93 (s); 8.09 (dd).	0.93 (t); 1.47 (s); 1.58 (quin); 3.15 (s); 3.42 (t); 8.05 (s).
R19	оснз	НО	оснз	но	0СН3	но	осн3	НО	НО	осн3	но
Ā	CH ₂	CH ₂	СН2	CH2	СН2	CH ₂	0	0	СН2	CH ₂	CH2
R5	CH ₃	СН3	н	Н	н	Н	н	Н	Н	СН3	СН3
\mathbb{R}^4	СН3	CH ₃	Н	Н	СН3	СНЗ	Н	Н	н	СН3	СН3
×	0	0	0	0	0	0	CH ₂	CH ₂	0	0	0
R3	H	Н	Н	Н	Н	Н	Н	Н	Н	H	Н
R ²	SO ₂ C ₂ H ₅	SO ₂ C ₂ H ₅	SO ₂ C ₂ H ₅	SO ₂ C ₂ H ₅	SO ₂ C ₂ H ₅	$SO_2C_2H_5$	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ - n - C ₃ H ₇	SO ₂ ·n·C ₃ H ₇
.R1	C1	c1	C1	CJ	C1	c1	c1	c 1	C1	C1	CJ
No.	4.7	4.8	4.9	4.10	4.11	4.12	4.13	4.14	4.15	4.16	4.17

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Physical data m.p. [°C]; ¹ H NMR [δ in ppm]	0.92 (t); 1.55 (quin); 3.39 (m); 3.93 (s); 4.50 (t); 8.08 (dd).	148-150	0.93 (t); 1.49 (d); 1.58 (quin); 2.94 (dd); 3.42 (m); 3.93 (s); 4.97 (m); 8.10 (dd).	0.94 (t); 1.39 (d); 1.58 (quin); 2.96 (dd); 3.50 (m); 4.95 (m); 8.05 (s).	3.24 (s); 4.02 (s); 8.14 (dd).	118-121		130-135	173-178	1.57 (s); 3.18 (s); 3.27 (s); 4.01 (s); 7.97 (d); 8.12 (d).	1.48 (s); 3.15 (s); 3.34 (s); 8.08 (dd).	0.97 (t); 1.72 (m); 3.10 (dd); 3.32 (s); 3.37 (dd); 4.72 (m); 8.08 (dd).
R19	осн3	НО	осн	НО	OCH ₃	ОСН3	но	ОСН3	но	оснз	но	осн3
X	CH ₂	CH2	CH ₂	CH ₂	0	CH ₂	CH ₂	CH ₂	CH2	CH ₂	CH ₂	CH ₂
R5	н	н	н	Ħ		H	Ħ	Ħ	н	СН3	CH3	Ħ
R4	Н	н	СН3	CH ₃	0	COOC2H5	COOC2H5	CH3	CH ₃	СН3	СН3	C ₂ H ₅
×	0	0	0	0	S	0	0	0	0	0	0	0
R3	Н	Ħ	н	Ħ	н	н	н	Н	Ħ	Н	Н	н
R ²	SO ₂ -n-C ₃ H ₇	SO2-n-C3H7	SO2-n-C3H7	SO2 - n - C3H7	SO ₂ CH ₃	SO ₂ CH ₃	s0 ₂ Сн ₃					
R1	CJ	Cl	CI	CJ	CJ	CJ	C1	CJ	C	CJ	CI	C1
No.	4.18	4.19	4.20	4.21	4.22	4.23	4.24	4.25	4.26	4.27	4.28	4.29

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Physical data m n [oc].	TH NMR [8 in ppm]	1.57 (m); 1.81 (m); 2.21 (m); 3.20 (s); 4.02 (s); 4.32 (t); 5.35 (dd); 7.92 (d); 8.18 (d).	1.72 (m); 2.01 (m); 3.27 (s); 4.24 (t); 5.23 (dd); 8.05 (d); 8.15 (d); 13.8 (s, br).	2.00 (m); 3.23 (s); 3.27 (s), 3.72 (m); 4.00 (s); 7.96 (d); 8.04 (d).	78-83	1.78 (m); 2.24 (m); 3.27 (s); 3.36 (s); 3.98 (s); 7.94 (d); 8.12 (d).	1.76 (m); 2.05 (m); 3.30 (s); 3.33 (s); 8.09 (dd).	1.00 (t); 1.85 (m); 3.13 (s); 3.27 (s); 3.98 (s); 7.94 (d); 8.11 (d).	0.91 (t); 1.76 (m); 3.12 (s); 3.33 (s); 8.07 (dd); 13.75 (s, br).	1.34 (s); 3.40 (s); 4.13 (s); 8.07 (s); 13.95 (s, br).	
R19		осн3	НО	оснз	НО	осн3	НО	оснз	НО	НО	C1
А		- (СН ₂) ₃ - СН -	- (СН ₂) ₃ - СН -	СН2	CH ₂	CH ₂	СН2	СН2	СН2	0	CH_2
R5		- (CH ₂	- (CH ₂	(СН2)2-	(CH ₂) ₂ -	- 4	4 -	C2H5	С2Н5	н	н
R4		H	н	- (CH ₂) ₂ -0- (CH ₂) ₂ -	- (CH ₂) ₂ -0- (CH ₂) ₂	- (CH ₂) 4 -	- (CH ₂) ₄ -	C ₂ H ₅	C ₂ H ₅	н	CH ₃
X		0	0	0	0	0	0	0	0	C (CH ₃) ₂	0
R ³		н	н	н	Н	н	Н	н	н	н	н
R2		S0 ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO_2CH_3	$\mathrm{SO_2CH_3}$	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	$\mathrm{SO_2CH_3}$	SO ₂ CH ₃
R1		CJ	CJ	CJ	C1	C1	C1	C1	C1	C1	CJ
No.		4.30	4.31	4.32	4.33	4.34	4.35	4.36	4.37	4.38	4.39

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Physical Data m.p. [°C]; 1H.NMR [Å in ppm]	> 260	3.29 (3H); 3.96 (3H); 4.12 (2H); 4.55 (2H); 7.98 (1H); 8.09 (1H).	202-203	1.05 (3H); 1.35 (3H); 3.19 (3H); 4.01 (3H); 4.09 (2H); 4.35 (2H); 5.06 (1H); 5.77 (1H); 8.08 (1H); 8.17 (1H).	1.78 (3H); 3.30 (3H); 3.98 (3H); 6.40 (1H); 8.08 (1H); 8.15 (1H).	80-85	1.65 (3H); 3.27 (3H); 3.50 (2H); 4.00 (3H); 4.22 (1H); 4.88/5.08 (1H); 7.99 (1H); 8.12 (1H).	100-105	180-185	1.30 (3H); 2.75 (2H); 3.25 (1H); 3.34 (3H); 3.78 (1H); 3.94 (3H); 6.22 (1H); 8.15 (2H).	65-67	1.01 (3H); 1.28 (3H); 3.33 (4H); 3.96 (3H); 4.98 (1H); 8.12 (1H); 8.20 (1H).
R19	НО	0СН3	OCH3	оснз	оснз	0СН3	оснз	НО	но	0СН3	НО	OCH ₃
Y	0	0	CH ₂	СНСО2СН3	0	СНСН3	сисн2с1	СИСН2С1	СНСН3	CH2	CH ₂	СИСИЗ
R5	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н	Н
R4	Н	Н	н	СООМе	СН3	СНО	СН3	СН3	СНО	SC2H5	SC ₂ H ₅	СН3
×	СН2	СН2	0	0	0	0	0	0	0	0	0	0
В3	H	Ħ	Н	н .	н	H	Н	Н	Н	Н	Н	Ħ
.R2	SO ₂ CH ₃	SO ₂ CH ₃	SCH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ СН3	SO ₂ СН ₃	SO ₂ CH ₃	s0 ₂ сн ₃	SO ₂ CH ₃
R1	C1	CJ	ย	C1	C1	C1	C1	C1	Cl	CJ	C1	C1
No.	4.40	4.41	4.42	4.43	4.44	4.45	4.46	4.47	4.48	4.49	4.50	4.51

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Physical Data m.p. [°C]; 1H-NMR [ô in ppm]	68-75	105-110		45-50	60-65	1.63 (3H); 3.23 (3H); 3.50 (2H); 3.99 (3H); 4.25 (1H); 4.83/5.03 (1H); 7.96 (1H); 8.13 (1H).	1.56 (3H); 3.33 (3H); 3.43 (2H); 4.36 (1H); 4.93 (1H); 8.10 (2H).	100-105	40-45	60-65		2.36 (3H); 3.25 (3H); 3.66 (2H); 4.01 (3H); 5.20 (1H); 8.01 (1H); 8.12 (1H).	156	170			142-143
R19	НО	осн3	Ю	НО	НО	оснз	но	осн3	НО	ОСН3	НО	0СН3	OCH ₃	НО	осн3	НО	осн3
¥	СНСН3	CH ₂	CH2	CH ₂	CH2	CH2	CH ₂	CH2	CH ₂	CH ₂	CH2	CH ₂	CH ₂	CH ₂	CH ₂	CH ₂	CH2
R5	Ħ	н	H	н	Н	Н	н	оснз	оснз	ососн3	Н	H	Н	H.	ĹŦŧ	ĹŦŧ	Н
R ⁴	CH ₃	ососн	H	ососн	оснз	СНС1 (СН3)	CHC1 (CH3)	CH3	СН3	CF_3	Н	СОСН3	CF_3	CF3	Œ	F	Ct.
X	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0	0
R³	Н	Н	Н	Н	Н	н	н	Ή	Н	Н	Ξ	н	Η	н	H	Н	Н
R ²	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SCH ₃	. SO ₂ Ме	SO ₂ CH ₃									
R1	C1	CJ	C1	Cl	CJ	CJ	CJ	C1	CJ	C1	CJ	CJ	C1	CJ	CJ	CJ	CJ
No.	4.52	4.53	4.54	4.55	4.56	4.57	4.58	4.59	4.60	4.61	4.62	4.63	4.64	4.65	99.4	4.67	4.68

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11.75% 12.75% 14.00

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Physical Data m.p. [°C]; ¹ H-NMR [δ in pom]		107-110	60-65	105-110	155-160		112-120	3.38 (s); 3.56 (d); 3.79 (d); 8.16 (s); 8.67 (s, br).	130-135	1.25 (s); 3.05 (dd); 3.34 (s); 3.45 (dd); 6.17 (m); 8.08 (s).	1.01 (d); 1.28 (d); 3.35 (m); 3.96 (s); 4.99 (m); 8.12 (d); 8.20 (d).	68-75	1.30 (t); 2.77 (q); 3.25 (dd); 3.34 (s); 3.78 (dd); 3.94 (s); 6.22 (m), 8.24 (s).	65-67	1.28 (t); 2.30 (s); 2.46 (s); 3.28 (t); 4.31 (q); 4.45 (t); 7.42 (d); 7.68 (d).
R19	HO	ОСН3	НО	ОСН3	0СН3	ОСН3	OCH ₃	НО	OCH ₃	но	ОСН3	НО	ОСН3	НО	ОСН2СН3
>	CH2	CH2	CH ₂	CH2	CH ₂	S	S	CH2	CH ₂	CH2	СНСН3	СНСН3	CH ₂	CH ₂	CH ₂
R5	н	н	н	н	#:	H	Н	но	Н	Н	Н	Н	н	н	Н
.R4	Ē.	CH ₂ C1	CH ₂ C1	осн3	OC ₂ H ₅	Ħ	н	CF3	0-t-C4H9	0-t-C4H9	СН3	СН3	SC ₂ H ₅	SC ₂ H ₅	ж
X	0	0	0	0	0	CH ₂	0=0	0	0	0	0	0	0	0	0
В3	H	Н	Н	Н	Н	Н	Н	Н	H	Н	н	н	н	Ξ	Œ
R2	SO ₂ CH ₃	Н	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SO ₂ CH ₃	SCH ₃					
R1	CI	. C1	CJ	Cl	Cl	C1	CH3	CI	CJ	13	C1	C1	C1	. C1	SCH ₃
No.	4.69	4.70	4.71	4.72	4.73	4.74	4.75	4.76	4.77	4.78	4.79	4.80	4.81	4.82	4.83

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Physical Data m.p. [°C]; ¹ H-NMR [ô in ppm]	2.32 (s); 2.48 (s); 3.28 (t); 4.42 (t); 7.48 (d); 7.64 (d); 13.2 (s).	3.25 (s); 3.35 (s); 3.44 (t); 8.05 (d); 8.45 (d).
R19	НО	НО
×	CH2	CH2
R5	Н	н
R4	Н	Н
×	0	0
К3	н	Н
R2	SCH ₃	SO ₂ CH ₃
R1	4.84 SCH ₃	4.85 SO ₂ CH ₃
No.	4.84	4.85

The 3-heterocyclyl substituted benzoyl derivatives of the formula I and their agriculturally useful salts are suitable as herbicides, both in the form of isomer mixtures and in the form of the pure isomers. The herbicidal compositions comprising compounds of the formula I effect very good control of vegetation on non-crop areas, especially at high rates of application. In crops such as wheat, rice, maize, soybeans and cotton they act against broad-leaved weeds and grass weeds without damaging the crop plants substantially. This effect is observed especially at low rates of application.

Depending on the application method in question, the compounds of the formula I, or herbicidal compositions comprising them, can additionally be employed in a further number of crop plants for eliminating undesirable plants. Examples of suitable crops are the following:

- 20 Allium cepa, Ananas comosus, Arachis hypogaea, Asparagus officinalis, Beta vulgaris spec. altissima, Beta vulgaris spec. rapa, Brassica napus var. napus, Brassica napus var. napobrassica, Brassica rapa var. silvestris, Camellia sinensis, Carthamus tinctorius, Carya illinoinensis, Citrus limon, Citrus 25 sinensis, Coffea arabica (Coffea canephora, Coffea liberica), Cucumis sativus, Cynodon dactylon, Daucus carota, Elaeis guineensis, Fragaria vesca, Glycine max, Gossypium hirsutum, (Gossypium arboreum, Gossypium herbaceum, Gossypium vitifolium), Helianthus annuus, Hevea brasiliensis, Hordeum vulgare, Humulus 30 lupulus, Ipomoea batatas, Juglans regia, Lens culinaris, Linum usitatissimum, Lycopersicon lycopersicum, Malus spec., Manihot esculenta, Medicago sativa, Musa spec., Nicotiana tabacum (N.rustica), Olea europaea, Oryza sativa , Phaseolus lunatus, Phaseolus vulgaris, Picea abies, Pinus spec., Pisum sativum, 35 Prunus avium, Prunus persica, Pyrus communis, Ribes sylvestre, Ricinus communis, Saccharum officinarum, Secale cereale, Solanum tuberosum, Sorghum bicolor (s. vulgare), Theobroma cacao, Trifolium pratense, Triticum aestivum, Triticum durum, Vicia faba, Vitis vinifera and Zea mays.
 - Moreover, the compounds of the formula I can also be used in crops which tolerate the action of herbicides due to breeding including genetic engineering methods.
- The compounds of the formula I, or the herbicidal compositions comprising them, can be employed, for example, in the form of directly sprayable aqueous solutions, powders, suspensions, also

highly-concentrated aqueous, oily or other suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, materials for spreading or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend on the intended purposes; in any case, they should guarantee the finest possible distribution of the active ingredients according to the invention.

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- The herbicidal compositions comprise a herbicidally active amount of at least one compound of the formula I or of an agriculturally useful salt of I and auxiliaries conventionally used for the formulation of crop protection products.
- Suitable inert auxiliaries are essentially:

 mineral oil fractions of medium to high boiling point such as kerosene and diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, eg. paraffins, tetrahydronaphthalene, alkylated naphthalenes and their derivatives, alkylated benzenes and their derivatives, alcohols such as methanol, ethanol, propanol, butanol and cyclohexanol, ketones such as cyclohexanone, strongly polar solvents, eg. amines such as N-methylpyrrolidone and water.
- Aqueous use forms can be prepared from emulsion concentrates, suspensions, pastes, wettable powders or water-dispersible granules by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of wetting agent, tackifier, dispersant or emulsifier. However, it is also possible to prepare concentrates composed of active substance, wetting agent, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and these concentrates are suitable for dilution with water.

Suitable surfactants (adjuvants) are the alkali metal, alkaline earth metal and ammonium salts of aromatic sulfonic acids, eg. ligno-, phenol-, naphthalene- and dibutylnaphthalenesulfonic acid, and of fatty acids, of alkyl- and alkylaryl sulfonates, of alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, and salts of sulfated hexa-, hepta- and octadecanols, and of fatty alcohol glycol ether, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene, or of the naphthalenesulfonic acids, with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctyl-, octyl- or nonylphenol, alkylphenyl, tribūtylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl

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alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers or polyoxypropylene alkyl ethers, lauryl alcohol polyglycol ether acetate, sorbitol esters, lignin-sulfite waste liquors or methylcellulose.

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, eg. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Solid carriers are mineral earths such as silicas, silica gels, silicates, talc, kaolin, limestone, lime, chalk, bolus, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic material, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas and products of vegetable origin such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders or other solid carriers.

The concentrations of the compounds of the formula I in the ready-to-use products can be varied within wide ranges. In general, the formulations comprise approximately from 0.001 to 98% by weight, preferably 0.01 to 95% by weight, of at least one active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

- 30 The formulation examples below illustrate the preparation of such products:
- 20 parts by weight of the compound No. 3.2 are dissolved in a mixture composed of 80 parts by weight of alkylated benzene, 10 parts by weight of the adduct of 8 to 10 mol of ethylene oxide and 1 mol of oleic acid N-monoethanolamide, 5 parts by weight of calcium dodecylbenzenesulfonate and 5 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.
- 20 parts by weight of the compound No. 3.9 are dissolved in a mixture composed of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 mol of ethylene oxide and 1 mol of

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isooctylphenol and 10 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.

- III. 20 parts by weight of the active ingredient No. 3.10 are dissolved in a mixture composed of 25 parts by weight of cyclohexanone, 65 parts by weight of a mineral oil fraction of boiling point 210 to 280°C and 10 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.
- IV. 20 parts by weight of the active ingredient No. 3.16 are mixed thoroughly with 3 parts by weight of sodium diisobutylnaphthalenesulfonate, 17 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 60 parts by weight of pulverulent silica gel and the mixture is ground in a hammer mill. Finely distributing the mixture in 20,000 parts by weight of water gives a spray mixture which comprises 0.1% by weight of the active ingredient.
- V. 3 parts by weight of the active ingredient No. 3.21 are mixed with 97 parts by weight of finely divided kaolin.
 This gives a dust which comprises 3% by weight of the active ingredient.
- VI. 20 parts by weight of the active ingredient No. 3.22 are mixed intimately with 2 parts by weight of calcium

 dodecylbenzenesulfonate, 8 parts by weight of fatty alcohol polyglycol ether, 2 parts by weight of the sodium salt of a phenol/urea/formaldehyde condensate and 68 parts by weight of a paraffinic mineral oil. This gives a stable oily dispersion.

VII. 1 part by weight of the active ingredient No. 3.34 is dissolved in a mixture composed of 70 parts by weight of cyclohexanone, 20 parts by weight of ethoxylated isooctylphenol and 10 parts by weight of ethoxylated castor oil. This gives a stable emulsion concentrate.

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VIII. 1 part by weight of active ingredient No. 3.35 is dissolved in a mixture composed of 80 parts by weight of cyclohexanone and 20 parts by weight of Wettol[®] EM 31 (= nonionic emulsifier based on ethoxylated castor oil). This gives a stable emulsion concentrate.

The compounds of the formula I, or the herbicidal compositions comprising them, can be applied pre- or post-emergence. If the active ingredients are less well tolerated by certain crop plants, application techniques may be used in which the herbicidal compositions are sprayed, with the aid of the spray apparatus, in such a way that they come into as little contact as possible, if any, with the leaves of the sensitive crop plants while reaching the leaves of undesirable plants which grow underneath, or the bare soil (post-directed, lay-by).

Depending on the intended aim of the control measures, the season, the target plants and the growth stage, the application rates of the compound of the formula I are from 0.001 to 3.0, preferably 0.01 to 1.0 kg/ha of active substanz (a.s.).

To widen the spectrum of action and to achieve synergistic effects, the 3-heterocyclyl-substituted benzoyl derivatives of 25 the formula I can be mixed and applied jointly with a large number of representatives of other groups of herbicidally or growth-regulatory active ingredients. Suitable components in mixtures are, for example, 1,2,4-thiadiazoles, 1,3,4-thiadiazoles, amides, aminophosphoric acid and its 30 derivativés, aminotriazoles, anilides, aryloxy-/hetaryloxyalkanic acids and their derivatives, benzoic acid and its derivatives, benzothiadiazinones, 2-(hetaroyl/aroyl)-1,3-cyclohexandiones, hetaryl aryl ketones, benzylisoxazolidinones, meta-CF3-phenyl derivatives, carbamates, quinolinecarboxylic acid and its 35 derivatives, chloroacetanilides, cyclohexenone oxime ether derivatives, diazines, dichloropropionic acid and its derivatives, dihydrobenzofuranes, dihydrofuran-3-ones, dinitroanilines, dinitrophenols, diphenyl ethers, dipyridyls, halocarboxylic acids and their derivatives, ureas, 40 3-phenyluracils, imidazoles, imidazolinones, N-phenyl-3,4,5,6-tetrahydrophthalimides, oxadiazoles, oxiranes, phenols, aryloxy- and hetaryloxyphenoxypropionic esters, phenylacetic acid and its derivatives, 2-phenylpropionic acid and its derivatives, pyrazoles, phenylpyrazoles, pyridazines, 45 pyridinecarboxylic acid and its derivatives, pyrimidyl ethers,

sulfonamides, sulfonylureas, triazines, triazinones, triazolinones, triazolcarboxamides and uracils.

Moreover, it may be advantageous to apply the compounds of the formula I, alone or in combination with other herbicides, in the form of a mixture with additional other crop protection agents, for example with pesticides or agents for controlling phytopathogenic fungi or bacteria. Also of interest is the miscibility with mineral salt solutions which are employed for treating nutritional and trace element deficiencies.

Non-phytotoxic oils and oil concentrates can also be added.

Use Examples

- The herbicidal action of 3-heterocyclyl-substituted benzoyl derivatives of the formula I was demonstrated by the following greenhouse experiments:
- 20 The culture containers used were plastic flowerpots containing loamy sand with approximately 3.0% of humus as substrate. The seeds of the test plants were sown separately for each species.
- For the pre-emergence treatment, the active ingredients,
 suspended or emulsified in water, were applied directly after
 sowing by means of finely distributing nozzles. The containers
 were irrigated gently to promote germination and growth and
 subsequently covered with transparent plastic hoods until the
 plants had rooted. This cover caused uniform germination of the
 test plants unless this was adversely affected by the active
 ingredients.
- For the post-emergence treatment, the test plants were grown to a plant height of from 3 to 15 cm, depending on the plant habit, and only then treated with the active ingredients which had been suspended or emulsified in water. To this end, the test plants were either sown directly and grown in the same containers, or they were first grown separately as seedlings and transplanted into the test containers a few days prior to treatment. The rate of application for the post-emergence treatment was 31.2 or 15.6 g/ha a.s. (active substance).

Depending on the species, the plants were kept at from 10 to 25° C and 20 to 35° C, respectively. The test period extended over 2 to 4 weeks. During this time, the plants were tended, and their response to the individual treatments was evaluated.

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Evaluation was carried out using a scale of from 0 to 100. 100 means no emergence of the plants, or complete destruction of at least the aerial parts, and 0 means no damage or normal course of growth.

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The plants used in the greenhouse experiments belonged to the following species:

15	Scientific name	Common name
	Chenopodium album	lambsquarters (goosefoot)
	Setaria faberii	giant foxtail
·	Sinapsis alba	white mustard
20	Solanum nigrum	black nightshade
-	Triticum aestivum	wheat
	Zea mays	Indian corn

25 Compound 3.33 (Table 3) was very effective against the abovementioned mono- and dicotyledonous harmful plants and was well tolerated in winter wheat and maize when applied post-emergence at rates of application of 31.2 and 15.6 g/ha, respectively.

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We claim

1. A 3-heterocyclyl-substituted benzoyl derivative of the formula I

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$$\begin{array}{c|c}
 & R^1 & N & X \\
 & R^5 & R^5 \\
 & R^3 & R^2
\end{array}$$

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where the variables have the following meanings:

R1, R2 are hydrogen, nitro, halogen, cyano, C1-C6-alkyl, 20 C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy, C_1-C_6 -haloalkoxy, $C_1 \cdot C_6 \cdot alkylthio$, $C_1 \cdot C_6 \cdot haloalkylthio$, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

25 \mathbb{R}^3 is hydrogen, halogen or C1-C6-alkyl;

 R^4 , R^5 are hydrogen, halogen, cyano, nitro, C1-C4-alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, $di(C_1 - C_4 - alkoxy) - C_1 - C_4 - alkoxy$ alkyl, $di(C_1-C_4-alkyl)-amino-C_1-C_4-alkyl$, 30 $[2,2-di(C_1-C_4-alkyl)-1-hydrazino]-C_1-C_4-alkyl,$ $C_1 - C_6 - alkyliminooxy - C_1 - C_4 - alkyl, C_1 - C_4 - alkoxycarbonyl C_1-C_4$ -alkyl, C_1-C_4 -alkylthio- C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, $C_1 - C_4 - alkoxy$, $C_1 - C_4 - alkoxy - C_2 - C_4 - alkoxy$, 35 C_1-C_4 -haloalkoxy, hydroxyl, C_1-C_4 -alkylcarbonyloxy, C_1-C_4 -alkylthio, C_1-C_4 -haloalkylthio, di(C1-C4-alkyl)amino, COR6, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated 40 and/or to have attached to them one to three of the following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, $C_1 - C_4$ -alkoxy or $C_1 - C_4$ -haloalkoxy;

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or

R4 and R5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by 5 $C_1-C_4-alkyl;$ or R4 and R5 together with the corresponding carbon form a 10 carbonyl or thiocarbonyl group; Rб is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy 15 or NR7R8; R7 is hydrogen or C₁-C₄-alkyl; 20 R8 is C₁-C₄-alkyl; Х is O, S, NR^9 , CO or $CR^{10}R^{11}$; is O, S, NR12, CO or CR13R14; 25 R^9 , R^{12} are hydrogen or C₁-C₄-alkyl; \mathbb{R}^{10} , \mathbb{R}^{11} , \mathbb{R}^{13} , \mathbb{R}^{14} are hydrogen, \mathbb{C}_1 - \mathbb{C}_4 -alkyl, \mathbb{C}_1 - \mathbb{C}_4 -haloalkyl, 30 $C_1\text{-}C_4\text{-}alkoxycarbonyl, } C_1\text{-}C_4\text{-}haloalkoxycarbonyl or }$ CONR⁷R⁸; or 35 R4 and R9 or R^4 and R^{10} or R^5 and R^{12} or R^5 and R^{13} together form a $C_2 \cdot C_6 \cdot$ alkanediyl chain which can be mono- to tetrasubstituted by C1-C4-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by $C_1 - C_4 - alkyl$; 40 R15 is a pyrazole of the formula II which is linked in the 4-position

ΙI

where

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 R^{16} is C_1 - C_6 -alkyl;

Z

is H or SO_2R^{17} ;

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R¹⁷ is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully

halogenated and/or has attached to it one

to three of the following groups:

nitro, cyano, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl,

 C_1-C_4 -alkoxy or C_1-C_4 -haloalkoxy;

 R^{18}

is hydrogen or C_1 - C_6 -alkyl;

where X and Y are not simultaneously sulfur;

with the exception of

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-1-ethyl-5-hydroxy-lH-pyrazole,

- 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-1,3-dimethyl-5-hydroxy-lH-pyrazole,
 - 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,
- 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-lH-pyrazole and

4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonyl-benzoyl]-1,3-dimethyl-5-hydroxy-lH-pyrazole;

or an agriculturally useful salt thereof.

- 2. A 3-heterocyclyl-substituted benzoyl derivative of the formula I where the variables have the following meanings:

C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

 \mathbb{R}^3 is hydrogen, halogen or C1-C6-alkyl; 5 R4, R5 are hydrogen, halogen, cyano, nitro, C1-C4-alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, $di(C_1 - C_4 - alkoxy) - C_1 - C_4 - alkoxy$ alkyl, $di(C_1-C_4-alkyl)$ -amino- $C_1-C_4-alkyl$, $[2,2-di(C_1-C_4-alky1)-l-hydrazino]-C_1-C_4-alkyl,$ 10 $C_1 \cdot C_6 \cdot alkyliminooxy \cdot C_1 \cdot C_4 \cdot alkyl$, $C_1 \cdot C_4 \cdot alkoxycarbonyl$ C_1-C_4 -alkyl, C_1-C_4 -alkylthio- C_1-C_4 -alkyl, C_1-C_4 -haloalkyl, C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, C_1-C_4 -alkoxy, C_1-C_4 -alkoxy- C_2-C_4 -alkoxy, C_1-C_4 -haloalkoxy, C_1-C_4 -alkylthio, 15 C₁-C₄-haloalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups: 20 nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, $C_1 - C_4$ -alkoxy or $C_1 - C_4$ -haloalkoxy;

or

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 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

or

R4 and R5 together with the corresponding carbon form a carbonyl or thiocarbonyl group;

 R^6 is C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxy- C_2 - C_4 -alkoxy, C_1 - C_4 -haloalkoxy, C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkynyloxy or NR^7R^8 ;

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R⁷ is hydrogen or C₁-C₄-alkyl;

 R^8 is C_1-C_4 -alkyl;

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165 is O, S, NR^9 , CO or $CR^{10}R^{11}$;

Y is 0, S, NR^{12} , CO or $CR^{13}R^{14}$;

 R^9 , R^{12} are hydrogen or C_1 - C_4 -alkyl;

 R^{10} , R^{11} , R^{13} , R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkoxycarbonyl or C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -haloalkoxycarbonyl or $CONR^7R^8$;

or

20 ${\tt R}^{15} \qquad \hbox{is a pyrazole of the formula II which is linked in } \\ {\tt the 4-position}$

25 R¹⁸ O II

30 where

 $h_{i}^{-1}h_{i}^{-1} \sim$

 R^{16} is C_1 - C_6 -alkyl;

 2 Z is H or SO_2R^{17} ;

is C₁-C₄-alkyl, C₁-C₄-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:

nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy;

45 R^{18} is hydrogen or C_1 - C_6 -alkyl;

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where X and Y are not simultaneously oxygen or sulfur;

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with the exception of

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,

4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and

4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

- or an agriculturally useful salt thereof.
 - 3. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1 or 2, where R³ is hydrogen.
 - 4. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 3, where
- are nitro, halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -haloalkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -haloalkylsulfinyl, C_1 - C_6 -alkylsulfonyl or C_1 - C_6 -haloalkylsulfonyl.
- 5. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4, where Z is SO_2R^{17} .
- 6. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4, where Z is hydrogen.
- 7. A 3-heterocyclyl-substituted benzoyl derivative of the
 40 formula I as claimed in any of claims 1 to 4 or 6, where X is
 oxygen and Y is CR¹³R¹⁴.
- 8. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6 or 7, where 45

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		R ⁴	is halogen, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkyl,
			C ₁ -C ₄ -alkoxycarbonyl-C ₁ -C ₄ -alkyl,
5			C ₁ -C ₄ -alkylthio-C ₁ -C ₄ -alkyl, C ₁ -C ₄ -haloalkyl,
3			C ₁ -C ₄ -cyanoalkyl, C ₃ -C ₈ -cycloalkyl, C ₁ -C ₄ -alkoxy,
			C ₁ -C ₄ -alkoxy-C ₂ -C ₄ -alkoxy, C ₁ -C ₄ -haloalkoxy,
			C ₁ -C ₄ -alkylthio, C ₁ -C ₄ -haloalkylthio,
			$di(C_1-C_4-alkyl)$ amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned
10			substituents to be partially or fully halogenated
			and/or to have attached to them one to three of
			the following groups:
			nitro, cyano, C ₁ -C ₄ -alkyl, C ₁ -C ₄ -haloalkyl,
			C ₁ -C ₄ -alkoxy or C ₁ -C ₄ -haloalkoxy;
15			
		R ⁵	is hydrogen or C ₁ -C ₄ -alkyl;
		•	
		or	
		O1	
20		D4 ama D5	hamahham famu a G. G. allan alla a la
		R ⁴ and R ⁵	together form a C ₂ -C ₆ -alkanediyl chain which can be
			mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a
			nitrogen which is unsubstituted or substituted by
25			C ₁ -C ₄ -alkyl;
25			of of carry,
		or	
		-01	
		R5 and R13	together form a C2-C6-alkanediyl chain which can be
30		n, and n	mono- to tetrasubstituted by C ₁ -C ₄ -alkyl and/or
		•	which can be interrupted by oxygen or by a
			nitrogen which is unsubstituted or substituted by
			C ₁ -C ₄ -alkyl.
35	9.	A 3-hetero	cyclyl-substituted benzoyl derivative of the
			as claimed in any of claims 1 to 4 or 6 to 8, where
		•	
		R ⁴	is C ₁ -C ₄ -alkyl, C ₁ -C ₄ -haloalkyl,
40.			C ₁ -C ₄ -alkoxycarbonyl or CONR ⁷ R ⁸ ;
±0.			-1 -4 or court to
		R ⁵	is hydrogen or C ₁ -C ₄ -alkyl;
		***	is mydrogen or cl-c4-arryr;
45		or	

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 R^4 and R^5 together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl;

or

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- R⁵ and R¹³ together form a C_2 - C_6 -alkanediyl chain which can be mono- to tetrasubstituted by C_1 - C_4 -alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C_1 - C_4 -alkyl.
- 15
 10. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6 or 7, where \mathbb{R}^4 and \mathbb{R}^5 are hydrogen.
- 20 11. A 3-heterocycly1-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6 or 7 or 10, where R¹⁸ is hydrogen.
- 12. 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoyl]-1-methyl-5-hydroxy-1H-pyrazole.
 - 13. An agriculturally useful salt of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.
 - 14. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6, where
- 35 X is S, NR^9 , CO or $CR^{10}R^{11}$;

or

Y is O, S, NR^{12} or CO.

Horas

15. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6 or 14, where R¹⁸ is hydrogen.

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16. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 4 or 6 or 14, where

5 R4 is halogen, cyano, nitro, C1-C4-alkyl, $C_1 - C_4 - alkoxy - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkoxycarbonyl - C_1 - C_4 - alkyl$, $C_1 - C_4 - alkylthio - C_1 - C_4 - alkyl, C_1 - C_4 - haloalkyl,$ C_1-C_4 -cyanoalkyl, C_3-C_8 -cycloalkyl, C_1-C_4 -alkoxy, 10 $C_1 - C_4 - alkoxy - C_2 - C_4 - alkoxy$, $C_1 - C_4 - haloalkoxy$, C₁-C₄-alkylthio, C₁-C₄-haloalkylthio, $di(C_1-C_4-alkyl)$ amino, COR^6 , phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated 15 and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, $C_1 \cdot C_4 \cdot alkoxy$ or $C_1 \cdot C_4 \cdot haloalkoxy$;

20 R⁵ is hydrogen or $C_1 - C_4 - alkyl$;

or

25 R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

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or

R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹² or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl;

40 R^{18} is $C_1 \cdot C_6 \cdot alkyl$.

17. A process for the preparation of 3-heterocyclyl-substituted benzoyl derivatives of the formula I as claimed in claim 1, which comprises acylating the pyrazole of the formula II where Z = H, where the variables R¹⁶ and R¹⁸ have the meanings given under claim 1,

4.75

$$N N$$
OH
$$R^{16}$$
II (where $Z = H$)

with an activated carboxylic acid III α or with a carboxylic acid III β ,

where the variables R^1 to R^5 , X and Y have the meanings given under claim 1 and L^1 is a nucleophilically displaceable leaving group, subjecting the acylation product to a rearrangement reaction in the presence or absence of a catalyst to give the compounds I (where Z = H) and, if desired, to prepare 3-heterocyclyl-substituted benzoyl derivatives of the formula I where Z = SO_2R^{17} , reacting the product with a compound of the formula V,

$$L^2$$
 SO₂R¹⁷ V

where R^{17} has the meaning given under claim 1 and L^2 is a nucleophilically displaceable leaving group.

18. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III,

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III

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where R¹⁹ is hydroxyl or a radical which can be removed by hydrolysis and variables R¹ to R⁵, X and Y have the meanings given under the claims 1 to 16, with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-benzoate, methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.

- 20 19.A 3-heterocyclyl-substituted benzoic acid derivative of the formula III as claimed in claim 18 where the variables R^1 to R^5 , X and Y have the meanings given under claims 2 to 16.
- 25 20. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III as claimed in either of claims 18 or 19, where

 R^{19} is halogen, hydroxyl or C_1 - C_6 -alkoxy.

- 21. A composition comprising a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as claimed in any of claims 1 to 16, and auxiliaries conventionally used for the formulation of crop protection products.
- 22. A process for the preparation of a composition as claimed in claim 21, which comprises mixing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as claimed in any of claims 1 to 16 and auxiliaries conventionally used for the formulation of crop protection products.
- 23. A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the

formula I or of an agriculturally useful salt of I as claimed in any of claims 1 to 16 to act on plants, their environment and/or on seeds.

24. The use of a 3-heterocyclyl-substituted benzoyl derivative of the formula I or an agriculturally useful salt thereof as claimed in any of claims 1 to 16 as herbicide.

3-Heterocycly1-substituted benzoyl derivatives

5 Abstract

Benzoyl derivatives of the formula I

where the variables have the following meanings:

20
R1, R2 are hydrogen, nitro, halogen, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl or C1-C6-haloalkylsulfonyl;

25
R³ is hydrogen, halogen or alkyl;

R⁴, R⁵ are hydrogen, halogen, cyano, nitro, alkyl, alkoxy, alkylthio, dialkylamino, phenyl or carbonyl, it being possible for the 6 last-mentioned radicals to be substituted;

X is O, S, NR9, CO or CR10R11;

Y is O, S, NR^{12} , CO or $CR^{13}R^{14}$;

is pyrazole which is unsubstituted or substituted, linked in the 4-position and has attached to it in the 5-position a hydroxyl or sulfonyloxy radical;

and the agriculturally useful salts thereof; processes and intermediates for the preparation of the 3-heterocyclyl-substituted benzoyl derivatives; compositions comprising them; and the use of these derivatives or compositions comprising them for controlling undesirable plants.